



# **STIC Search Report**

## **Biotech-Chem Library**

STIC Database Tracking Number: 112141

**TO: Hong Liu**  
**Location: CM1/9D08/9B01**  
**Art Unit: 1624**  
**Tuesday, January 20, 2004**

**Cas Serial Number: 10/088771**

**From: Barb O'Bryen**  
**Location: Biotech-Chem Library**  
**CM1-6A05**  
**Phone: 308-4291** *BOB*

**barbara.obryen@uspto.gov**

### **Search Notes**

Reprint of search completed 1-16-04.

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=> fil reg; d stat que l15; fil capl; d que nos l16; fil uspatf; d que nos l17  
FILE 'REGISTRY' ENTERED AT 10:37:41 ON 16 JAN 2004  
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Property values tagged with IC are from the ZIC/VINITI data file  
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STRUCTURE FILE UPDATES: 14 JAN 2004 HIGHEST RN 637725-36-1  
DICTIONARY FILE UPDATES: 14 JAN 2004 HIGHEST RN 637725-36-1

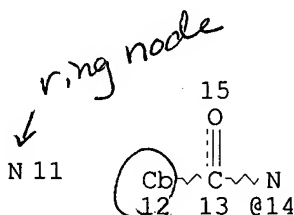
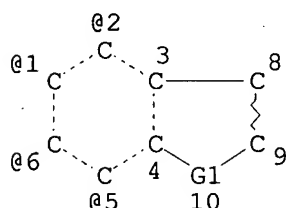
TSCA INFORMATION NOW CURRENT THROUGH JULY 14, 2003

Please note that search-term pricing does apply when  
conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more  
information enter HELP PROP at an arrow prompt in the file or refer  
to the file summary sheet on the web at:  
<http://www.cas.org/ONLINE/DBSS/registryss.html>

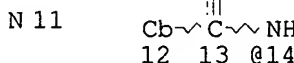
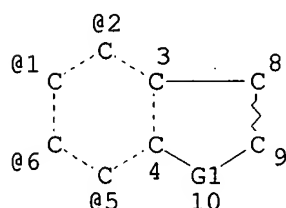
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DEFAULT MLEVEL IS ATOM  
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:  
RING(S) ARE ISOLATED OR EMBEDDED  
NUMBER OF NODES IS 14

STEREO ATTRIBUTES: NONE  
L3 650 SEA FILE=REGISTRY SSS FUL L1  
L12 STR



*full file search  
done on this structure*

*subset search  
done on this structure*

REP G1=(1-4) C  
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NSPEC IS R AT 11  
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GRAPH ATTRIBUTES:  
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NUMBER OF NODES IS 14

STEREO ATTRIBUTES: NONE  
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100.0% PROCESSED 650 ITERATIONS 238 ANSWERS  
SEARCH TIME: 00.00.01

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FILE COVERS 1907 - 16 Jan 2004 VOL 140 ISS 4  
FILE LAST UPDATED: 15 Jan 2004 (20040115/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

'OBI' IS DEFAULT SEARCH FIELD FOR 'CAPLUS' FILE

L1 STR  
L3 650 SEA FILE=REGISTRY SSS FUL L1  
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L16 46 SEA FILE=CAPLUS ABB=ON L15

FILE 'USPATFULL' ENTERED AT 10:37:42 ON 16 JAN 2004  
CA INDEXING COPYRIGHT (C) 2004 AMERICAN CHEMICAL SOCIETY (ACS)

FILE COVERS 1971 TO PATENT PUBLICATION DATE: 15 Jan 2004 (20040115/PD)  
FILE LAST UPDATED: 15 Jan 2004 (20040115/ED)  
HIGHEST GRANTED PATENT NUMBER: US6678893  
HIGHEST APPLICATION PUBLICATION NUMBER: US2004010831  
CA INDEXING IS CURRENT THROUGH 15 Jan 2004 (20040115/UPCA)  
ISSUE CLASS FIELDS (/INCL) CURRENT THROUGH: 15 Jan 2004 (20040115/PD)



REVISED CLASS FIELDS (/NCL) LAST RELOADED: Oct 2003  
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Oct 2003

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>>> USPAT2 is now available. USPATFULL contains full text of the <<<
>>> original, i.e., the earliest published granted patents or <<<
>>> applications. USPAT2 contains full text of the latest US <<<
>>> publications, starting in 2001, for the inventions covered in <<<
>>> USPATFULL. A USPATFULL record contains not only the original <<<
>>> published document but also a list of any subsequent <<<
>>> publications. The publication number, patent kind code, and <<<
>>> publication date for all the US publications for an invention <<<
>>> are displayed in the PI (Patent Information) field of USPATFULL <<<
>>> records and may be searched in standard search fields, e.g., /PN, <<<
>>> /PK, etc. <<<

>>> USPATFULL and USPAT2 can be accessed and searched together <<<
>>> through the new cluster USPATALL. Type FILE USPATALL to <<<
>>> enter this cluster. <<<
>>> Use USPATALL when searching terms such as patent assignees, <<<
>>> classifications, or claims, that may potentially change from <<<
>>> the earliest to the latest publication. <<<
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This file contains CAS Registry Numbers for easy and accurate  
substance identification.

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FILE 'USPATFULL' ENTERED AT 10:37:46 ON 16 JAN 2004  
CA INDEXING COPYRIGHT (C) 2004 AMERICAN CHEMICAL SOCIETY (ACS)  
PROCESSING COMPLETED FOR L16  
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L19 64 DUP REM L16 L17 (4 DUPLICATES REMOVED)
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L19 ANSWER 1 OF 64 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 1
ACCESSION NUMBER: 2001:459301 CAPLUS
DOCUMENT NUMBER: 135:33375
TITLE: Preparation of N-benzocycloalkyl-amides as inhibitors
      or microsomal triglyceride transfer protein (MTP) and
      apolipoprotein B (ApoB) secretion
INVENTOR(S): Fink, Cynthia A.; Ksander, Gary M.; Kukkola, Paivi J.;
      Wallace, Eli M.; Prashad, Mahavir
PATENT ASSIGNEE(S): Novartis A.-G., Switz.
SOURCE: U.S., 101 pp.
      CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
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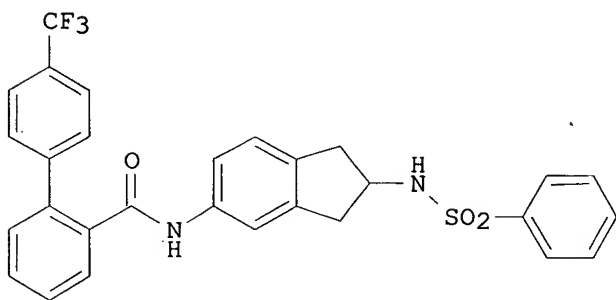
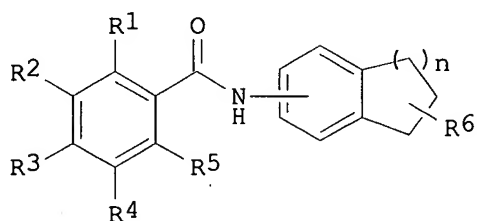
Searched by Barb O'Bryen, STIC 308-4291

FAMILY ACC. NUM. COUNT: 2

## PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6197798	B1	20010306	US 1999-357041	19990720
PRIORITY APPLN. INFO.:			US 1998-120017	A 19980721
			US 1998-155243P	P 19980721

OTHER SOURCE(S): MARPAT 135:33375  
GI



AB The title compds. (I) [wherein R2C, R3C,, R4C, R5C may be replaced by N; n = 1-3; R1 = aryl, cycloalkyl, heterocyclyl; R2-R5 = H, alkyl, halo, etc.; any two of R2-R5 at adjacent positions may be alkylenedioxy; R6 = (un)substituted NH2, acylamino, etc.] were prepd. as inhibitors of microsomal triglyceride transfer protein (MTP) and apolipoprotein B (ApoB) secretion. For example, II was formed in a multi-step synthesis involving the coupling of (5-amidoindan-2-yl)carbamic acid tert Bu ester (3-step prepn. given) with 4'-trifluoromethyl-2-biphenylcarboxylic acid chloride (1-step prepn. given), deprotection of the amine, and addn. of benzenesulfonyl chloride. Selected invention compds. were tested for the inhibition of cellular secretion of Apo B and the lipid transfer activity of MTP and gave IC50 values in the ranges of 0.7-1.8 nM and 60-70 nM, resp. I are useful for the prevention and treatment of MTP and Apo B dependent conditions such as atherosclerosis, hypertriglyceridemia, and hypercholesteremia.

IT 321352-36-7P

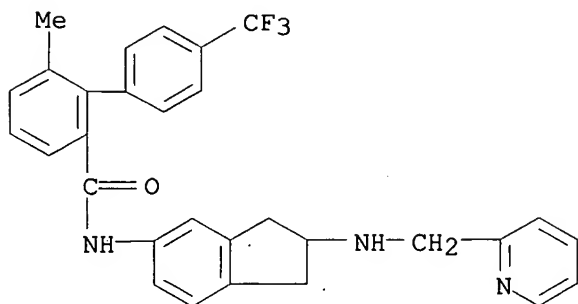
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(prepn. of N-benzocycloalkyl-amides as inhibitors or microsomal triglyceride transfer protein (MTP) and apolipoprotein B (ApoB) secretion)

RN 321352-36-7 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[2,3-dihydro-2-[(2-pyridinylmethyl)amino]-

1H-inden-5-yl]-6-methyl-4'-(trifluoromethyl)- (9CI) (CA INDEX NAME)

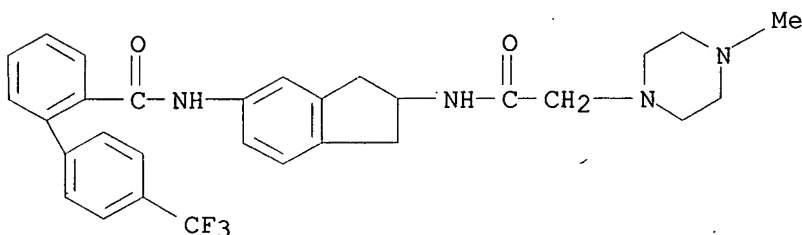


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 321352-48-1P 343931-16-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (prepn. of N-benzocycloalkyl-amides as inhibitors or microsomal triglyceride transfer protein (MTP) and apolipoprotein B (ApoB) secretion)

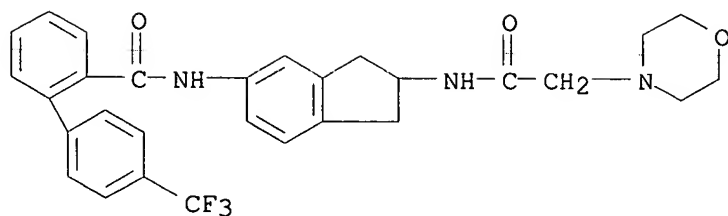
RN 256394-61-3 CAPLUS

CN 1-Piperazineacetamide, N-[2,3-dihydro-5-[[[4'-(trifluoromethyl)[1,1'-biphenyl]-2-yl]carbonyl]amino]-1H-inden-2-yl]-4-methyl- (9CI) (CA INDEX NAME)



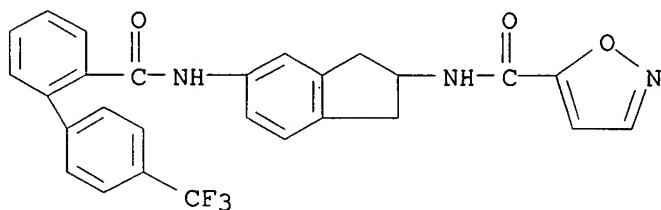
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CN 4-Morpholineacetamide, N-[2,3-dihydro-5-[[[4'-(trifluoromethyl)[1,1'-biphenyl]-2-yl]carbonyl]amino]-1H-inden-2-yl]- (9CI) (CA INDEX NAME)



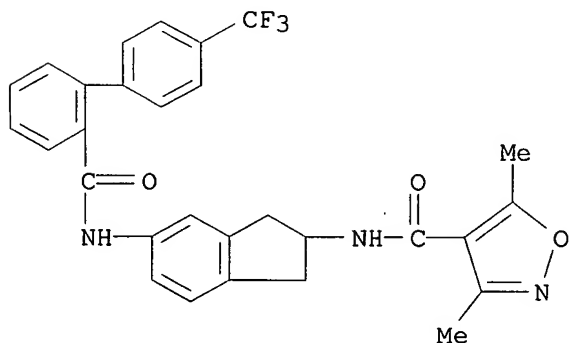
RN 256394-64-6 CAPLUS

CN 5-Isoxazolecarboxamide, N-[2,3-dihydro-5-[[[4'-(trifluoromethyl)[1,1'-biphenyl]-2-yl]carbonyl]amino]-1H-inden-2-yl]- (9CI) (CA INDEX NAME)



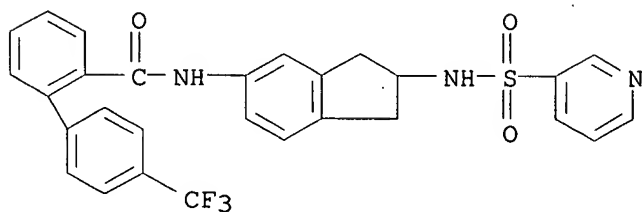
RN 256394-65-7 CAPLUS

CN 4-Isoxazolecarboxamide, N-[2,3-dihydro-5-[[[4'-(trifluoromethyl)[1,1'-biphenyl]-2-yl]carbonyl]amino]-1H-inden-2-yl]-3,5-dimethyl- (9CI) (CA INDEX NAME)



RN 256394-79-3 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[2,3-dihydro-2-[(3-pyridinylsulfonyl)amino]-1H-inden-5-yl]-4'-(trifluoromethyl)- (9CI) (CA INDEX NAME)



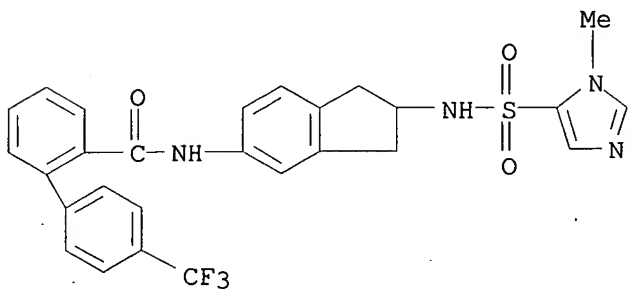
RN 256394-85-1 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[2,3-dihydro-2-[[[1-methyl-1H-imidazol-5-yl)sulfonyl]amino]-1H-inden-5-yl]-4'-(trifluoromethyl)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

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CRN 256394-84-0

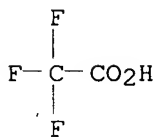
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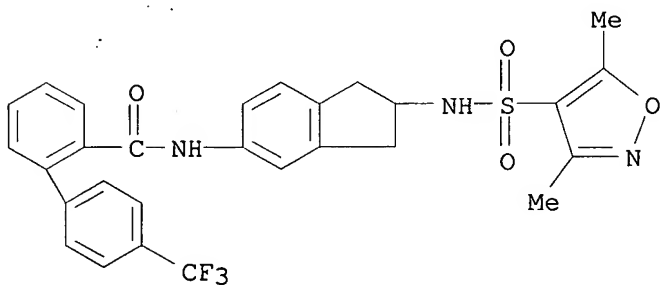
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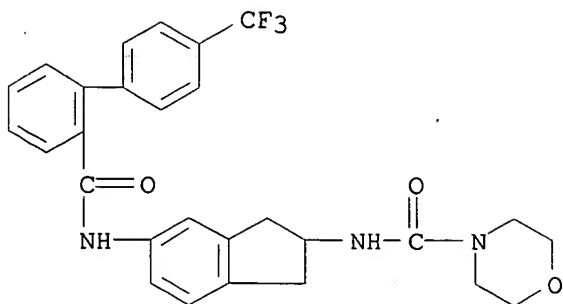
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CN [1,1'-Biphenyl]-2-carboxamide, N-[2-[[[3,5-dimethyl-4-isoxazolyl)sulfonyl]amino]-2,3-dihydro-1H-inden-5-yl]-4'-(trifluoromethyl)- (9CI) (CA INDEX NAME)



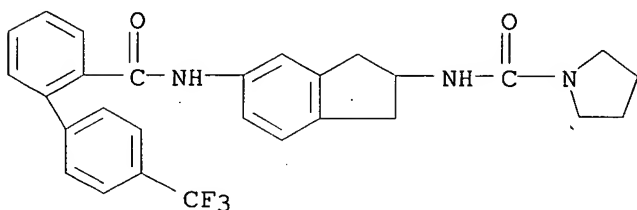
RN 256395-00-3 CAPLUS

CN 4-Morpholinecarboxamide, N-[2,3-dihydro-5-[[[4'-(trifluoromethyl)[1,1'-biphenyl]-2-yl]carbonyl]amino]-1H-inden-2-yl]- (9CI) (CA INDEX NAME)



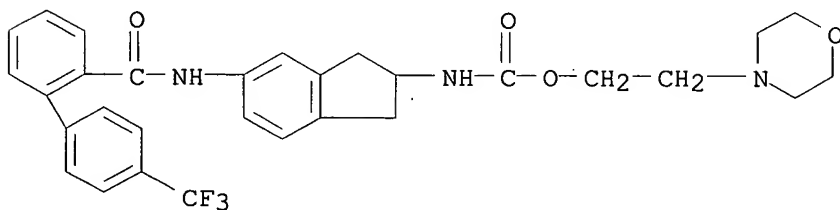
RN 256395-01-4 CAPLUS

CN 1-Pyrrolidinecarboxamide, N-[2,3-dihydro-5-[[[4'-(trifluoromethyl)[1,1'-biphenyl]-2-yl]carbonyl]amino]-1H-inden-2-yl]- (9CI) (CA INDEX NAME)



RN 256395-06-9 CAPLUS

CN Carbamic acid, [2,3-dihydro-5-[[[4'-(trifluoromethyl)[1,1'-biphenyl]-2-yl]carbonyl]amino]-1H-inden-2-yl]-, 2-(4-morpholinyl)ethyl ester (9CI) (CA INDEX NAME)



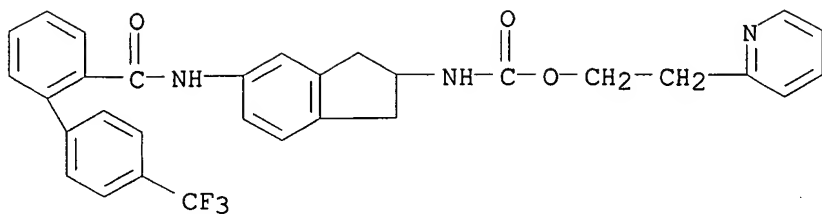
RN 256395-19-4 CAPLUS

CN Carbamic acid, [2,3-dihydro-5-[[[4'-(trifluoromethyl)[1,1'-biphenyl]-2-yl]carbonyl]amino]-1H-inden-2-yl]-, 2-(2-pyridinyl)ethyl ester, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

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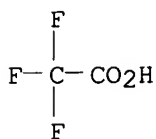
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CRN 76-05-1

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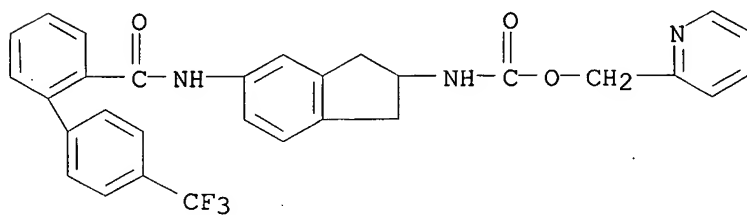
RN 256395-21-8 CAPLUS

CN Carbamic acid, [2,3-dihydro-5-[[[4'-(trifluoromethyl)[1,1'-biphenyl]-2-yl]carbonyl]amino]-1H-inden-2-yl]-, 2-pyridinylmethyl ester, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

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CRN 256395-20-7

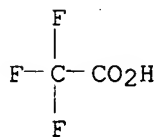
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CM 2

CRN 76-05-1

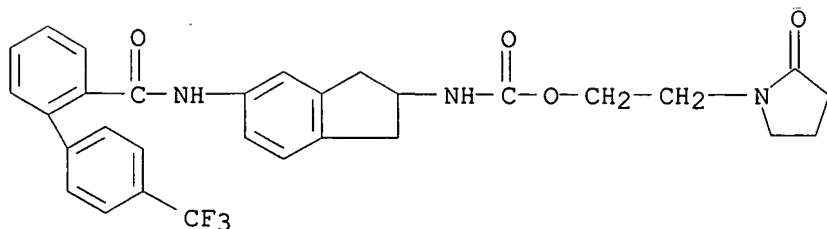
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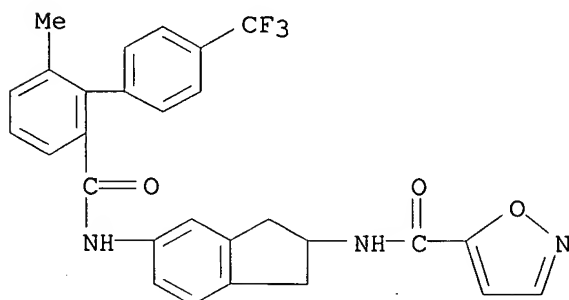
CN Carbamic acid, [2,3-dihydro-5-[[[4'-(trifluoromethyl)[1,1'-biphenyl]-2-yl]carbonyl]amino]-1H-inden-2-yl]-, 2-(2-oxo-1-pyrrolidinyl)ethyl ester

(9CI) (CA INDEX NAME)



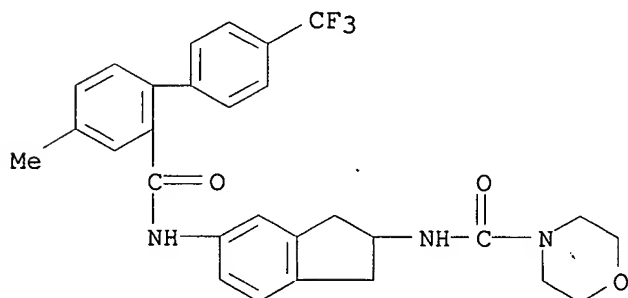
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CN 5-Isioxazolecarboxamide, N-[2,3-dihydro-5-[[[6-methyl-4'-(trifluoromethyl)1,1'-biphenyl]-2-yl]carbonyl]amino]-1H-inden-2-yl]-  
(9CI) (CA INDEX NAME)



RN 256395-93-4 CAPLUS

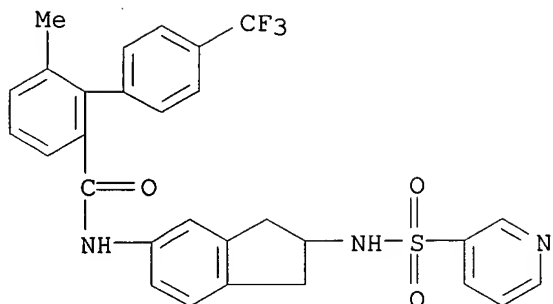
CN 4-Morpholinecarboxamide, N-[2,3-dihydro-5-[[[4-methyl-4'-(trifluoromethyl)1,1'-biphenyl]-2-yl]carbonyl]amino]-1H-inden-2-yl]-  
(9CI) (CA INDEX NAME)



RN 256395-98-9 CAPLUS

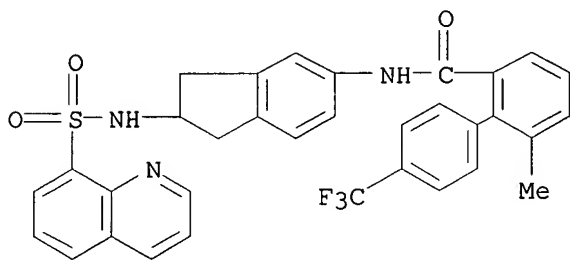
CN [1,1'-Biphenyl]-2-carboxamide, N-[2,3-dihydro-2-[(3-pyridinylsulfonyl)amino]-1H-inden-5-yl]-6-methyl-4'-(trifluoromethyl)-  
(9CI) (CA INDEX NAME)





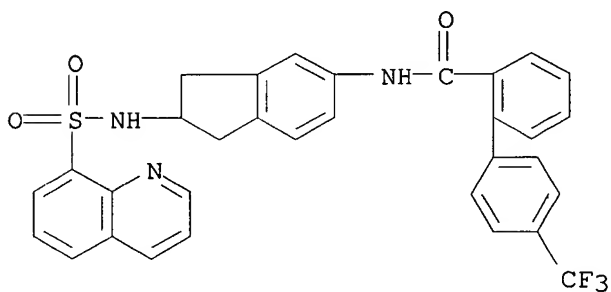
RN 256396-06-2 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[2,3-dihydro-2-[(8-quinolinylsulfonyl)amino]-1H-inden-5-yl]-6-methyl-4'-(trifluoromethyl)- (9CI) (CA INDEX NAME)



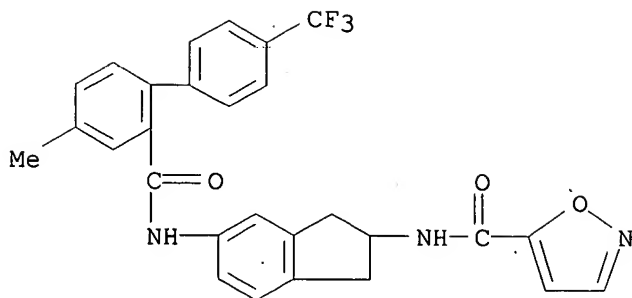
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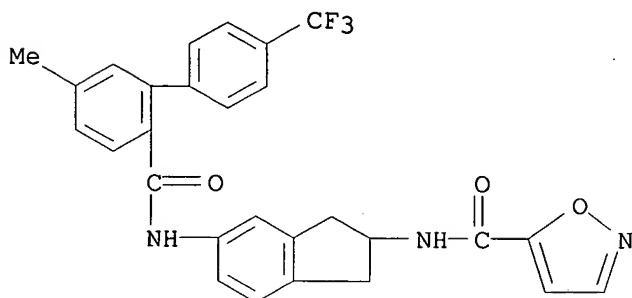


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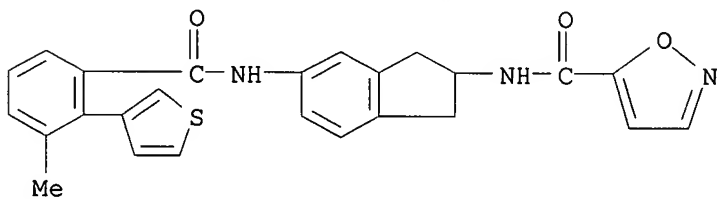
CN 5-Isioxazolecarboxamide, N-[2,3-dihydro-5-[[[4-methyl-4'-(trifluoromethyl)-1,1'-biphenyl]-2-yl]carbonyl]amino]-1H-inden-2-yl]- (9CI) (CA INDEX NAME)



RN 256396-28-8 CAPLUS  
 CN 5-Isioxazolecarboxamide, N-[2,3-dihydro-5-[[[5-methyl-4'-(trifluoromethyl)1,1'-biphenyl]-2-yl]carbonyl]amino]-1H-inden-2-yl]- (9CI) (CA INDEX NAME)

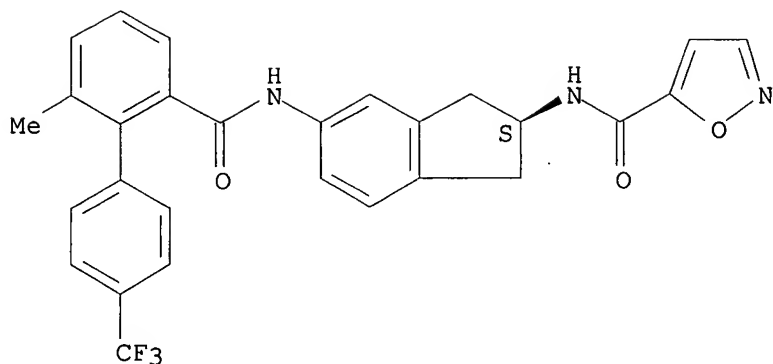


RN 256396-66-4 CAPLUS  
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RN 256397-13-4 CAPLUS  
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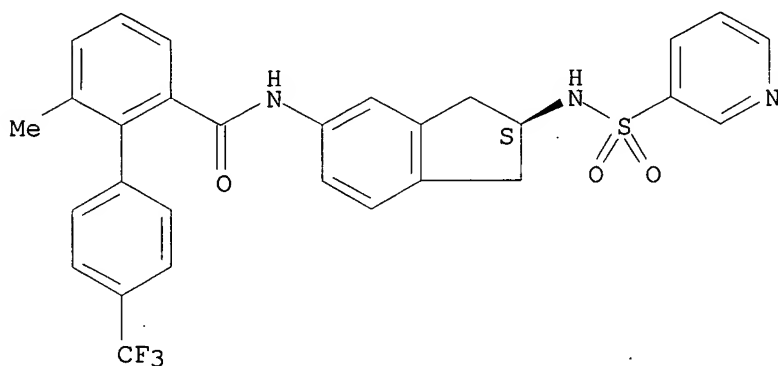
Absolute stereochemistry.



RN 256397-32-7 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[(2S)-2,3-dihydro-2-[(3-pyridinylsulfonyl)amino]-1H-inden-5-yl]-6-methyl-4'-(trifluoromethyl)-(9CI) (CA INDEX NAME)

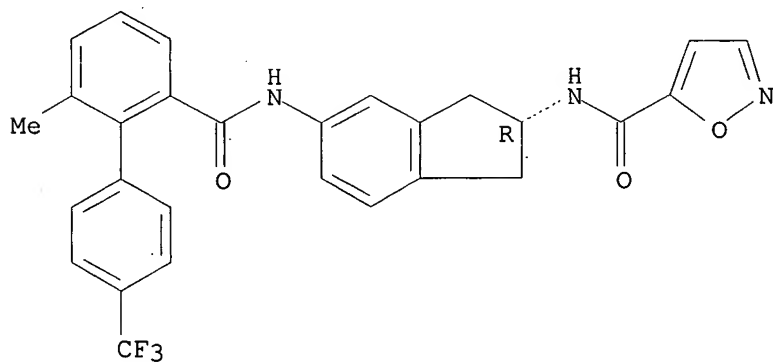
Absolute stereochemistry.



RN 256397-38-3 CAPLUS

CN 5-Isoxazolecarboxamide, N-[(2R)-2,3-dihydro-5-[[[6-methyl-4'-(trifluoromethyl)[1,1'-biphenyl]-2-yl]carbonyl]amino]-1H-inden-2-yl]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

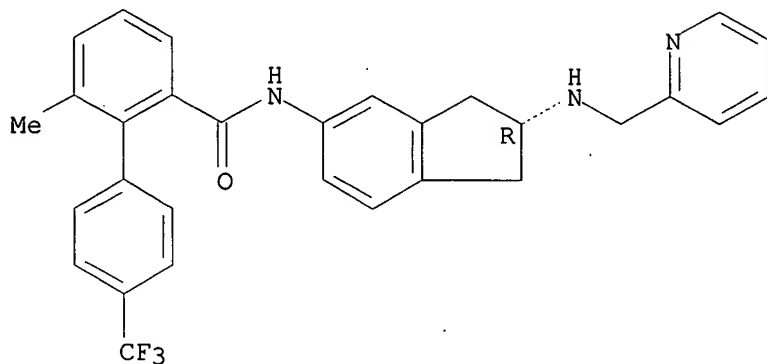


RN 321352-23-2 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[(2R)-2,3-dihydro-2-[(2-pyridinylmethyl)amino]-1H-inden-5-yl]-6-methyl-4'-(trifluoromethyl)-,

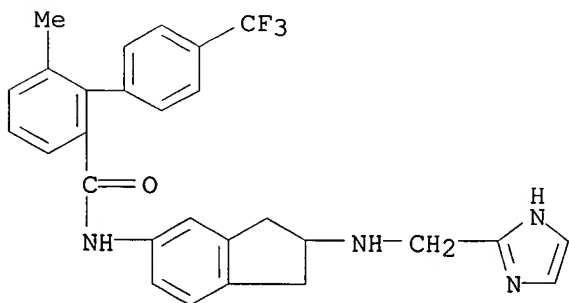
monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

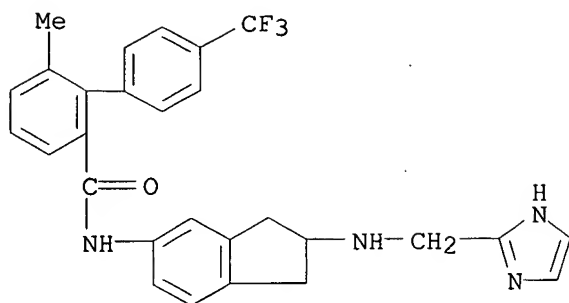


● HCl

RN 321352-25-4 CAPLUS  
 CN [1,1'-Biphenyl]-2-carboxamide, N-[2,3-dihydro-2-[(1H-imidazol-2-ylmethyl)amino]-1H-inden-5-yl]-6-methyl-4'-(trifluoromethyl)- (9CI) (CA INDEX NAME)

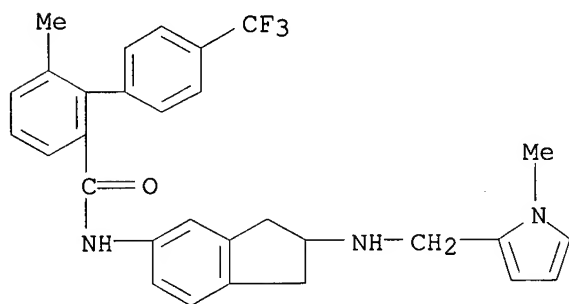


RN 321352-26-5 CAPLUS  
 CN [1,1'-Biphenyl]-2-carboxamide, N-[2,3-dihydro-2-[(1H-imidazol-2-ylmethyl)amino]-1H-inden-5-yl]-6-methyl-4'-(trifluoromethyl)-, monohydrochloride (9CI) (CA INDEX NAME)

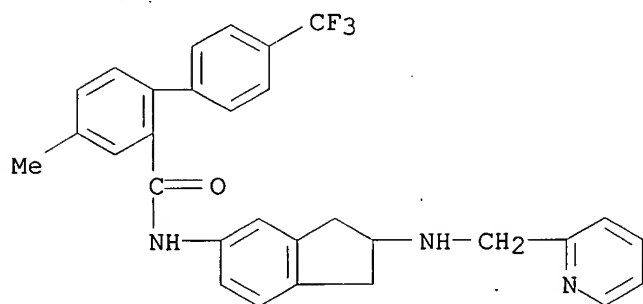


● HCl

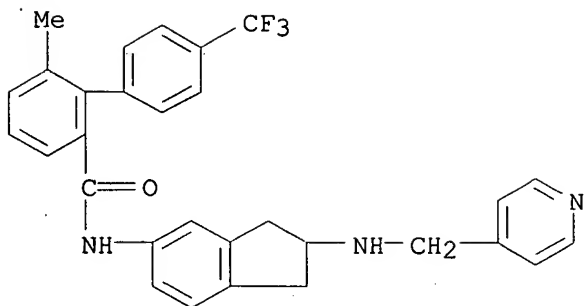
RN 321352-33-4 CAPLUS  
 CN [1,1'-Biphenyl]-2-carboxamide, N-[2,3-dihydro-2-[(1-methyl-1H-pyrrol-2-yl)methyl]amino]-1H-inden-5-yl]-6-methyl-4'-(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 321352-34-5 CAPLUS  
 CN [1,1'-Biphenyl]-2-carboxamide, N-[2,3-dihydro-2-[(2-methyl-1H-pyrrol-2-yl)methyl]amino]-1H-inden-5-yl]-4-methyl-4'-(trifluoromethyl)- (9CI) (CA INDEX NAME)

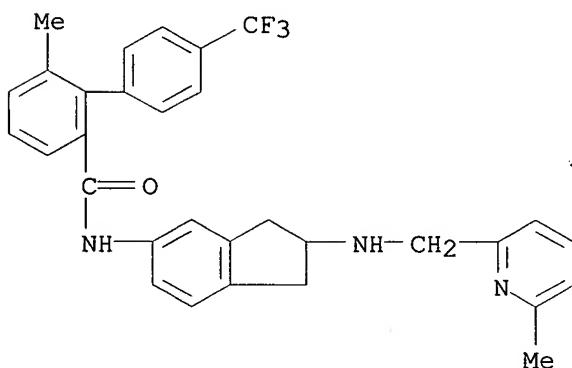


RN 321352-37-8 CAPLUS  
 CN [1,1'-Biphenyl]-2-carboxamide, N-[2,3-dihydro-2-[(4-pyridinyl)methyl]amino]-1H-inden-5-yl]-6-methyl-4'-(trifluoromethyl)- (9CI) (CA INDEX NAME)



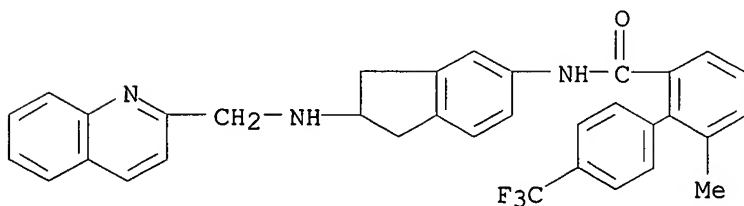
RN 321352-39-0 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[2,3-dihydro-2-[(6-methyl-2-pyridinyl)methyl]amino]-1H-inden-5-yl]-6-methyl-4'-(trifluoromethyl)- (9CI) (CA INDEX NAME)



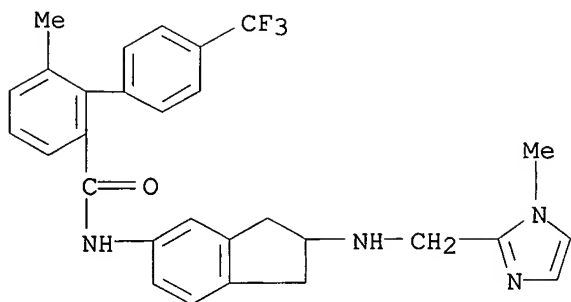
RN 321352-40-3 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[2,3-dihydro-2-[(2-methyl-5-pyridinyl)methyl]amino]-1H-inden-5-yl]-6-methyl-4'-(trifluoromethyl)- (9CI) (CA INDEX NAME)

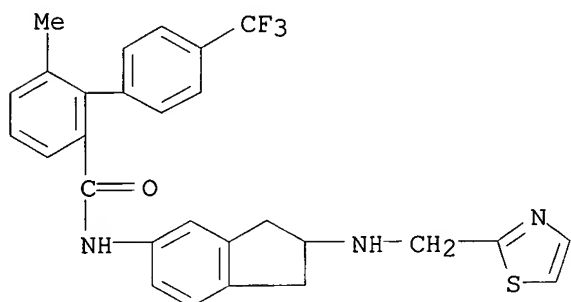


RN 321352-41-4 CAPLUS

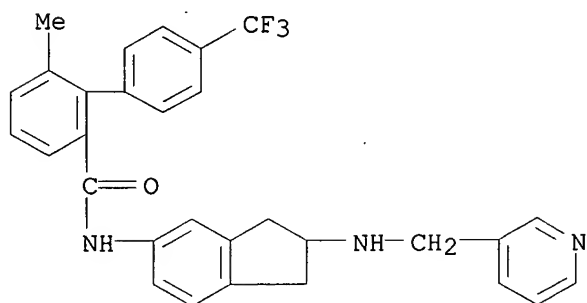
CN [1,1'-Biphenyl]-2-carboxamide, N-[2,3-dihydro-2-[(1-methyl-1H-imidazol-2-yl)methyl]amino]-1H-inden-5-yl]-6-methyl-4'-(trifluoromethyl)- (9CI) (CA INDEX NAME)



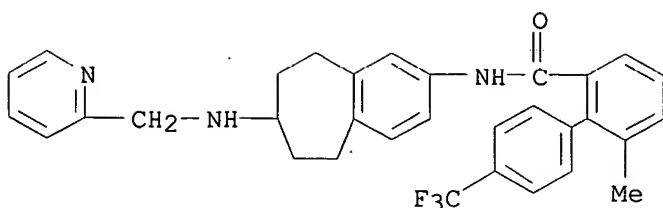
RN 321352-42-5 CAPLUS  
 CN [1,1'-Biphenyl]-2-carboxamide, N-[2,3-dihydro-2-[(2-thiazolylmethyl)amino]-1H-inden-5-yl]-6-methyl-4'-(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 321352-43-6 CAPLUS  
 CN [1,1'-Biphenyl]-2-carboxamide, N-[2,3-dihydro-2-[(3-pyridinylmethyl)amino]-1H-inden-5-yl]-6-methyl-4'-(trifluoromethyl)- (9CI) (CA INDEX NAME)

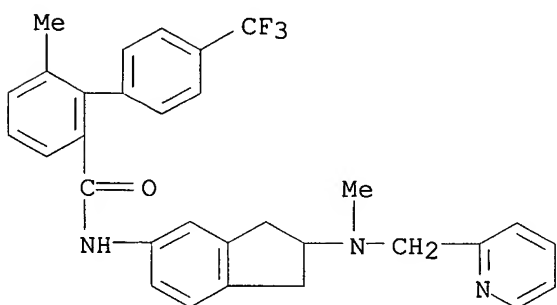


RN 321352-46-9 CAPLUS  
 CN [1,1'-Biphenyl]-2-carboxamide, 6-methyl-N-[6,7,8,9-tetrahydro-7-[(2-pyridinylmethyl)amino]-5H-benzocyclohepten-2-yl]-4'-(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 321352-48-1 CAPLUS

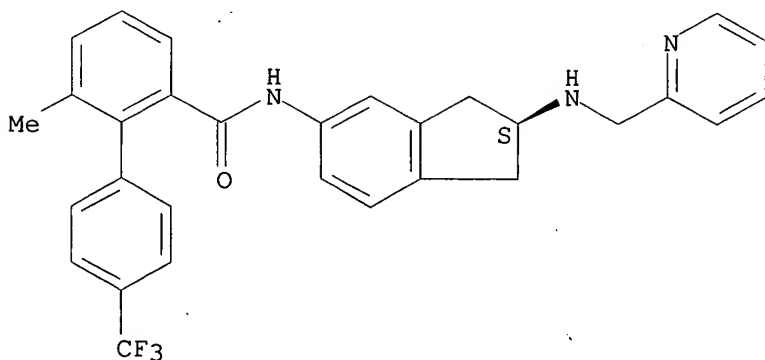
CN [1,1'-Biphenyl]-2-carboxamide, N-[2,3-dihydro-2-[methyl(2-pyridinylmethyl)amino]-1H-inden-5-yl]-6-methyl-4'-(trifluoromethyl)- (9CI)  
(CA INDEX NAME)



RN 343931-16-8 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[(2S)-2,3-dihydro-2-[(2-methyl-1H-inden-5-yl)amino]-6-methyl-4'-(trifluoromethyl)-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



● HCl

REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 2 OF 64 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 2

ACCESSION NUMBER: 1993:22788 CAPLUS

DOCUMENT NUMBER: 118:22788

TITLE: Preparation of bisimide from diamine, carbon monoxide,

Searched by Barb O'Bryen, STIC 308-4291



INVENTOR(S): and haloaryl-substituted imide of Nadic acid  
Turner, S. Richard; Perry, Robert J.; Blevins, Richard W.  
PATENT ASSIGNEE(S): Eastman Kodak Co., USA  
SOURCE: U.S., 6 pp.  
CODEN: USXXAM  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

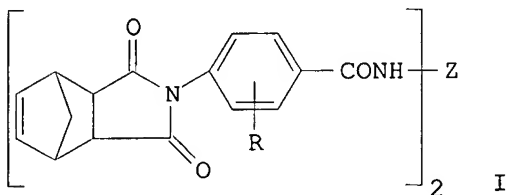
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5149824	A	19920922	US 1991-724269	19910701
CA 2071749	AA	19930102	CA 1992-2071749	19920622
JP 08027111	A2	19960130	JP 1992-170480	19920629
EP 521466	A1	19930107	EP 1992-111084	19920630

R: DE, FR, GB

PRIORITY APPLN. INFO.: US 1991-724269 19910701

OTHER SOURCE(S): MARPAT 118:22788

GI



AB Compds. I (R = H; alkyl, alkoxy, etc.; Z = divalent arom. group), useful in the prepn. of addn. polyimides with good heat resistance, are prepd. by the reaction of an N-(haloaryl) deriv. of the imide of Nadic acid with CO and a primary diamine in the presence of a base and a Pd catalyst. Reacting the N-(4-iodophenyl) deriv. of the imide of Nadic acid with CO and 4,4'-oxydianiline in AcNMe<sub>2</sub> in the presence of Ph<sub>3</sub>P, bis(triphenylphosphine)palladium chloride and a base gave I (R = H; Z = p-C<sub>6</sub>H<sub>4</sub>O-p-C<sub>6</sub>H<sub>4</sub>).

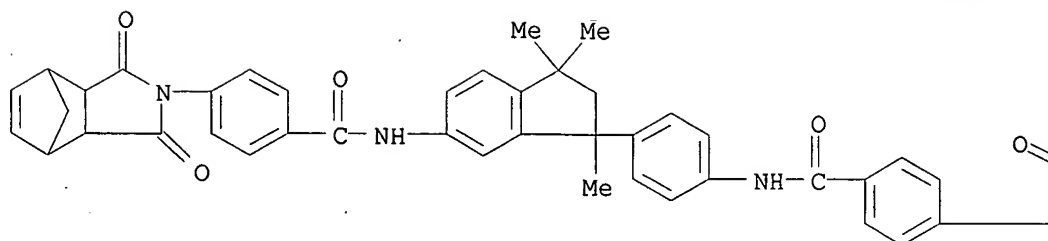
IT 145176-66-5P

RL: PREP (Preparation)  
(prepn. of, as monomer for addn. polyimides)

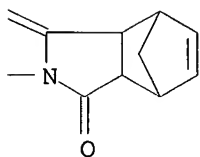
RN 145176-66-5 CAPLUS

CN Benzamide, 4-(1,3,3a,4,7,7a-hexahydro-1,3-dioxo-4,7-methano-2H-isoindol-2-yl)-N-[4-[6-[4-(1,3,3a,4,7,7a-hexahydro-1,3-dioxo-4,7-methano-2H-isoindol-2-yl)benzoyl]amino]-2,3-dihydro-1,3,3-trimethyl-1H-inden-1-yl]phenyl]-  
(9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 1-B



L19 ANSWER 3 OF 64 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 3  
 ACCESSION NUMBER: 1985:496311 CAPLUS  
 Correction of: 1984:218962  
 DOCUMENT NUMBER: 103:96311  
 Correction of: 100:218962  
 TITLE: Magenta coupler with blocking group  
 PATENT ASSIGNEE(S): Konishiroku Photo Industry Co., Ltd., Japan  
 SOURCE: Jpn. Kokai Tokkyo Koho, 15 pp.  
 CODEN: JKXXAF  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 58113938	A2	19830707	JP 1981-213898	19811226
JP 63022297	B4	19880511		

PRIORITY APPLN. INFO.: JP 1981-213898 19811226

GI For diagram(s), see printed CA Issue.

AB A blocked magenta coupler has a general formula of I (A = a nonmetallic atom group that forms a magenta coupler; RZCR1R2 = blocking group; Z = O, S; R1, R2 = monovalent group; R = a moiety that can couple with a developing agent and bonded to Z at its active site). The use of this coupler provides high-sensitivity and fine-grain photog. Ag halide emulsions with good storage stability and good color development can be prepd. with the use of this coupler. Thus, coupler II was added to a green-sensitive Ag(I,Br) emulsion which was coated on a triacetate support. After sensitometric exposure and normal development, the emulsion showed higher sensitivity, Dmax, and lower fog d. than a control using conventional couplers.

IT 90429-21-3

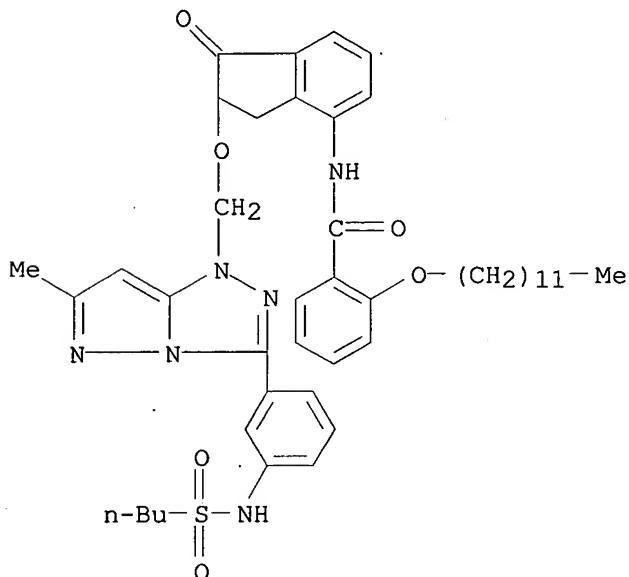
RL: USES (Uses)

(blocked magenta coupler, for high-sensitivity fine-grained photog.)

silver halide emulsions)

RN 90429-21-3 CAPLUS

CN Benzamide, N-[2-[[3-[3-[(butylsulfonyl)amino]phenyl]-6-methyl-1H-pyrazolo[5,1-c]-1,2,4-triazol-1-yl]methoxy]-2,3-dihydro-1-oxo-1H-inden-4-yl]-2-(dodecyloxy)- (9CI) (CA INDEX NAME)



L19 ANSWER 4 OF 64 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 4

ACCESSION NUMBER: 1984:122772 CAPLUS

Correction of: 1983:614173

DOCUMENT NUMBER: 100:122772

Correction of: 99:214173

TITLE: Oxoindolizine and oxoindolizinium dyes

INVENTOR(S): Fletcher, George Leland, Jr.; Bender, Steven Lee; Wadsworth, Donald Harols

PATENT ASSIGNEE(S): Eastman Kodak Co., USA

SOURCE: Eur. Pat. Appl., 129 pp.

CODEN: EPXXDW

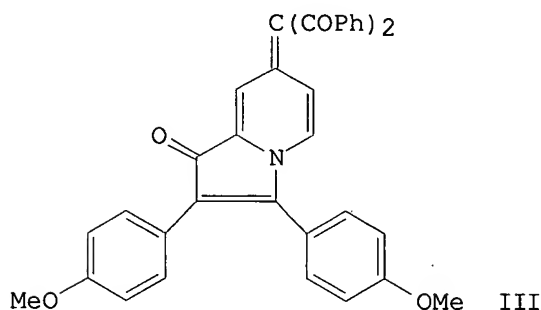
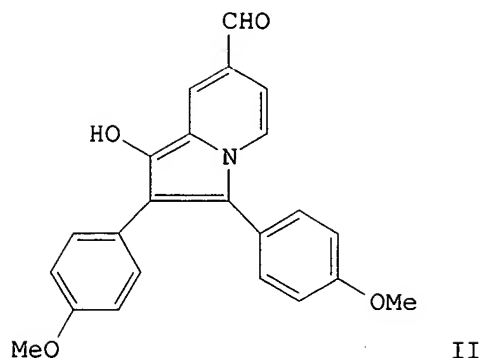
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 68876	A1	19830105	EP 1982-303381	19820628
EP 68876	B1	19850403		
R: BE, DE, FR, GB, IT, NL				
CA 1211112	A1	19860909	CA 1982-403778	19820526
JP 58017164	A2	19830201	JP 1982-110917	19820629
JP 03042307	B4	19910626		
US 4577024	A	19860318	US 1982-412444	19820827
PRIORITY APPLN. INFO.: GI			US 1981-278022	19810629



AB The title dyes are prepd. by (1) reaction of cyclopropenones with pyridines and optionally (2) by reaction of the product from (1) with a color-forming compd. preferably in the presence of an oxidant. The dyes have a wide absorption range (visible-IR) and can be used in laser recording and reading applications and as image dyes in photothermog. and thermog. Thus, a soln. of equiv. amts. of 4-formylpyridine and 2,3-bis(4-methoxyphenyl)cyclopropenone (I) in p-dioxane was refluxed 2 h at 102.degree. under N to give cryst. II, .lambda.max 435 nm (CHCl3), in 95% yield. Similarly, I in pyridine was refluxed under N for 15 min to give a green soln. which was treated at reflux with an equiv. (based on I) of dibenzoylmethane for 60 min. Addn. of 4 equiv iodine in pyridine and heating at 90.degree. for 15 min gave III, .lambda.max 605 nm (CHCl3). Numerous other oxoindolizines and oxoindolizinium compds. are described, and several examples of their use are given.

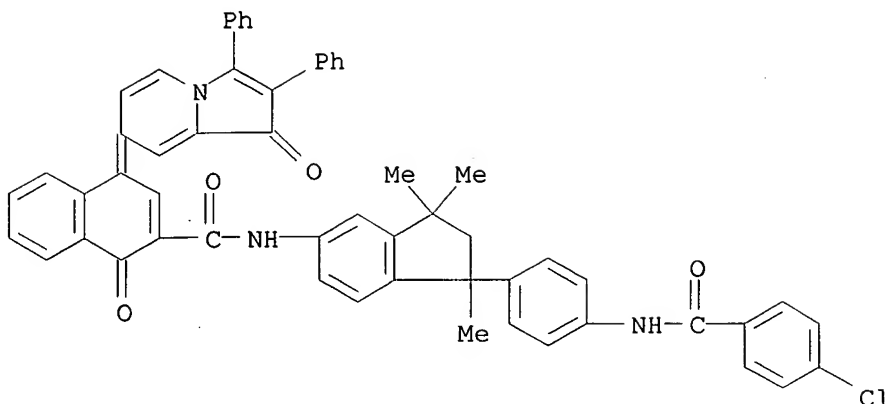
IT 86222-18-6 86222-19-7 86222-20-0

RL: PRP (Properties)

(dye, optical absorption max. of)

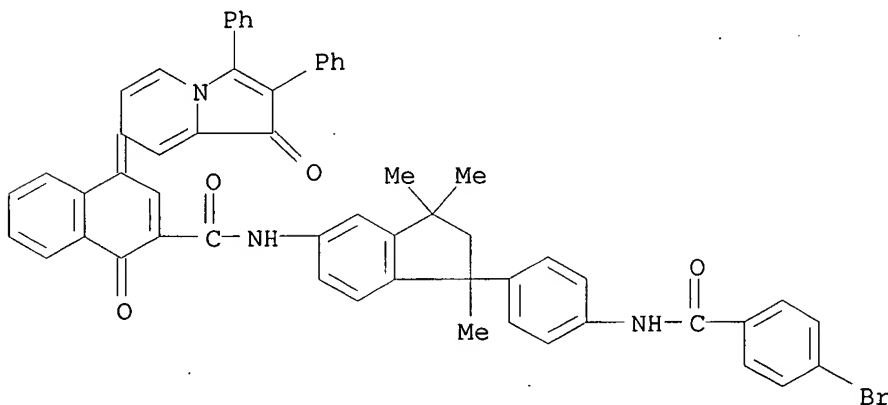
RN 86222-18-6 CAPLUS

CN 2-Naphthalenecarboxamide, N-[1-[4-[(4-chlorobenzoyl)amino]phenyl]-2,3-dihydro-1,3,3-trimethyl-1H-inden-5-yl]-1,4-dihydro-1-oxo-4-(1-oxo-2,3-diphenyl-7(1H)-indolizinylidene)- (9CI) (CA INDEX NAME)



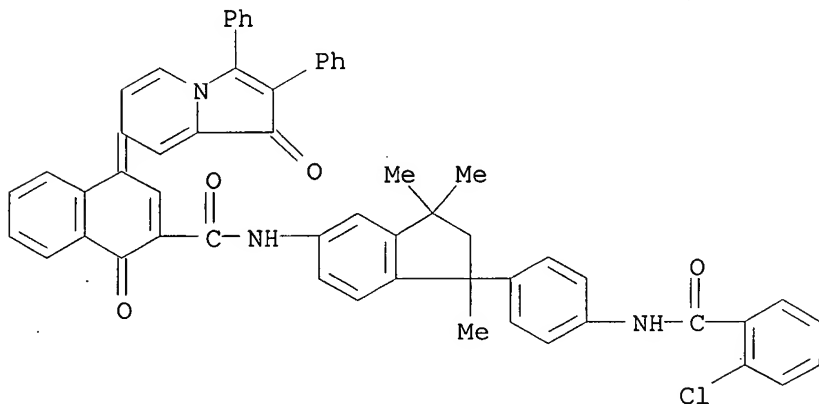
RN 86222-19-7 CAPLUS

CN 2-Naphthalenecarboxamide, N-[1-[4-[(4-bromobenzoyl)amino]phenyl]-2,3-dihydro-1,3,3-trimethyl-1H-inden-5-yl]-1,4-dihydro-1-oxo-4-(1-oxo-2,3-diphenyl-7(1H)-indolizinylidene)- (9CI) (CA INDEX NAME)



RN 86222-20-0 CAPLUS

CN 2-Naphthalenecarboxamide, N-[1-[4-[(2-chlorobenzoyl)amino]phenyl]-2,3-dihydro-1,3,3-trimethyl-1H-inden-5-yl]-1,4-dihydro-1-oxo-4-(1-oxo-2,3-diphenyl-7(1H)-indolizinylidene)- (9CI) (CA INDEX NAME)

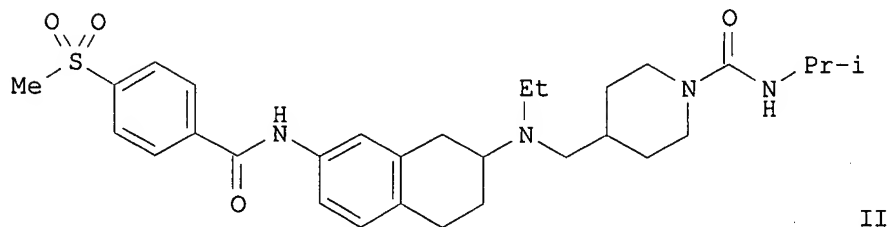
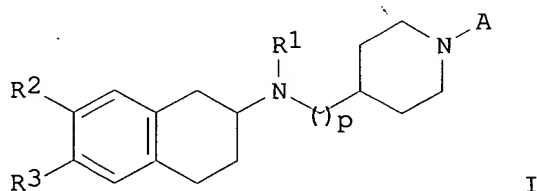


L19 ANSWER 5 OF 64 CAPLUS COPYRIGHT 2004 ACS on STN

Searched by Barb O'Bryen, STIC 308-4291

ACCESSION NUMBER: 2003:454290 CAPLUS  
 DOCUMENT NUMBER: 139:36440  
 TITLE: Preparation of 4-piperidinyl alkylamine derivatives as muscarinic receptor antagonists  
 INVENTOR(S): Brotherton-Pleiss, Christine E.; Madera, Ann Marie; Weikert, Robert James  
 PATENT ASSIGNEE(S): F. Hoffmann-La Roche Ag, Switz.  
 SOURCE: PCT Int. Appl., 86 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003048124	A1	20030612	WO 2002-EP13220	20021125
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2003162780	A1	20030828	US 2002-308081	20021202
US 6627644	B2	20030930		
PRIORITY APPLN. INFO.:		US 2001-336795P P 20011203		
OTHER SOURCE(S):		MARPAT 139:36440		
GI				



AB Title compds. I [A = acyl, sulfonyl; R1 = alkyl, allyl; R2-3 = H, halo, (hetero)aryl, etc.; p = 1-2] are prepd. For instance, 7-nitro-3,4-dihydro-1H-naphthalen-2-one is used to alkylate 4-(aminomethyl)piperidine-1-carboxylic acid tert-Bu ester

(1,2-dichloroethane, NaHB(OAc)3), the product alkylated with acetaldehyde (1,2-dichloroethane, NaHB(OAc)3), reduced (EtOH, H<sub>2</sub>-Pd/C) to the corresponding aniline, acylated with 4-(methanesulfonyl)benzoyl chloride (EtOAc, K<sub>2</sub>CO<sub>3</sub>), deprotected (CH<sub>2</sub>Cl<sub>2</sub>, TFA) and treated with isopropylisocyanate (CH<sub>2</sub>Cl<sub>2</sub>) to give II. Muscarinic M<sub>2</sub>/M<sub>3</sub> inhibitory activities are detd. for selected compds. I are useful for the treatment of genitourinary disorders.

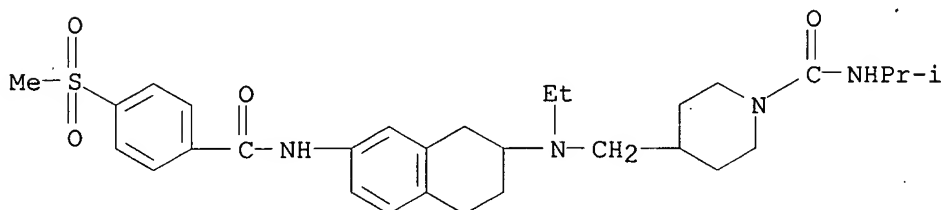
IT 540493-38-7P, 4-[[Ethyl[7-[4-[methanesulfonyl]benzoylamino]-1,2,3,4-tetrahydronaphthalen-2-yl]amino]methyl]piperidine-1-carboxylic acid isopropylamide 540493-44-5P, N-[7-[N-Ethyl-N-[[1-[morpholine-4-carbonyl]piperidin-4-yl]methyl]amino]-5,6,7,8-tetrahydronaphthalen-2-yl]-4-[methanesulfonyl]benzamide 540493-45-6P, 4-[[Ethyl[7-[4-[methanesulfonyl]benzoylamino]-1,2,3,4-tetrahydronaphthalen-2-yl]amino]methyl]piperidine-1-carboxylic acid diethylamide 540493-46-7P, 4-[[Ethyl[7-[4-[methanesulfonyl]benzoylamino]-1,2,3,4-tetrahydronaphthalen-2-yl]amino]methyl]piperidine-1-carboxylic acid methylamide 540493-47-8P, 4-[[Ethyl[7-[4-[methanesulfonyl]benzoylamino]-1,2,3,4-tetrahydronaphthalen-2-yl]amino]methyl]piperidine-1-carboxylic acid phenylamide 540493-48-9P, 4-[[Ethyl[7-[4-[methanesulfonyl]benzoylamino]-1,2,3,4-tetrahydronaphthalen-2-yl]amino]methyl]piperidine-1-carboxylic acid amide 540493-49-0P, 4-[[Ethyl[7-[4-fluorobenzoylamino]-1,2,3,4-tetrahydronaphthalen-2-yl]amino]methyl]piperidine-1-carboxylic acid isopropylamide 540493-55-8P, 4-[[Ethyl[7-[4-trifluoromethylbenzoylamino]-1,2,3,4-tetrahydronaphthalen-2-yl]amino]methyl]piperidine-1-carboxylic acid isopropylamide 540493-56-9P, 4-[[Ethyl[7-[[naphthalene-2-carbonyl]amino]-1,2,3,4-tetrahydronaphthalen-2-yl]amino]methyl]piperidine-1-carboxylic acid isopropylamide 540493-58-1P, 4-[[Ethyl[7-[4-methoxybenzoylamino]-1,2,3,4-tetrahydronaphthalen-2-yl]amino]methyl]piperidine-1-carboxylic acid isopropylamide 540493-59-2P, 4-[[[7-[[Biphenyl-4-carbonyl]amino]-1,2,3,4-tetrahydronaphthalen-2-yl]ethylamino]methyl]piperidine-1-carboxylic acid isopropylamide 540493-63-8P, 4-[[[7-[4-Dimethylaminobenzoylamino]-1,2,3,4-tetrahydronaphthalen-2-yl]ethylamino]methyl]piperidine-1-carboxylic acid isopropylamide 540493-64-9P, 4-[[[7-[2,4-Difluorobenzoylamino]-1,2,3,4-tetrahydronaphthalen-2-yl]ethylamino]methyl]piperidine-1-carboxylic acid isopropylamide

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of 4-piperidinyl alkylamine derivs. as muscarinic receptor antagonists)

RN 540493-38-7 CAPLUS

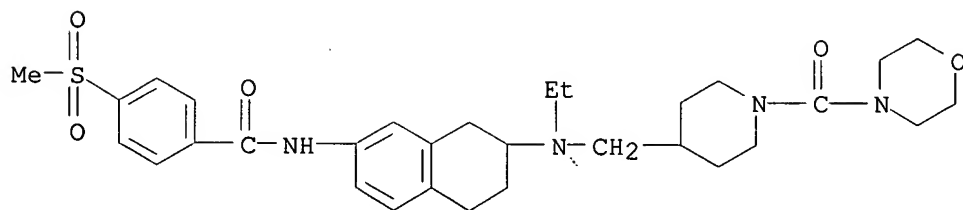
CN 1-Piperidinecarboxamide, 4-[[ethyl[1,2,3,4-tetrahydro-7-[[4-(methylsulfonyl)benzoyl]amino]-2-naphthalenyl]amino]methyl]-N-(1-methylethyl)- (9CI) (CA INDEX NAME)



RN 540493-44-5 CAPLUS

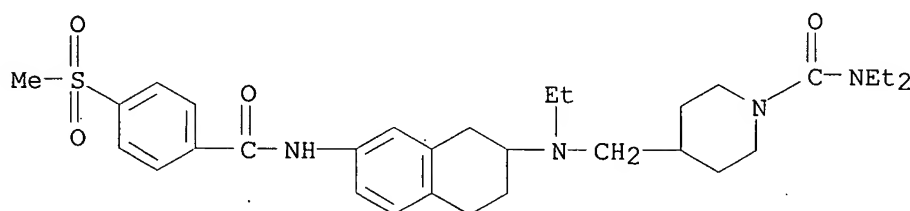
CN Benzamide, N-[7-[ethyl[[1-(4-morpholinylcarbonyl)-4-piperidinyl]methyl]amino]-5,6,7,8-tetrahydro-2-naphthalenyl]-4-

(methylsulfonyl)- (9CI) (CA INDEX NAME)



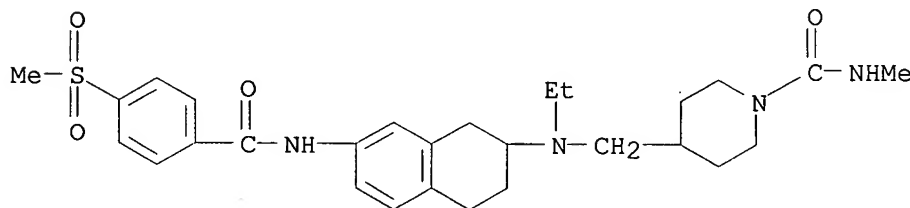
RN 540493-45-6 CAPLUS

CN 1-Piperidinecarboxamide, N,N-diethyl-4-[[ethyl[1,2,3,4-tetrahydro-7-[[4-(methylsulfonyl)benzoyl]amino]-2-naphthalenyl]amino]methyl]- (9CI) (CA INDEX NAME)



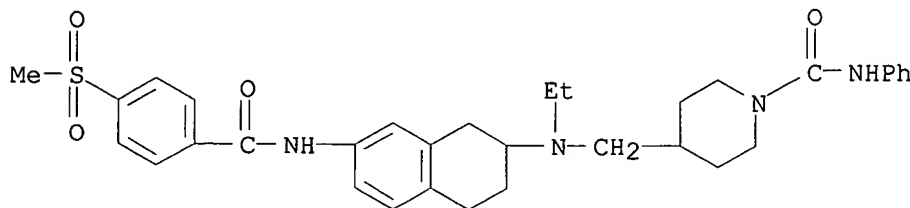
RN 540493-46-7 CAPLUS

CN 1-Piperidinecarboxamide, 4-[[ethyl[1,2,3,4-tetrahydro-7-[[4-(methylsulfonyl)benzoyl]amino]-2-naphthalenyl]amino]methyl]-N-methyl- (9CI) (CA INDEX NAME)



RN 540493-47-8 CAPLUS

CN 1-Piperidinecarboxamide, 4-[[ethyl[1,2,3,4-tetrahydro-7-[[4-(methylsulfonyl)benzoyl]amino]-2-naphthalenyl]amino]methyl]-N-phenyl- (9CI) (CA INDEX NAME)

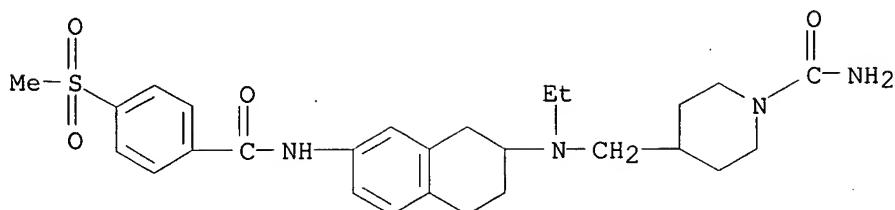


RN 540493-48-9 CAPLUS

CN 1-Piperidinecarboxamide, 4-[[ethyl[1,2,3,4-tetrahydro-7-[[4-(methylsulfonyl)benzoyl]amino]-2-naphthalenyl]amino]methyl]- (9CI) (CA INDEX NAME)

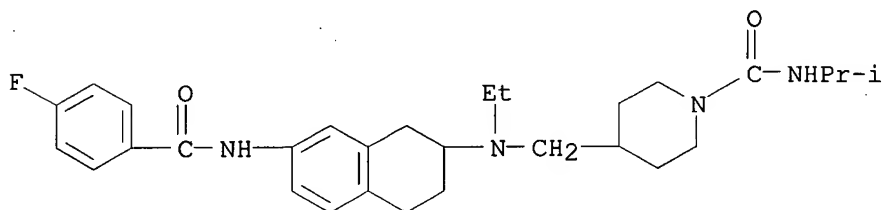


## INDEX NAME)



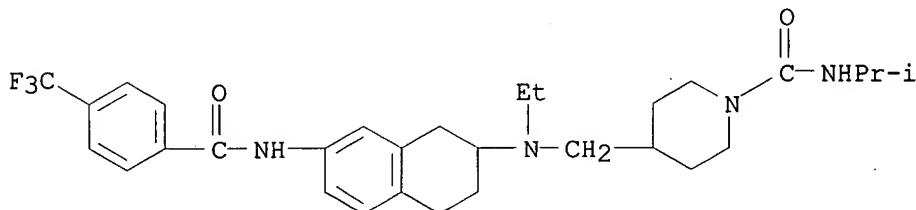
RN 540493-49-0 CAPLUS

CN 1-Piperidinecarboxamide, 4-[[ethyl[7-[(4-fluorobenzoyl)amino]-1,2,3,4-tetrahydro-2-naphthalenyl]amino]methyl]-N-(1-methylethyl)- (9CI) (CA INDEX NAME)



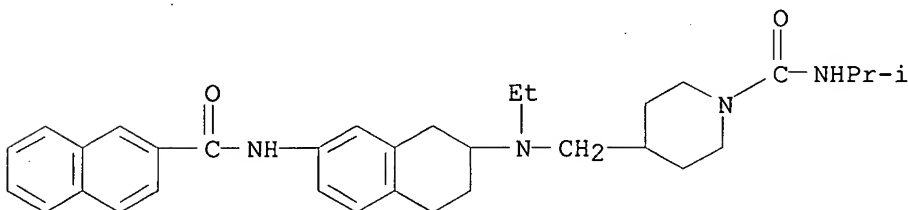
RN 540493-55-8 CAPLUS

CN 1-Piperidinecarboxamide, 4-[[ethyl[1,2,3,4-tetrahydro-7-[[4-(trifluoromethyl)benzoyl]amino]-2-naphthalenyl]amino]methyl]-N-(1-methylethyl)- (9CI) (CA INDEX NAME)



RN 540493-56-9 CAPLUS

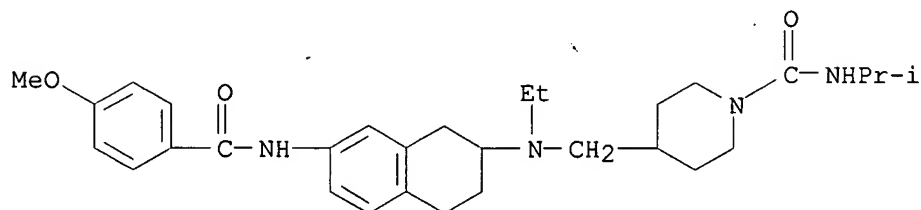
CN 1-Piperidinecarboxamide, 4-[[ethyl[1,2,3,4-tetrahydro-7-[(2-naphthalenylcarbonyl)amino]-2-naphthalenyl]amino]methyl]-N-(1-methylethyl)- (9CI) (CA INDEX NAME)



RN 540493-58-1 CAPLUS

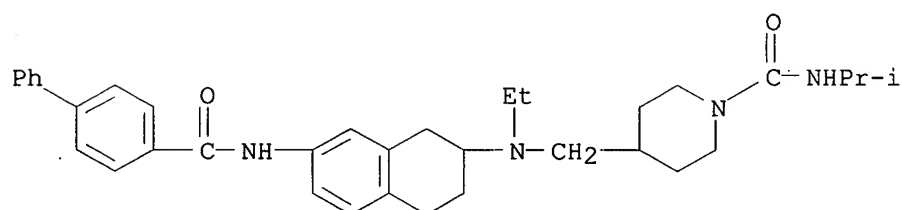
CN 1-Piperidinecarboxamide, 4-[[ethyl[1,2,3,4-tetrahydro-7-[(4-

methoxybenzoyl) amino]-2-naphthalenyl] amino] methyl]-N-(1-methylethyl)-  
(9CI) (CA INDEX NAME)



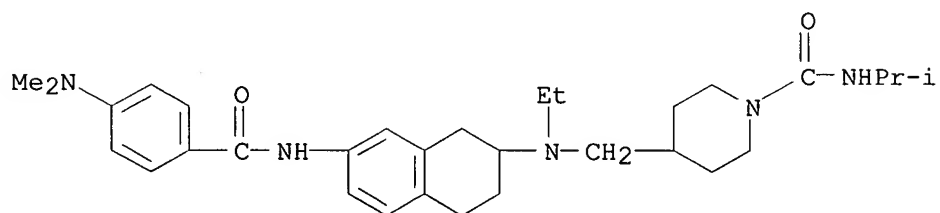
RN 540493-59-2 CAPLUS

CN 1-Piperidinecarboxamide, 4-[[[7-[[[1,1'-biphenyl]-4-ylcarbonyl) amino]-1,2,3,4-tetrahydro-2-naphthalenyl] ethylamino] methyl]-N-(1-methylethyl)-  
(9CI) (CA INDEX NAME)



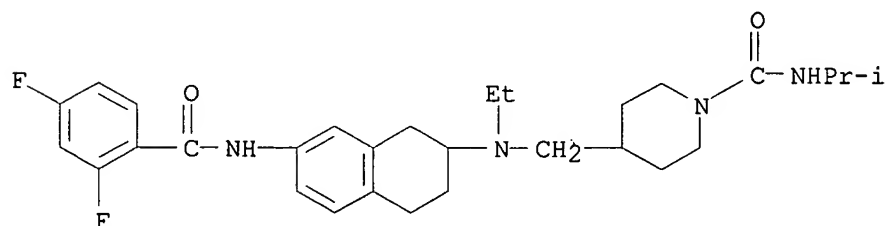
RN 540493-63-8 CAPLUS

CN 1-Piperidinecarboxamide, 4-[[[7-[[4-(dimethylamino) benzoyl] amino]-1,2,3,4-tetrahydro-2-naphthalenyl] ethylamino] methyl]-N-(1-methylethyl)- (9CI) (CA INDEX NAME)



RN 540493-64-9 CAPLUS

CN 1-Piperidinecarboxamide, 4-[[[7-[[2,4-difluorobenzoyl] amino]-1,2,3,4-tetrahydro-2-naphthalenyl] ethylamino] methyl]-N-(1-methylethyl)- (9CI) (CA INDEX NAME)



IT 540493-42-3P, 4-[[Ethyl[7-[4-[methanesulfonyl] benzoylamino]-

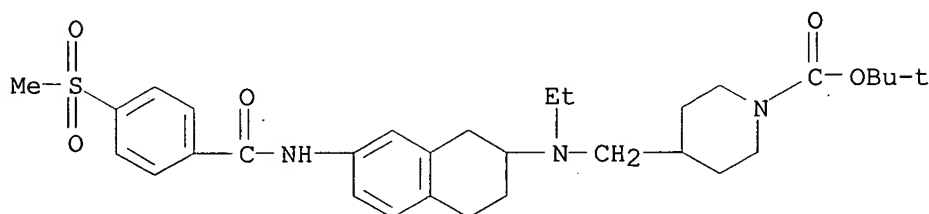
1,2,3,4-tetrahydronaphthalen-2-yl]amino]methyl]piperidine-1-carboxylic acid tert-butyl ester **540493-43-4P**, N-[7-[N-(Ethyl)-N-((piperidin-4-yl)methyl)amino]-5,6,7,8-tetrahydronaphthalen-2-yl]-4-[methanesulfonyl]benzamide

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of 4-piperidinyl alkylamine derivs. as muscarinic receptor antagonists)

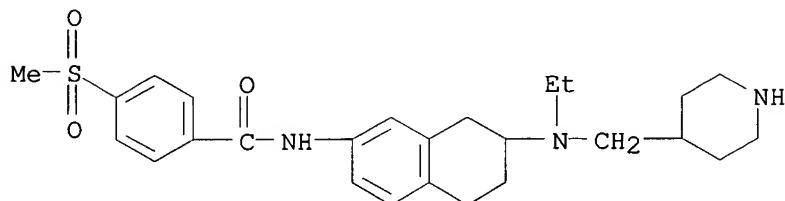
RN 540493-42-3 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[ethyl[1,2,3,4-tetrahydro-7-[[4-(methylsulfonyl)benzoyl]amino]-2-naphthalenyl]amino]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 540493-43-4 CAPLUS

CN Benzamide, N-[7-[ethyl(4-piperidinylmethyl)amino]-5,6,7,8-tetrahydro-2-naphthalenyl]-4-(methylsulfonyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

E19 ANSWER 6 OF 64 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2003:434537 CAPLUS

DOCUMENT NUMBER: 139:22020

TITLE: Preparation of cyclic amides as apolipoprotein B inhibitors

INVENTOR(S): Takasugi, Hisashi; Inoue, Yoshikazu; Terasawa, Takeshi; Nagayoshi, Akira; Furukawa, Yoshiro; Mikami, Masafumi; Hinoue, Kazumasa; Ohtsubo, Makoto; Fukumoto, Daisuke

PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan; Daiso Co., Ltd.

SOURCE: PCT Int. Appl., 297 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003045921	A1	20030605	WO 2002-JP11034	20021024

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,

CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,  
GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS,  
LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL,  
PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA,  
UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU,  
TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG,  
CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL,  
PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR,  
NE, SN, TD, TG

WO 2002090347 A1 20021114 WO 2002-JP3529 20020409

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,  
CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,  
GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS,  
LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL,  
PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA,  
UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM  
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,  
CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,  
BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.:

AU 2001-9164 A 20011128  
AU 2002-443 A 20020211  
TW 2002-91106855 A 20020404  
WO 2002-JP3529 A 20020409  
AU 2001-4722 A 20010430  
AU 2002-9937 A 20020111

OTHER SOURCE(S):

MARPAT 139:22020

AB The present invention relates to R1XC(O)NH-A-Z-Y-R2 (1; mostly 2-phenyl-1-cycloalkenecarboxamides and 1,1'-biphenyl-2-carboxamides) wherein R1 is (un)substituted aryl; R2 is (un)substituted aryl, (un)substituted heteroaryl, (un)substituted lower cycloalkyl, (un)substituted aryloxy, (un)substituted arylsulfonyl, vinyl, carbamoyl, protected carboxy or protected amino; ring A is bivalent residue derived from (un)substituted aryl or (un)substituted heteroaryl; X is bivalent residue derived from cycloalkene, naphthalene, unsatd. 5 or 6-membered heteromonocyclic group, each of which is (un)substituted, and substituted benzene; Y is -(A1)m1-(A2)m2- (A1 is -NH-, -N(R3)-, -CO-, -NHCO-, -CONH-, -COCH:CH-, -O-, -CH2O-, -CH2NHCO-, -CH2CONH or -CH(OH)-, wherein R3 is amino protective group, A2 is lower alkylene (un)substituted by aryl, and m1 and m2 = 0 or 1); and Z is direct bond or piperazine, or a salt thereof. Compds. 1 (e.g. 4'-chloro-4-methyl-N-[4-[[2-(2-pyridinyl)ethyl]amino]phenyl]-1,1'-biphenyl-2-carboxamide) inhibit apolipoprotein B (Apo B) secretion and are useful as a medicament for prophylactic and treatment of diseases or conditions resulting from elevated circulating levels of Apo B. For example, 4'-chloro-4-methyl-N-[4-[[2-(2-pyridinyl)ethyl]amino]phenyl]-1,1'-biphenyl-2-carboxamide exhibited 95% inhibition of Apo B secretion at 10<sup>-8</sup> M; also, it lowered cholesterol and triglyceride levels in ddY-mice by 86 and 36%, resp. after 2 h. Example preps. of >400 1 and 187 intermediates are included. For example, 2-isopropyl-N-[4-[[2-(2-pyridinyl)ethyl]amino]phenyl]-4-[4-(trifluoromethyl)phenyl]-5-pyrimidinecarboxamide (366 mg) was prepd. from 2-isopropyl-4-[4-(trifluoromethyl)phenyl]-5-pyrimidinecarboxylic acid (495 mg), tert-Bu 4-aminophenyl[2-(2-pyridinyl)ethyl]carbamate (470 mg) and 1-hydroxybenzotriazole hydrate (223 mg) and 1-[3-(dimethylamino)propyl]-3-ethylcarbodiimide hydrochloride (315 mg) in N,N-dimethylformamide (20 mL) followed by CF3CO2H. The reactant tert-Bu 4-aminophenyl[2-(2-pyridinyl)ethyl]carbamate (15.03 g) was prepd. from tert-Bu 4-nitrophenyl[2-(2-pyridinyl)ethyl]carbamate (20.03 g) in ethanol (400 mL) and iron(III) chloride (189 mg) and active charcoal (20 g) followed by hydrazine hydrate (11.67 g).

IT 537717-17-2P, N-[5-[[[2-(4-(Trifluoromethyl)phenyl)-1-cyclohexen-1-yl]carbonyl]amino]-2,3-dihydro-1H-inden-2-yl]-2-pyridinecarboxamide  
537717-20-7P, N-[5-[[[2-(4-Methylphenyl)-1-cyclohexen-1-

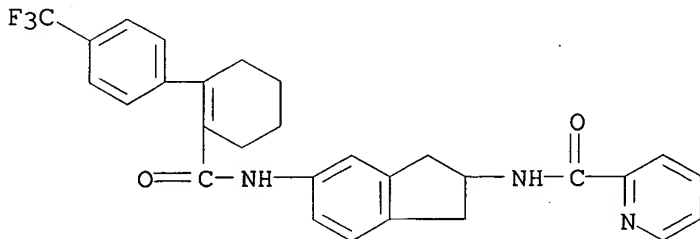
yl]carbonyl]amino]-2,3-dihydro-1H-inden-2-yl]-2-pyridinecarboxamide  
**537717-24-1P**, 2-(4-Methylphenyl)-N-[2-[(2-pyridinylacetyl)amino]-  
 2,3-dihydro-1H-inden-5-yl]-1-cyclohexene-1-carboxamide

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU  
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES  
 (Uses)

(drug candidate; prepn. of cyclic amide compds. as apolipoprotein B  
 secretion inhibitors)

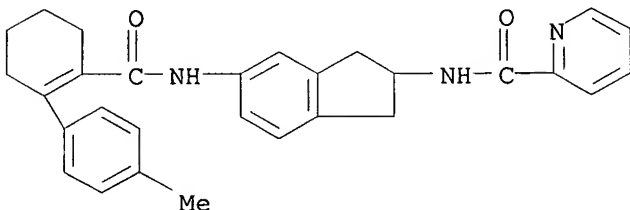
RN 537717-17-2 CAPLUS

CN 2-Pyridinecarboxamide, N-[2,3-dihydro-5-[[[2-[4-(trifluoromethyl)phenyl]-1-  
 cyclohexen-1-yl]carbonyl]amino]-1H-inden-2-yl]- (9CI) (CA INDEX NAME)



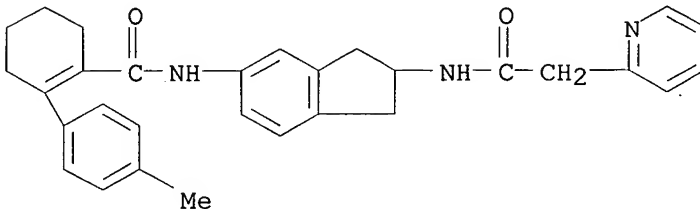
RN 537717-20-7 CAPLUS

CN 2-Pyridinecarboxamide, N-[2,3-dihydro-5-[[[2-(4-methylphenyl)-1-cyclohexen-  
 1-yl]carbonyl]amino]-1H-inden-2-yl]- (9CI) (CA INDEX NAME)



RN 537717-24-1 CAPLUS

CN 2-Pyridineacetamide, N-[2,3-dihydro-5-[[[2-(4-methylphenyl)-1-cyclohexen-1-  
 yl]carbonyl]amino]-1H-inden-2-yl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT:

3

THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS  
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

~~19~~ ANSWER 7 OF 64 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2003:319711 CAPLUS

DOCUMENT NUMBER: 138:338153

TITLE: Preparation of 2'-methyl-5'-(1,3,4-oxadiazol-2-yl)-  
 1,1'-biphenyl-4-carboxamides as p38 kinase inhibitors

INVENTOR(S): Angell, Richard Martyn; Bamborough, Paul; Cockerill,

PATENT ASSIGNEE(S): George Stuart; Walker, Ann Louise  
 SOURCE: Glaxo Group Limited, UK  
 PCT Int. Appl., 61 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003032986	A1	20030424	WO 2002-EP11569	20021016
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

PRIORITY APPLN. INFO.: GB 2001-24936 A 20011017

OTHER SOURCE(S): MARPAT 138:338153

GI

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB The title compds. [I; R1 = (un)substituted Ph; R2 = H, alkyl, (CH<sub>2</sub>)<sub>n</sub> cycloalkyl; R3 = II (wherein R4 = H, alkyl); U = Me, halo; X, Y = H, Me, halo; m = 0-4; n = 0-2; p = 0-2], useful as pharmaceuticals, particularly as p38 kinase inhibitors, were prepd. E.g., 6-step synthesis of the carboxamide III, starting from 3-bromo-4-methylbenzoic acid, was given.

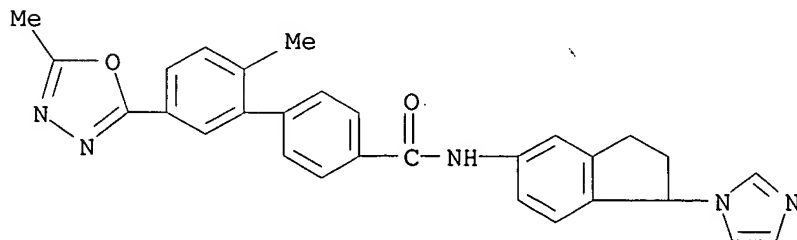
IT **515153-39-6P**

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of 2'-methyl-5'-(1,3,4-oxadiazol-2-yl)-1,1'-biphenyl-4-carboxamides as p38 kinase inhibitors)

RN 515153-39-6 CAPLUS

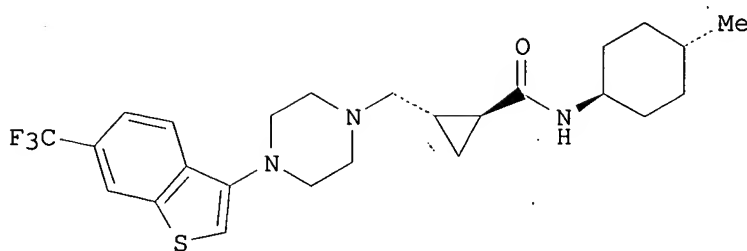
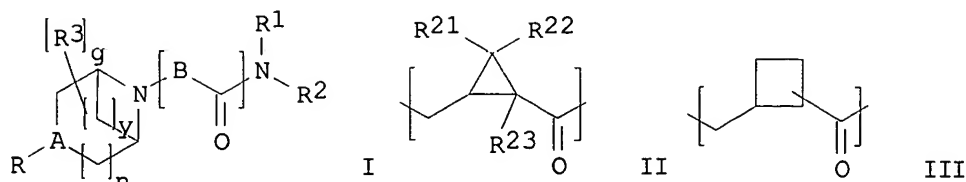
CN [1,1'-Biphenyl]-4-carboxamide, N-[2,3-dihydro-1-(1H-imidazol-1-yl)-1H-inden-5-yl]-2'-methyl-5'-(5-methyl-1,3,4-oxadiazol-2-yl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 8 OF 64 CAPLUS COPYRIGHT 2004 ACS on STN  
 ACCESSION NUMBER: 2002:658095 CAPLUS  
 DOCUMENT NUMBER: 137:201331  
 TITLE: Preparation of heterocyclic substituted  
 cycloalkanecarboxamides as dopamine D3 receptor  
 ligands  
 INVENTOR(S): Hendrix, James A.; Hemmerle, Horst; Urmann, Matthias;  
 Shutske, Gregory; Strupczewski, Joseph T.; Bordeau,  
 Kenneth J.; Jurcak, John G.; Nieduzak, Thaddeus;  
 Jackson, Sharon Anne; Angell, Paul; Fink, David M.;  
 Sabuco, Jean-Francois; Chiang, Yulin; Collar, Nicola  
 PATENT ASSIGNEE(S): Aventis Pharmaceuticals Inc., USA; Carey, James P.;  
 Lee, George E.  
 SOURCE: PCT Int. Appl., 392 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002066446	A1	20020829	WO 2002-US4713	20020215
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1362039	A1	20031119	EP 2002-718999	20020215
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
PRIORITY APPLN. INFO.:				
			US 2001-269672P	P 20010216
			GB 2001-17577	A 20010719
			WO 2002-US4713	W 20020215
OTHER SOURCE(S): MARPAT 137:201331				
GI				



AB The title compds. [I; A = CH, N; n = 1-2; when n = 1, yr = 0 or 2; when n = 2, yr = 0; g = 1-2; R3 = H, alkyl, (CH2)wPh; w = 1-3; R = (un)substituted benzothienyl, pyrazinyl, pyridyl, etc.; BCO = (CR19C20)dCO, II, III, etc.; R19, R20 = H, OH, alkyl; R21-R23 = H, alkyl; d = 3-4; R1 = H, alkyl, etc.; R2 = 3-(imidazol-1-yl)propyl, trans-4-methylcyclohexyl, trans-4-ethylcyclohexyl, etc.] that display selective binding to dopamine D3 receptors, and therefore are useful in treating central nervous system disorders such as psychotic disorders, substance dependence, substance abuse, dyskinetic disorders (e.g., Parkinson's disease, parkinsonism, neuroleptic-induced tardive dyskinesia, Gilles de la Tourette syndrome and Huntington's disease), dementia, anxiety disorders, sleep disorders, circadian rhythm disorders and mood disorders, were prepd. E.g., a multi-step synthesis of trans/trans-IV was described.. Biol. data for more than 1000 compds. I were given. The subject invention is also directed towards processes for the prepn. of the compds. I as well as methods for making and using the compds. as imaging agents for dopamine D3 receptors.

IT 452901-19-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

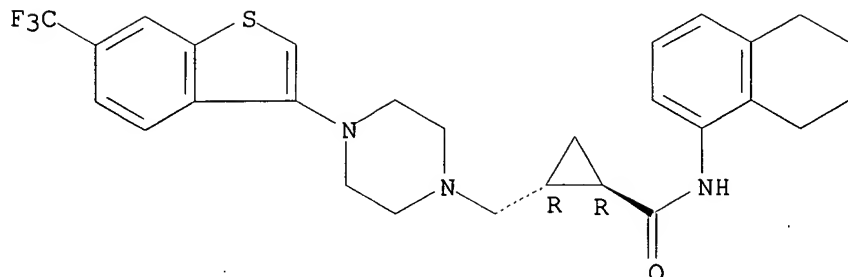
(prepn. of heterocyclic substituted cycloalkanecarboxamides as dopamine D3 receptor ligands)

RN 452901-19-8 CAPLUS

CN Cyclopropanecarboxamide, N-(5,6,7,8-tetrahydro-1-naphthalenyl)-2-[[4-[6-(trifluoromethyl)benzo[b]thien-3-yl]-1-piperazinyl]methyl]-, (1R,2R)-rel-(9CI) (CA INDEX NAME)

Relative stereochemistry.





REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

119 ANSWER 9 OF 64 CAPLUS COPYRIGHT 2004 ACS on STN  
 ACCESSION NUMBER: 2002:107318 CAPLUS  
 DOCUMENT NUMBER: 136:151163  
 TITLE: Preparation of indazole derivatives as JNK enzyme inhibitors  
 INVENTOR(S): Bhagwat, Shripad S.; Satoh, Yoshitaka; Sakata, Steven T.  
 PATENT ASSIGNEE(S): Signal Pharmaceuticals, Inc., USA  
 SOURCE: PCT Int. Appl., 412 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002010137	A2	20020207	WO 2001-US23890	20010730
WO 2002010137	C2	20030206		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2002103229	A1	20020801	US 2001-910950	20010723
EP 1313711	A2	20030528	EP 2001-957332	20010730
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				

PRIORITY APPLN. INFO.: US 2000-221799P P 20000731  
 WO 2001-US23890 W 20010730

OTHER SOURCE(S): MARPAT 136:151163

AB Indazole derivs., 3-R1A-5-R2-1H-indazoles (1), having activity as selective inhibitors of JNK are disclosed. In 1: A is a direct bond, -(CH2)a-, -(CH2)bCH:CH(CH2)c-, or -(CH2)bC.tplbond.C(CH2)c-; R1 is aryl, heteroaryl or heterocycle fused to Ph, each being optionally substituted with 1-4 R3; R2 is -R3, -R4, -(CH2)bC(O)R5, -(CH2)bC(:O)OR5, -(CH2)bC(O)NR5R6, -(CH2)bC(O)NR5(CH2)cC(O)R6, -(CH2)bNR5C(O)R6, -(CH2)bNR5C(O)NR6R7, -(CH2)bNR5R6, -(CH2)bOR5, -(CH2)bSOdR5 or -(CH2)bSO2NR5R6. A is 1-6; b and c are the same or different and are 0-4; d is 0-2. R3 is at each occurrence independently halogen, hydroxy, carboxy, alkyl, alkoxy, haloalkyl, acyloxy, thioalkyl, sulfinylalkyl, sulfonylalkyl, hydroxyalkyl, aryl, substituted aryl, arylalkyl, substituted arylalkyl, heterocycle, substituted heterocycle,

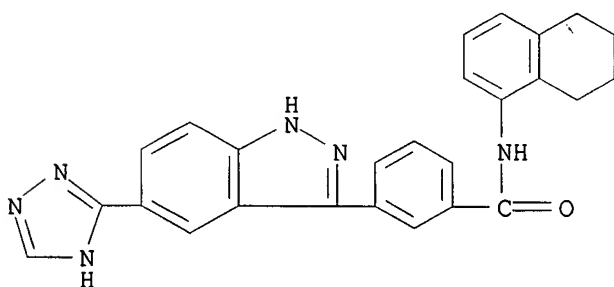
heterocyclealkyl, substituted heterocyclealkyl, -C(O)OR8, -C(O)R8, -C(O)NR8R9, -C(O)NR8OR9, -SO2NR8R9, -NR8SO2R9, -CN, -NO2, -NR8R9, -NR8C(O)R9, -NR8C(O)(CH2)bOR9, -NR8C(O)(CH2)bR9, -O(CH2)bNR5R9, or heterocycle fused to Ph. R4 is alkyl, aryl, arylalkyl, heterocycle or heterocyclealkyl, each being optionally substituted with 1-4 R3, or R4 is halogen or hydroxy. R5, R6 and R7 are the same or different and are H, alkyl, aryl, arylalkyl, heterocycle or heterocyclealkyl, wherein each of R5, R6 and R7 are optionally substituted with 1-4 R3. R8 and R9 are the same or different and at each occurrence independently H, alkyl, aryl, arylalkyl, heterocycle, or heterocyclealkyl, or R8 and R9 taken together with the atom or atoms to which they are bonded form a heterocycle, wherein each of R8, R9, and R8 and R9 taken together to form a heterocycle are optionally substituted with 1-4 R3 with the proviso that: when A is a direct bond and R1 is Ph, R2 is not Me, methoxy, C(O)CH3 or C(O)H; when A is a direct bond and R1 is 4-Me-Ph, R2 is not Me; when A is a direct bond and R1 is 4-F-Ph, R2 is not trifluoromethyl; when A is a direct bond or -C.tplbond.C- and R1 is Ph, R2 is not -COOEt; and when A is a direct bond and R1 is 6,7-dimethoxyisoquinolin-1-yl, R2 is not hydroxy. Such compds. have utility in the treatment of a wide range of conditions that are responsive to JNK inhibition. Thus, methods of treating such conditions are also disclosed, as are pharmaceutical compns. contg. one or more compds. of the above compds. Many of the claimed compds. have IC50 values .ltoreq.0.5 .mu.M in the JNK2 assay, e.g. 5-[3-(4-fluorophenyl)-1H-indazol-5-yl]-2H-1,2,3,4-tetrazole. Although the methods of prepn. are not claimed, >400 example prepn. are included.

IT **395107-61-6P**, N-(5,6,7,8-Tetrahydronaphthyl)-3-[5-(1H-1,2,4-triazol-3-yl)-1H-indazol-3-yl]benzamide  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of indazole derivs. as JNK enzyme inhibitors)

RN 395107-61-6 CAPLUS

CN Benzamide, N-(5,6,7,8-tetrahydro-1-naphthalenyl)-3-[5-(1H-1,2,4-triazol-3-yl)-1H-indazol-3-yl]- (9CI) (CA INDEX NAME)



ANSWER 10 OF 64 CAPLUS COPYRIGHT 2004 ACS on STN  
 ACCESSION NUMBER: 2002:553398 CAPLUS  
 DOCUMENT NUMBER: 137:116895  
 TITLE: Heat-developable photographic material with improved storage stability at high temperature  
 INVENTOR(S): Usakawa, Yasushi; Hanyu, Takeshi; Takamukai, Yasuhiko  
 PATENT ASSIGNEE(S): Konica Co., Japan  
 SOURCE: Jpn. Kokai Tokkyo Koho, 33 pp.  
 CODEN: JKXXAF  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2002207273	A2	20020726	JP 2001-3626	20010111
PRIORITY APPLN. INFO.:			JP 2001-3626	20010111
OTHER SOURCE(S): MARPAT 137:116895				

AB The invention relates to a heat-developable photog. film suitable for the printing plate making and medical use, wherein the photog. film contains an org. Ag salt, a reducing agent, and a compd. represented by XC(W):C(R2)R1 [X = electron withdrawing group; W = H, alkyl, alkenyl, etc.; R1 = hydroxyl, hydroxyl salt; R2 = alkyl, alkenyl, alkynyl, aryl, heterocycle; X joining together with W may form ring], and optionally a compd. represented by ZC(Y):C(R3)H [Y = electron withdrawing group; Z = H, alkyl, alkenyl, etc.; R3 = halo, oxy, thio, amino, heterocycle; Y joining together with Z may form ring], and a hydrazine compd. The photog. film shows improved high temp. storage stability (or preventing sensitivity decrease as well as fog increase).

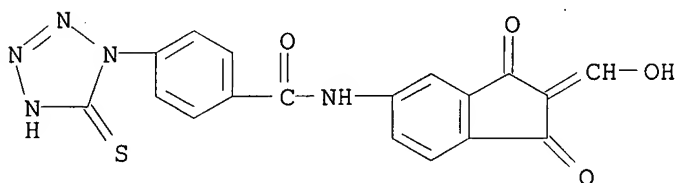
IT **443130-40-3**

RL: DEV (Device component use); MOA (Modifier or additive use); USES (Uses)

(fog inhibitor in heat-developable photog. film for improving storage stability at high temp.)

RN 443130-40-3 CAPLUS

CN Benzamide, N-[2,3-dihydro-2-(hydroxymethylene)-1,3-dioxo-1H-inden-5-yl]-4-(2,5-dihydro-5-thioxo-1H-tetrazol-1-yl)- (9CI) (CA INDEX NAME)



~~119~~ ANSWER 11 OF 64 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2001:868428 CAPLUS

DOCUMENT NUMBER: 136:6017

TITLE: Substituted 1-aminoalkyl-lactams and their use as muscarinic receptor antagonists

INVENTOR(S): Madera, Ann Marie; Stabler, Russell Stephen; Weikert, Robert James

PATENT ASSIGNEE(S): F. Hoffmann-La Roche A.-G., Switz.

SOURCE: PCT Int. Appl., 69 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

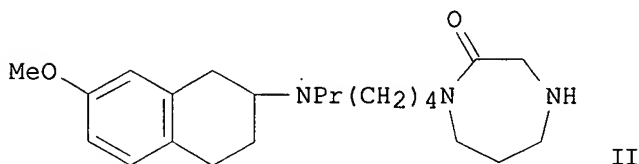
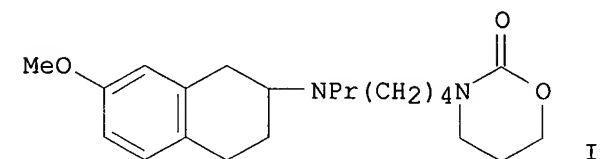
FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001090082	A1	20011129	WO 2001-EP5631	20010517
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CO, CU, CZ, DE, DK, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				

EP 1289964 A1 20030312 EP 2001-933980 20010517  
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
 IE, SI, LT, LV, FI, RO, MK, CY, AL, TR  
 BR 2001011019 A 20030617 BR 2001-11019 20010517  
 JP 2003534331 T2 20031118 JP 2001-586271 20010517  
 US 2002004494 A1 20020110 US 2001-862522 20010522  
 US 6500822 B2 20021231  
 US 2003109524 A1 20030612 US 2002-289055 20021106  
 US 6645958 B2 20031111  
 NO 2002005641 A 20021217 NO 2002-5641 20021122  
 PRIORITY APPLN. INFO.: US 2000-207483P P 20000525  
 US 2001-267617P P 20010209  
 WO 2001-EP5631 W 20010517  
 US 2001-862522 A3 20010522

OTHER SOURCE(S): MARPAT 136:6017  
 GI

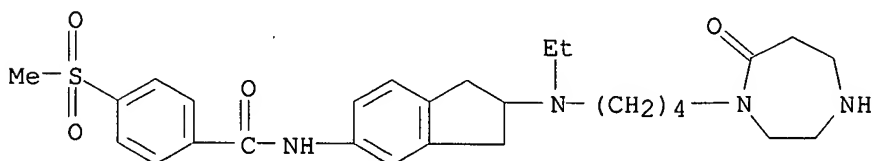


AB Title compds. such as I and II were prepd. Thus, I was prepd. in two steps from 3,4-dihydro-7-methoxy-2(1H)-naphthalenone and PrNH<sub>2</sub>. Muscarinic inhibitory activities (expressed as pK<sub>i</sub> values) of I were 8.20 (m<sub>2</sub>), 7.56 (m<sub>3</sub>), 6.30 (m<sub>5</sub>).

IT **375371-12-3P 375371-49-6P**  
 RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (1-aminoalkyl-lactams and their use as muscarinic receptor antagonists)

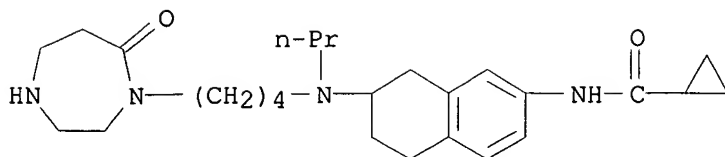
RN 375371-12-3 CAPLUS

CN Benzamide, N-[2-[ethyl[4-(hexahydro-7-oxo-1H-1,4-diazepin-1-yl)butyl]amino]-2,3-dihydro-1H-inden-5-yl]-4-(methylsulfonyl)- (9CI) (CA INDEX NAME)



RN 375371-49-6 CAPLUS

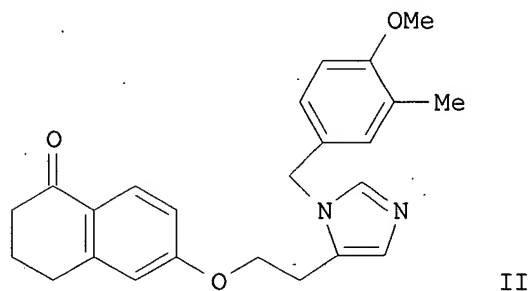
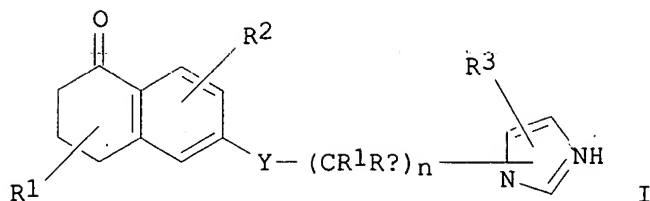
CN Cyclopropanecarboxamide, N-[7-[[4-(hexahydro-7-oxo-1H-1,4-diazepin-1-yl)butyl]propylamino]-5,6,7,8-tetrahydro-2-naphthalenyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 12 OF 64 CAPLUS COPYRIGHT 2004 ACS on STN  
 ACCESSION NUMBER: 2001:780861 CAPLUS  
 DOCUMENT NUMBER: 135:303895  
 TITLE: Preparation of imidazolyl-substituted 3,4-dihydro-2H-naphthalen-1-ones as Ras farnesyl transferase inhibitors  
 INVENTOR(S): Leonard, Daniele Marie; Repine, Joseph Thomas; Rewcastle, Gordon William  
 PATENT ASSIGNEE(S): Warner-Lambert Co., USA  
 SOURCE: PCT Int. Appl., 81 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001079179	A2	20011025	WO 2001-US12433	20010417
WO 2001079179	A3	20020523		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
BR 2001010074	A	20021231	BR 2001-10074	20010417
EP 1276724	A2	20030122	EP 2001-925049	20010417
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2003531142	T2	20031021	JP 2001-576780	20010417
US 2003232790	A1	20031218	US 2002-257128	20021008
PRIORITY APPLN. INFO.:			US 2000-197483P	P 20000417
			WO 2001-US12433	W 20010417
OTHER SOURCE(S):		MARPAT 135:303895		
GI				

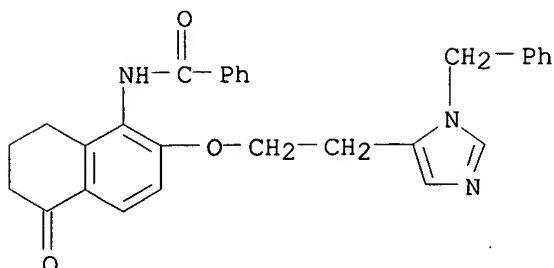


AB The title compds. I [wherein Ra, Rb, and Rc = independently H, alkyl, alkenyl, or (un)substituted (hetero)aryl or (hetero)arylalkyl; R1 and R2 = independently H, alkyl, alkenyl, or (un)substituted (hetero)aryl or (hetero)arylalkyl; and R1 and R2 may be attached through a linker or through an alkyl optionally interrupted by a linker, wherein said linker = NHCO, CONH, CO2, S, SO, SO2, O, or NRc; Y = NRc, O, CHRc, or S; n = 0, 2, or 3 with provisos; R3 = (un)substituted aryl, heteroarylalkyl, or arylalkyl; and pharmaceutically acceptable salts, esters, amides, and prodrugs thereof] were prepd. and formulated as farnesyl transferase enzyme inhibitors. For example, 4-cyanomethyl-1H-imidazole was N-protected with di-tert-Bu dicarbonate (27%) and coupled with 4-methoxy-3-methylbenzyl alc. to give [3-(4-methoxy-3-methylbenzyl)-3H-imidazol-4-yl]acetonitrile (41%). Oxidn. with 2N NaOH (97%), followed by esterification with EtOH (93%), redn. using LiAlH4 (80%), and condensation with 6-hydroxytetralone and TFA workup (43%), afforded II (6% overall yield). The latter inhibited Ras farnesyl transferase in a HEPES/K3PO4 buffer with IC50 of 0.022 .mu.M. I are useful for treating and preventing uncontrolled or abnormal proliferation of tissues, such as cancer, atherosclerosis, restenosis, and psoriasis (no data).

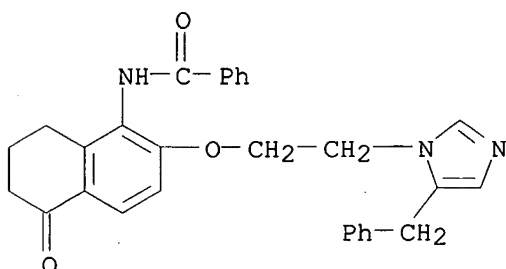
IT **367267-19-4P 367267-35-4P**, N-[2-[2-(5-Benzylimidazol-1-yl)ethoxy]-5-oxo-5,6,7,8-tetrahydronaphthalene-1-yl]benzamide  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (prepn. and formulation of imidazolyl-substituted dihydronaphthalenones as Ras farnesyl transferase inhibitors for treatment of proliferative diseases)

RN 367267-19-4 CAPLUS

CN Benzamide, N-[5,6,7,8-tetrahydro-5-oxo-2-[2-[1-(phenylmethyl)-1H-imidazol-5-yl]ethoxy]-1-naphthalenyl]- (9CI) (CA INDEX NAME)



RN 367267-35-4 CAPLUS  
 CN Benzamide, N-[5,6,7,8-tetrahydro-5-oxo-2-[[2-[5-(phenylmethyl)-1H-imidazol-1-yl]ethoxy]-1-naphthalenyl]- (9CI) (CA INDEX NAME)



~~LI9~~ ANSWER 13 OF 64 CAPLUS COPYRIGHT 2004 ACS on STN  
 ACCESSION NUMBER: 2001:545674 CAPLUS  
 DOCUMENT NUMBER: 135:137516  
 TITLE: Synthesis of heteroarylbenzamides and analogs used for inhibiting protein kinases  
 INVENTOR(S): Bender, Steven Lee; Bhumralkar, Dilip; Collins, Michael Raymond; Cripps, Stephan James; Deal, Judith Gail; Nambu, Mitchell David; Palmer, Cynthia Louise; Peng, Zhengwei; Varney, Michael David; Jia, Lei  
 PATENT ASSIGNEE(S): Agouron Pharmaceuticals, Inc., USA  
 SOURCE: PCT Int. Appl., 237 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001053274	A1	20010726	WO 2001-US1723	20010119
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
US 2002103203	A1	20020801	US 2001-764306	20010119
US 6635641	B2	20031021		
EP 1252146	A1	20021030	EP 2001-906592	20010119

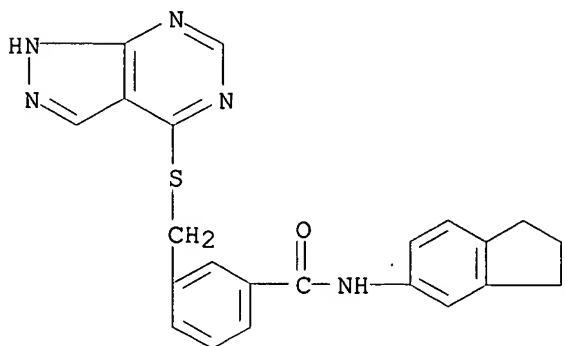
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
 IE, SI, LT, LV, FI, RO, MK, CY, AL, TR  
 BR 2001008025 A 20021105 BR 2001-8025 20010119  
 JP 2003529558 T2 20031007 JP 2001-553276 20010119  
 PRIORITY APPLN. INFO.: US 2000-177059P P 20000121  
 WO 2001-US1723 W 20010119  
 OTHER SOURCE(S): MARPAT 135:137516  
 GI

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB Title compds. I [Z = CH, NH; Q = moiety such that ring A is (un)substituted mono- or bicyclic heteroaryl which has at least 2 carbon atoms in the heteroaryl ring system; X = CH<sub>2</sub>, O, S, NH; Y = CH<sub>2</sub>, O, S, provided at least one of X and Y = CH<sub>2</sub> or X and Y form a cyclopropyl ring; R<sub>2</sub>-3 = H, Me, halo, CF<sub>3</sub>, CN; R<sub>4</sub> = CONHR<sub>5</sub>, NHCOR<sub>6</sub>; where R<sub>5</sub> = (un)substituted aryl, heteroaryl, cycloalkyl, etc.; R<sub>6</sub> = (un)substituted aryl, heteroaryl, cycloalkyl, etc] are prepd. Examples include synthetic procedures for over 150 compds., 11 biol. assays and 3 sample formulations. For instance, 3-mercaptobenzoic acid was treated with .alpha.-chloro-N-methoxy-N-methylacetamide followed by carbodiimide coupling to 2-methyl-6-aminoquinoline to give II. II was converted to a .beta.-thiono-ketone with thioacetanilide/n-BuLi followed by treatment with hydrazine to give pyrazole III. III gave 85% inhibition of an lck protein tyrosine kinase at 5 .mu.M and had K<sub>i</sub> = 2.21 nM for VEGF-R2.DELTA.50. Treatment of cancer as well as other disease states assocd. with unwanted angiogenesis and/or cellular proliferation, such as diabetic retinopathy, neovascular glaucoma, rheumatoid arthritis, and psoriasis are claimed uses of the invention.

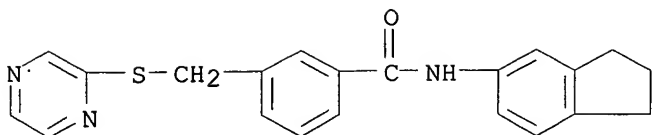
IT 351317-89-0P 351318-14-4P 351318-72-4P  
 351318-82-6P 351319-54-5P 351319-92-1P  
 351320-69-9P  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (synthesis of heteroarylbenzamides used for inhibiting protein kinases)

RN 351317-89-0 CAPLUS  
 CN Benzamide, N-(2,3-dihydro-1H-inden-5-yl)-3-[(1H-pyrazolo[3,4-d]pyrimidin-4-ylthio)methyl]- (9CI) (CA INDEX NAME)



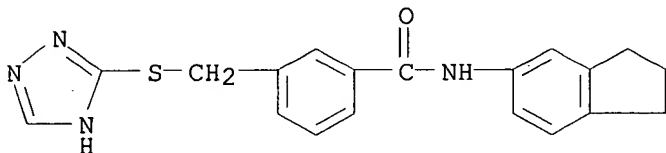
RN 351318-14-4 CAPLUS  
 CN Benzamide, N-(2,3-dihydro-1H-inden-5-yl)-3-[(pyrazinylthio)methyl]- (9CI)  
 (CA INDEX NAME)





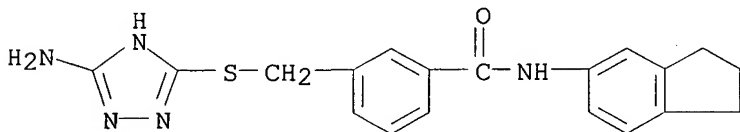
RN 351318-72-4 CAPLUS

CN Benzamide, N-(2,3-dihydro-1H-inden-5-yl)-3-[(1H-1,2,4-triazol-3-ylthio)methyl]- (9CI) (CA INDEX NAME)



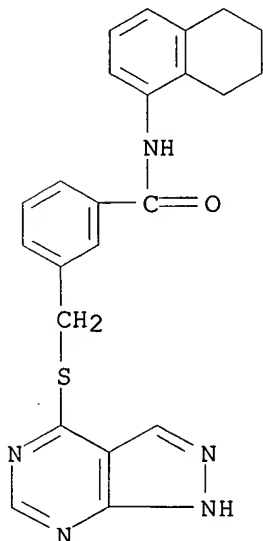
RN 351318-82-6 CAPLUS

CN Benzamide, 3-[[5-amino-1H-1,2,4-triazol-3-ylthio)methyl]-N-(2,3-dihydro-1H-inden-5-yl)- (9CI) (CA INDEX NAME)



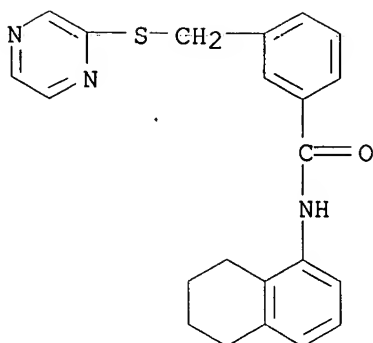
RN 351319-54-5 CAPLUS

CN Benzamide, 3-[(1H-pyrazolo[3,4-d]pyrimidin-4-ylthio)methyl]-N-(5,6,7,8-tetrahydro-1-naphthalenyl)- (9CI) (CA INDEX NAME)



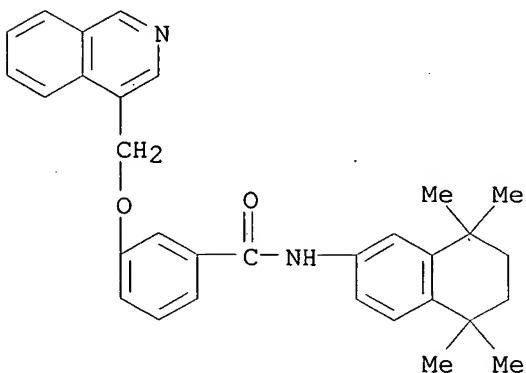
RN 351319-92-1 CAPLUS

CN Benzamide, 3-[(pyrazinylthio)methyl]-N-(5,6,7,8-tetrahydro-1-naphthalenyl)- (9CI) (CA INDEX NAME)



RN 351320-69-9 CAPLUS

CN Benzamide, 3-(4-isoquinolinylmethoxy)-N-(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

~~E19~~ ANSWER 14 OF 64 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2001:545662 CAPLUS

DOCUMENT NUMBER: 135:137522

TITLE: Preparation of carboxamides as inhibitors of microsomal triglyceride transfer protein and of apolipoprotein B secretion

INVENTOR(S): Ksander, Gary Michael

PATENT ASSIGNEE(S): Novartis A.-G., Switz.; Novartis-Erfindungen Verwaltungsgesellschaft m.b.H.

SOURCE: PCT Int. Appl., 58 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001053260	A1	20010726	WO 2001-EP439	20010116
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,				

Searched by Barb O'Bryen, STIC 308-4291

CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR,  
 HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT,  
 LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU,  
 SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN,  
 YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM  
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 DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,  
 BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG  
 EP 1259484 A1 20021127 EP 2001-909632 20010116  
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 JP 2003520270 T2 20030702 JP 2001-553264 20010116  
 US 2003109700 A1 20030612 US 2002-181006 20020711  
 PRIORITY APPLN. INFO.: US 2000-483971 A 20000118  
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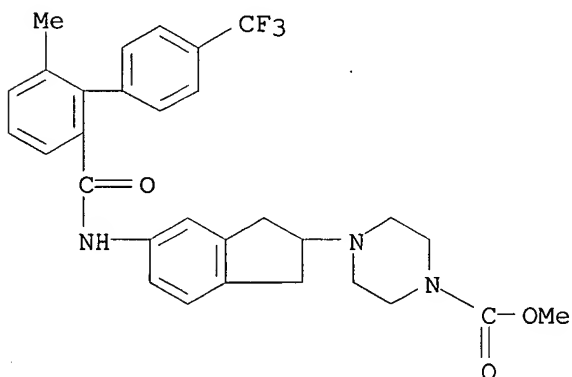
\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB The title compds. [I; R2C, R3C, R4C or R5C may be replaced by N; n = 1-3; R1 = aryl, heteroaryl, (aryl or heteroaryl)alkoxy; R2-R5 = H, alkyl, alkoxy, halo, CF3, CN; R6 = II, III (wherein m = 1-3; R7 = H, alkyl, (aryl or heteroaryl)alkyl, etc.; W = O, S, NR8; R8 = H, alkyl, aryl, etc.)] which are useful as inhibitors of microsomal triglyceride transfer protein (MTP) and of apolipoprotein B (apoB) secretion, were prepd. and formulated. Thus, refluxing (R)-N-(2-aminoindan-5-yl)-6-methyl-4'-trifluoromethyl-1,1'-biphenyl-2-carboxamide with N,N-bis(2-chloroethyl)carbamic acid Me ester in diisopropylamine afforded the carboxamide IV which showed IC50 of about 2 nM in the apo B assay an IC50 of about 40 nM in the MTP assay.

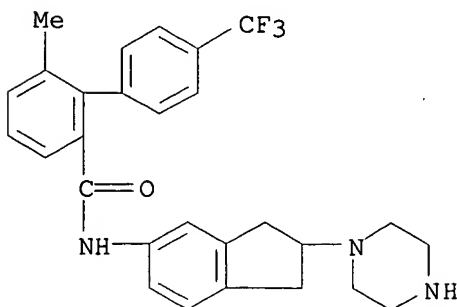
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 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
 (prepn. of carboxamides as inhibitors of microsomal triglyceride transfer protein and of apolipoprotein B secretion)

RN 351414-67-0 CAPLUS

CN 1-Piperazinecarboxylic acid, 4-[2,3-dihydro-5-[[[6-methyl-4'-(trifluoromethyl)[1,1'-biphenyl]-2-yl]carbonyl]amino]-1H-inden-2-yl]-, methyl ester (9CI) (CA INDEX NAME)



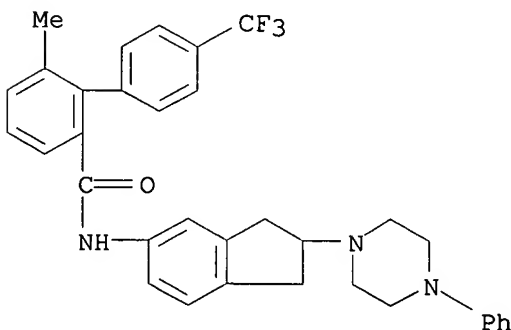
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 CN [1,1'-Biphenyl]-2-carboxamide, N-[2,3-dihydro-2-(1-piperazinyl)-1H-inden-5-yl]-6-methyl-4'-(trifluoromethyl)- (9CI) (CA INDEX NAME)



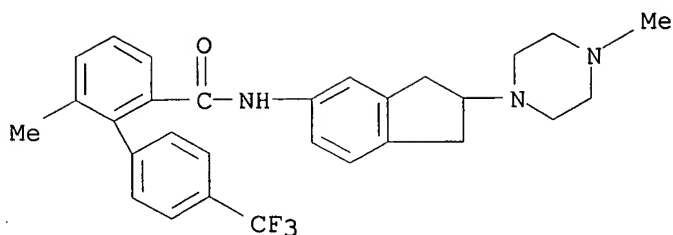
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 351415-02-6P 351415-03-7P 351415-04-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (prepn. of carboxamides as inhibitors of microsomal triglyceride transfer protein and of apolipoprotein B secretion)

RN 351414-68-1 CAPLUS  
 CN [1,1'-Biphenyl]-2-carboxamide, N-[2,3-dihydro-2-(4-phenyl-1-piperazinyl)-1H-inden-5-yl]-6-methyl-4'-(trifluoromethyl)- (9CI) (CA INDEX NAME)

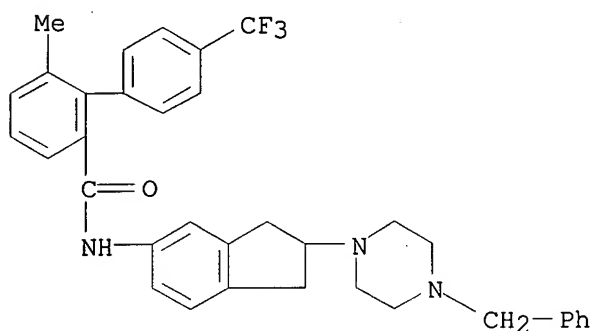


RN 351414-69-2 CAPLUS  
 CN [1,1'-Biphenyl]-2-carboxamide, N-[2,3-dihydro-2-(4-methyl-1-piperazinyl)-1H-inden-5-yl]-6-methyl-4'-(trifluoromethyl)- (9CI) (CA INDEX NAME)



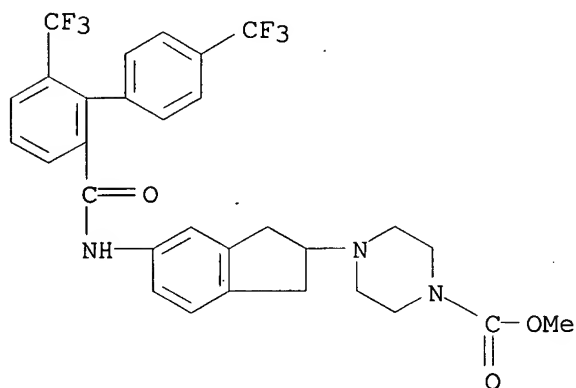
RN 351414-70-5 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[2,3-dihydro-2-[4-(phenylmethyl)-1-piperazinyl]-1H-inden-5-yl]-6-methyl-4'-(trifluoromethyl)- (9CI) (CA INDEX NAME)



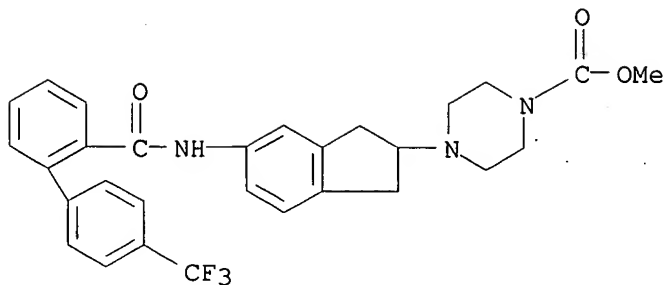
RN 351414-71-6 CAPLUS

CN 1-Piperazinecarboxylic acid, 4-[5-[[[4',6-bis(trifluoromethyl)[1,1'-biphenyl]-2-yl]carbonyl]amino]-2,3-dihydro-1H-inden-2-yl]-, methyl ester (9CI) (CA INDEX NAME)



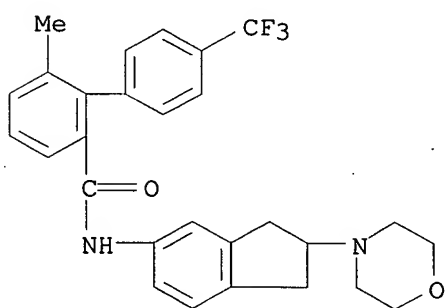
RN 351414-72-7 CAPLUS

CN 1-Piperazinecarboxylic acid, 4-[2,3-dihydro-5-[[[4'-(trifluoromethyl)[1,1'-biphenyl]-2-yl]carbonyl]amino]-1H-inden-2-yl]-, methyl ester (9CI) (CA INDEX NAME)



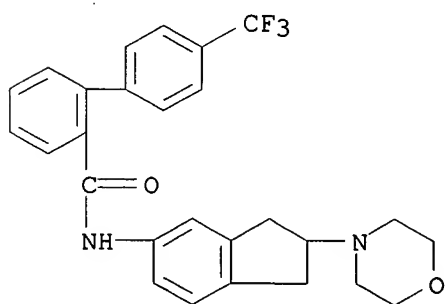
RN 351414-73-8 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[2,3-dihydro-2-(4-morpholinyl)-1H-inden-5-yl]-6-methyl-4'-(trifluoromethyl)- (9CI) (CA INDEX NAME)



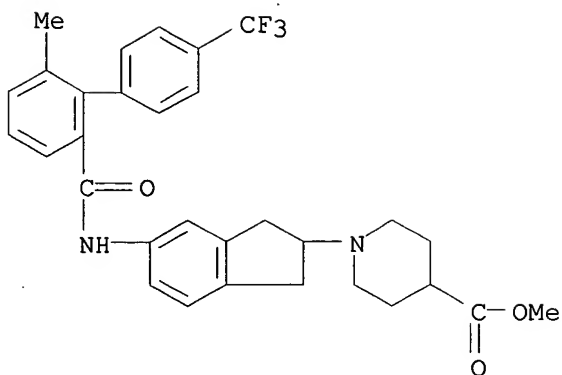
RN 351414-74-9 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[2,3-dihydro-2-(4-morpholinyl)-1H-inden-5-yl]-4'-(trifluoromethyl)- (9CI) (CA INDEX NAME)



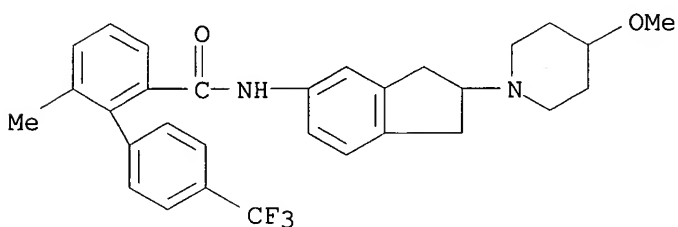
RN 351414-75-0 CAPLUS

CN 4-Piperidinecarboxylic acid, 1-[2,3-dihydro-5-[[[6-methyl-4'-(trifluoromethyl)[1,1'-biphenyl]-2-yl]carbonyl]amino]-1H-inden-2-yl]-, methyl ester (9CI) (CA INDEX NAME)



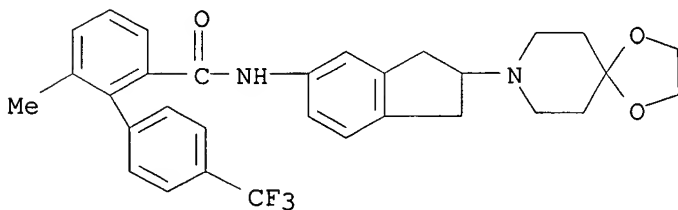
RN 351414-76-1 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[2,3-dihydro-2-(4-methoxy-1-piperidinyl)-1H-inden-5-yl]-6-methyl-4'-(trifluoromethyl)- (9CI) (CA INDEX NAME)



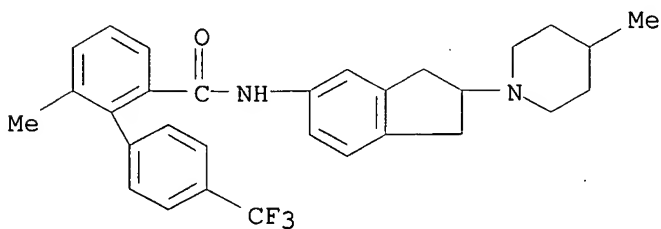
RN 351414-77-2 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[2-(1,4-dioxo-8-azaspiro[4.5]dec-8-yl)-2,3-dihydro-1H-inden-5-yl]-6-methyl-4'-(trifluoromethyl)- (9CI) (CA INDEX NAME)



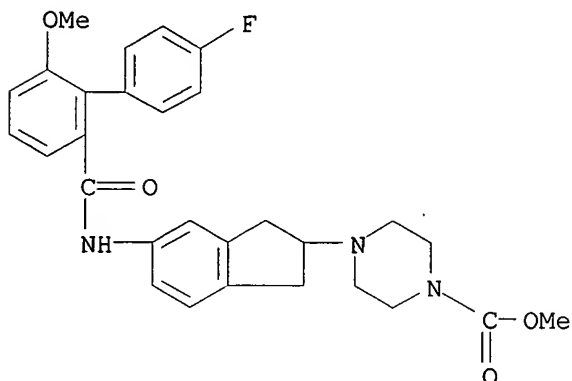
RN 351414-78-3 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[2,3-dihydro-2-(4-methyl-1-piperidinyl)-1H-inden-5-yl]-6-methyl-4'-(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 351414-79-4 CAPLUS

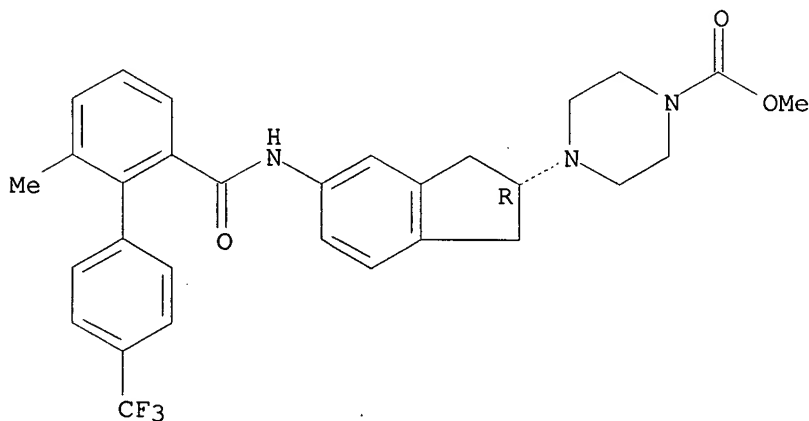
CN 1-Piperazinecarboxylic acid, 4-[5-[[[4'-fluoro-6-methoxy[1,1'-biphenyl]-2-yl]carbonyl]amino]-2,3-dihydro-1H-inden-2-yl]-, methyl ester (9CI) (CA INDEX NAME)



RN 351414-80-7 CAPLUS

CN 1-Piperazinecarboxylic acid, 4-[(2R)-2,3-dihydro-5-[[[6-methyl-4'-(trifluoromethyl)[1,1'-biphenyl]-2-yl]carbonyl]amino]-1H-inden-2-yl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

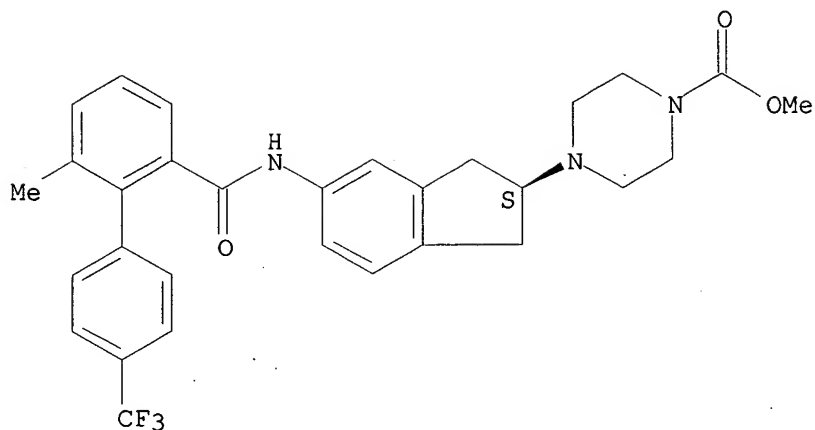


RN 351414-81-8 CAPLUS

CN 1-Piperazinecarboxylic acid, 4-[(2S)-2,3-dihydro-5-[[[6-methyl-4'-(trifluoromethyl)[1,1'-biphenyl]-2-yl]carbonyl]amino]-1H-inden-2-yl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

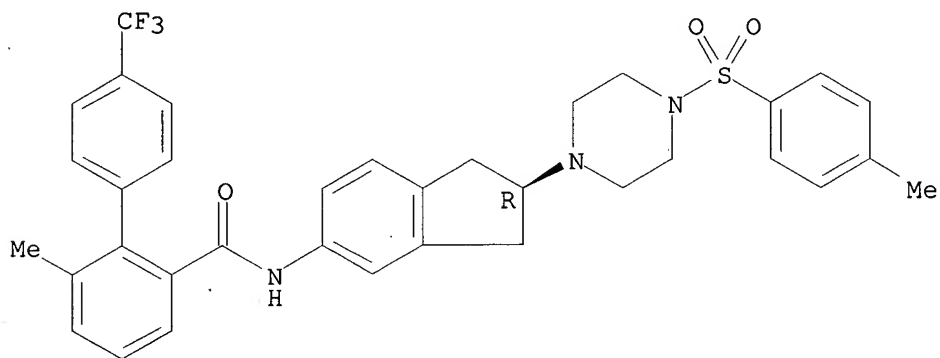




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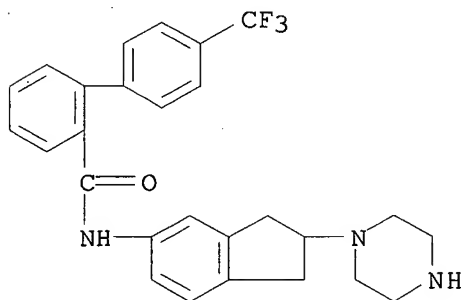
CN [1,1'-Biphenyl]-2-carboxamide, N-[(2R)-2,3-dihydro-2-[4-[(4-methylphenyl)sulfonyl]-1-piperazinyl]-1H-inden-5-yl]-6-methyl-4'-(trifluoromethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RN 351414-84-1 CAPLUS

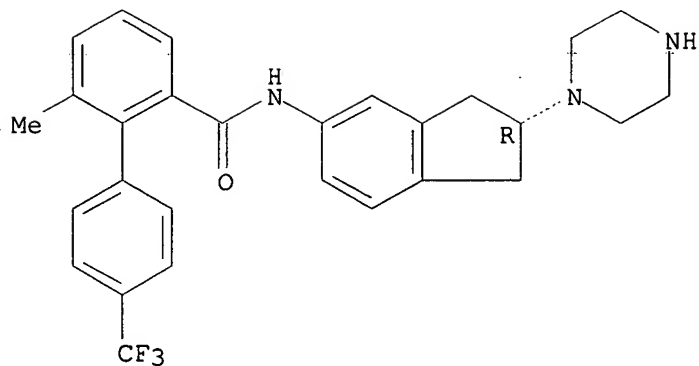
CN [1,1'-Biphenyl]-2-carboxamide, N-[2,3-dihydro-2-(1-piperazinyl)-1H-inden-5-yl]-4'-(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 351414-85-2 CAPLUS

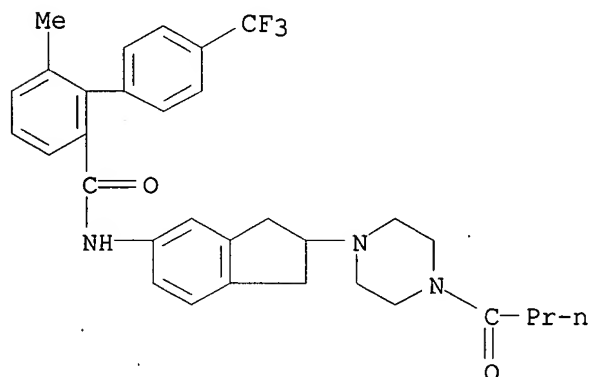
CN [1,1'-Biphenyl]-2-carboxamide, N-[(2R)-2,3-dihydro-2-(1-piperazinyl)-1H-inden-5-yl]-6-methyl-4'-(trifluoromethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



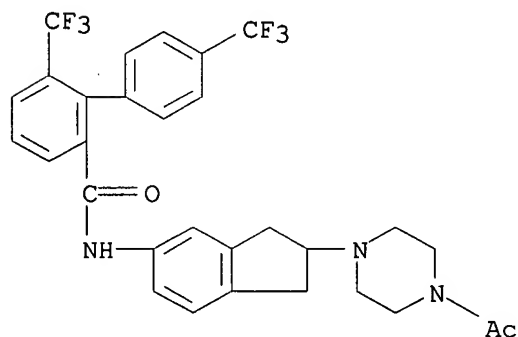
RN 351414-86-3 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[2,3-dihydro-2-[4-(1-oxobutyl)-1-piperazinyl]-1H-inden-5-yl]-6-methyl-4'-(trifluoromethyl)- (9CI) (CA INDEX NAME)



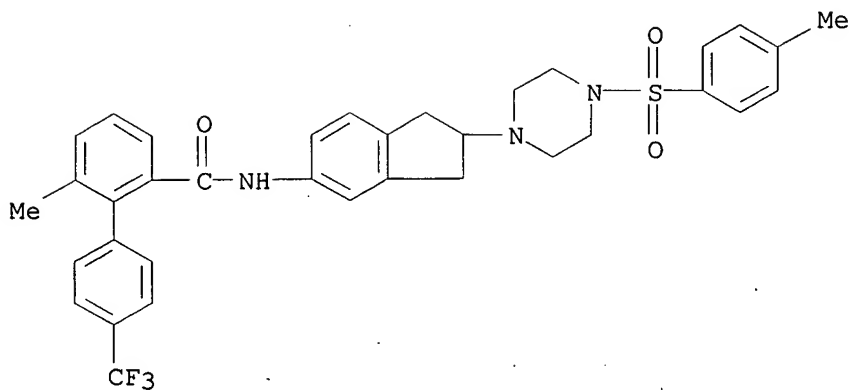
RN 351414-87-4 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[2-(4-acetyl-1-piperazinyl)-2,3-dihydro-1H-inden-5-yl]-4',6-bis(trifluoromethyl)- (9CI) (CA INDEX NAME)



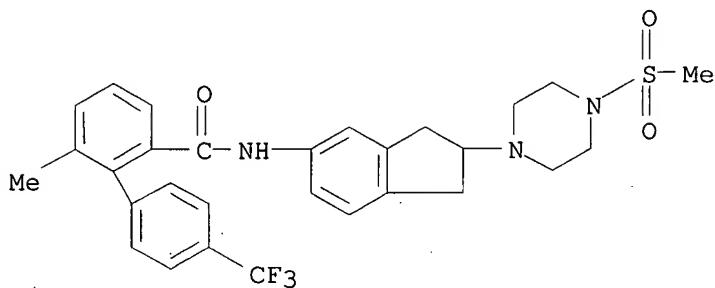
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CN [1,1'-Biphenyl]-2-carboxamide, N-[2,3-dihydro-2-[4-[(4-methylphenyl)sulfonyl]-1-piperazinyl]-1H-inden-5-yl]-6-methyl-4'-(trifluoromethyl)- (9CI) (CA INDEX NAME)



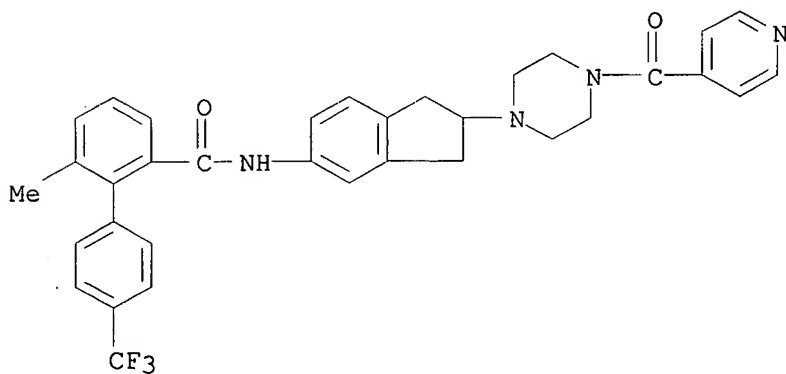
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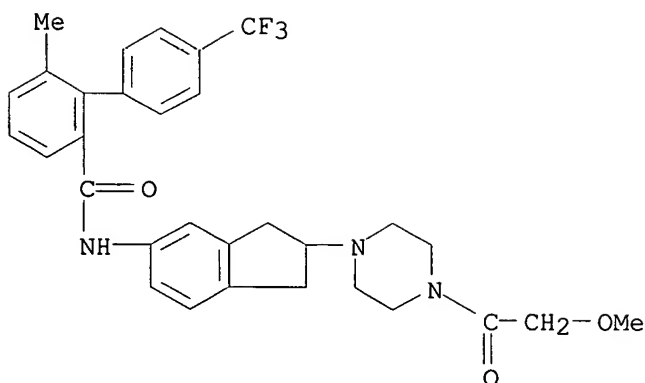
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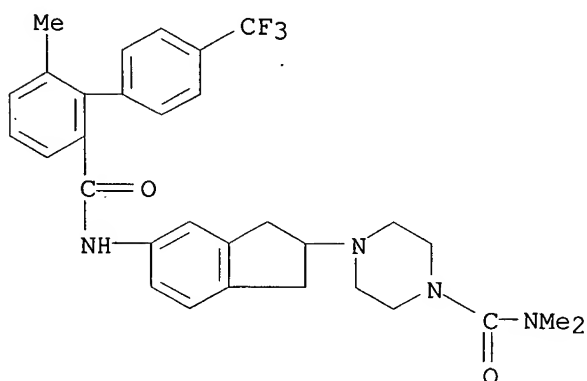
RN 351414-91-0 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[2,3-dihydro-2-[4-(methoxyacetyl)-1-piperazinyl]-1H-inden-5-yl]-6-methyl-4'-(trifluoromethyl)- (9CI) (CA INDEX NAME)



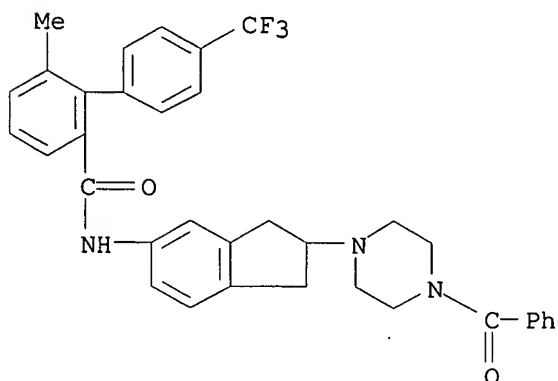
RN 351414-92-1 CAPLUS

CN 1-Piperazinecarboxamide, 4-[2,3-dihydro-5-[[[6-methyl-4'-(trifluoromethyl)[1,1'-biphenyl]-2-yl]carbonyl]amino]-1H-inden-2-yl]-N,N-dimethyl- (9CI) (CA INDEX NAME)



RN 351414-93-2 CAPLUS

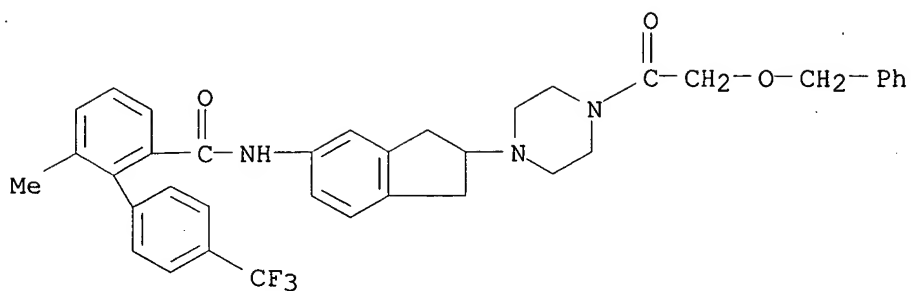
CN [1,1'-Biphenyl]-2-carboxamide, N-[2-(4-benzoyl-1-piperazinyl)-2,3-dihydro-1H-inden-5-yl]-6-methyl-4'-(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 351414-94-3 CAPLUS

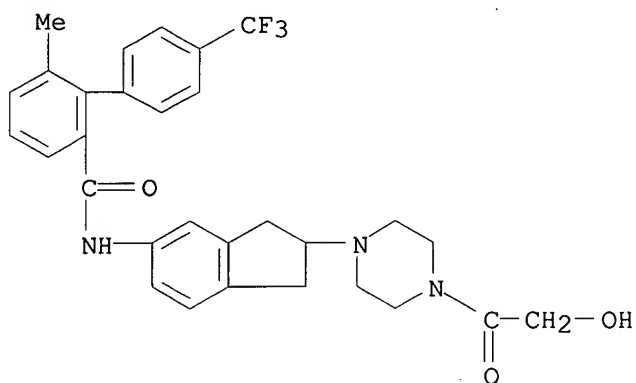
CN [1,1'-Biphenyl]-2-carboxamide, N-[2,3-dihydro-2-[4-[(phenylmethoxy)acetyl]-1-piperazinyl]-1H-inden-5-yl]-6-methyl-4'-(trifluoromethyl)- (9CI) (CA INDEX NAME)

INDEX NAME)



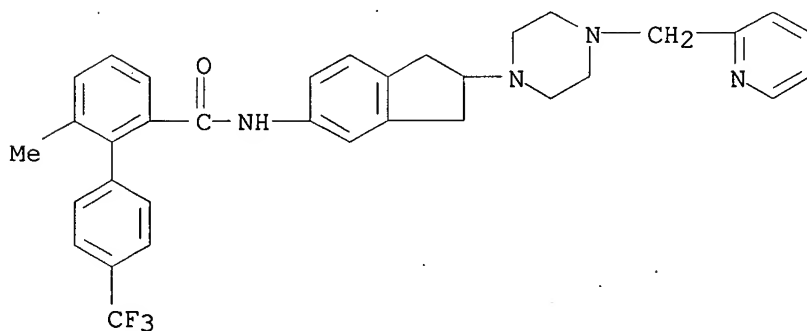
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CN [1,1'-Biphenyl]-2-carboxamide, N-[2,3-dihydro-2-[4-(hydroxyacetyl)-1-piperazinyl]-1H-inden-5-yl]-6-methyl-4'-(trifluoromethyl)- (9CI) (CA INDEX NAME)



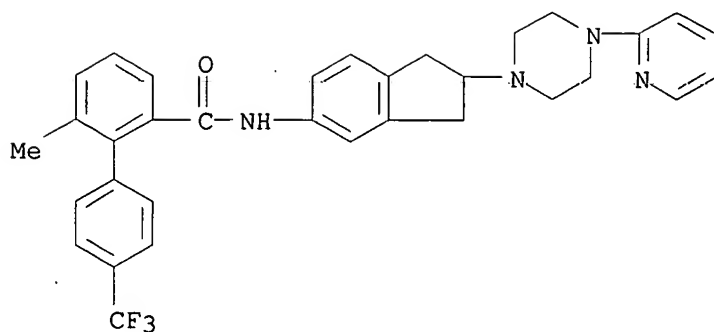
RN 351414-96-5 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[2,3-dihydro-2-[4-(2-pyridinylmethyl)-1-piperazinyl]-1H-inden-5-yl]-6-methyl-4'-(trifluoromethyl)- (9CI) (CA INDEX NAME)



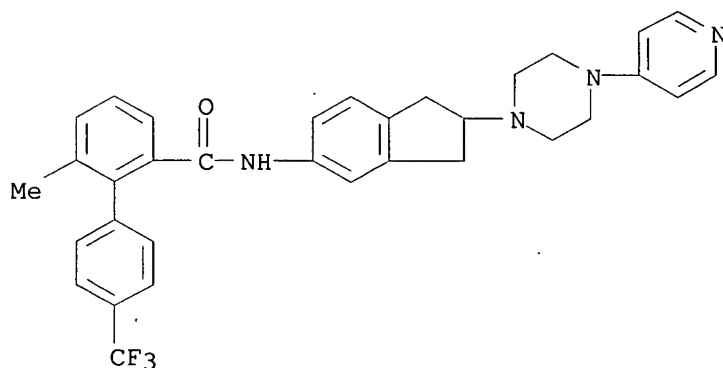
RN 351414-97-6 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[2,3-dihydro-2-[4-(2-pyridinyl)-1-piperazinyl]-1H-inden-5-yl]-6-methyl-4'-(trifluoromethyl)- (9CI) (CA INDEX NAME)



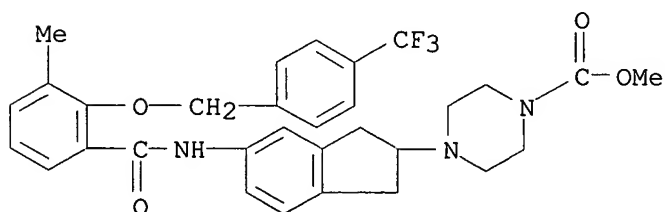
RN 351414-98-7 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[2,3-dihydro-2-[4-(4-pyridinyl)-1-piperazinyl]-1H-inden-5-yl]-6-methyl-4'-(trifluoromethyl)- (9CI) (CA INDEX NAME)



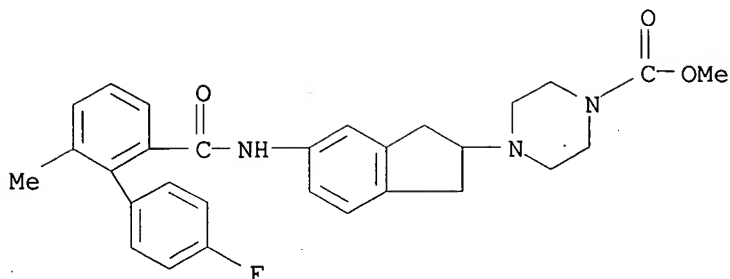
RN 351414-99-8 CAPLUS

CN 1-Piperazinecarboxylic acid, 4-[2,3-dihydro-5-[[3-methyl-2-[[4-(trifluoromethyl)phenyl]methoxy]benzoyl]amino]-1H-inden-2-yl]-, methyl ester (9CI) (CA INDEX NAME)



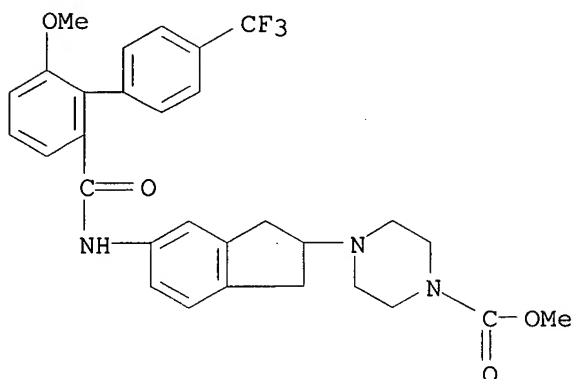
RN 351415-00-4 CAPLUS

CN 1-Piperazinecarboxylic acid, 4-[5-[[[4'-fluoro-6-methyl[1,1'-biphenyl]-2-yl]carbonyl]amino]-2,3-dihydro-1H-inden-2-yl]-, methyl ester (9CI) (CA INDEX NAME)



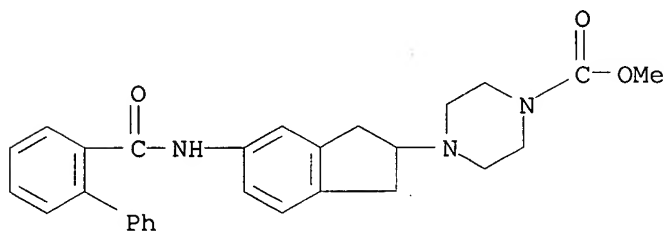
RN 351415-01-5 CAPLUS

CN 1-Piperazinecarboxylic acid, 4-[2,3-dihydro-5-[[[6-methoxy-4'-(trifluoromethyl)[1,1'-biphenyl]-2-yl]carbonyl]amino]-1H-inden-2-yl]-, methyl ester (9CI) (CA INDEX NAME)



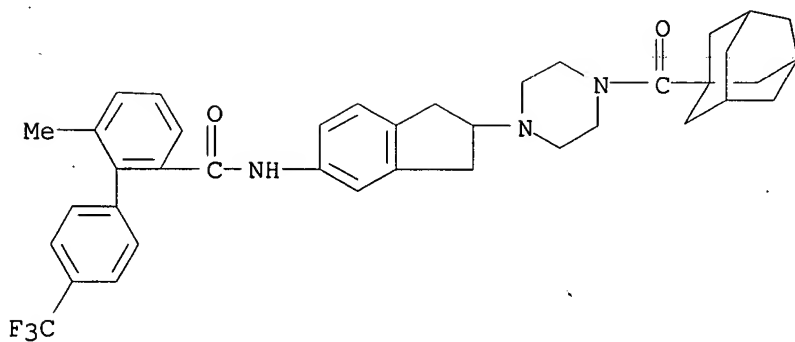
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CN 1-Piperazinecarboxylic acid, 4-[5-[[[1,1'-biphenyl]-2-yl]carbonyl]amino]-2,3-dihydro-1H-inden-2-yl]-, methyl ester (9CI) (CA INDEX NAME)



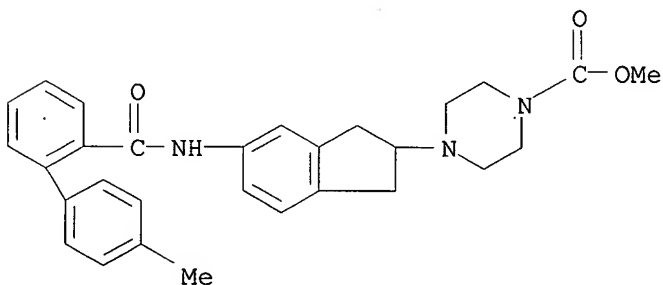
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CN [1,1'-Biphenyl]-2-carboxamide, N-[2,3-dihydro-2-[4-(tricyclo[3.3.1.1.3,7]dec-1-ylcarbonyl)-1-piperazinyl]-1H-inden-5-yl]-6-methyl-4'-(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 351415-04-8 CAPLUS

CN 1-Piperazinecarboxylic acid, 4-[2,3-dihydro-5-[[4'-methyl[1,1'-biphenyl]-2-yl]carbonyl]amino]-1H-inden-2-yl]-, methyl ester (9CI) (CA INDEX NAME)

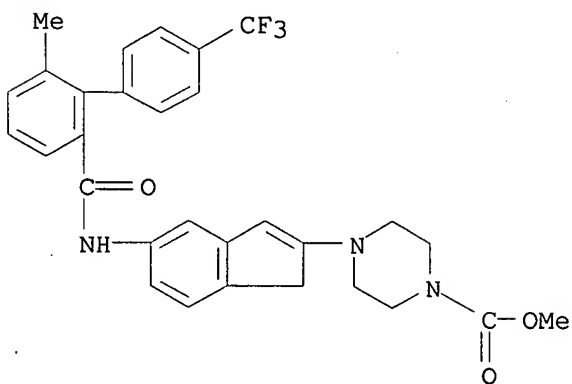


IT 351415-07-1

RL: RCT (Reactant); RACT (Reactant or reagent)  
(prepn. of carboxamides as inhibitors of microsomal triglyceride transfer protein and of apolipoprotein B secretion)

RN 351415-07-1 CAPLUS

CN 1-Piperazinecarboxylic acid, 4-[5-[[[6-methyl-4'-(trifluoromethyl)[1,1'-biphenyl]-2-yl]carbonyl]amino]-1H-inden-2-yl]-, methyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT:

4

THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

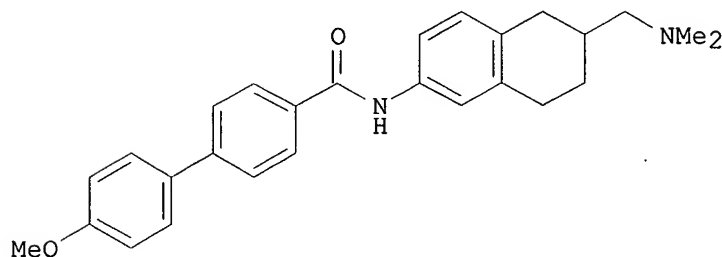
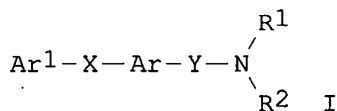
L19 ANSWER 15 OF 64 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2001:228848 CAPLUS



DOCUMENT NUMBER: 134:266103  
 TITLE: Preparation of N-tetrahydronaphthalenyl carboxamides as melanin concentrating hormone antagonists  
 INVENTOR(S): Kato, Kaneyoshi; Terauchi, Jun; Mori, Masaaki; Suzuki, Nobuhiro; Shimomura, Yukio; Takekawa, Shiro; Ishihara, Yuji  
 PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan  
 SOURCE: PCT Int. Appl., 363 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001021577	A2	20010329	WO 2000-JP6375	20000919
WO 2001021577	A3	20011004		
W: AE, AG, AL, AM, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CN, CR, CU, CZ, DM, DZ, EE, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LV, MA, MD, MG, MK, MN, MX, MZ, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, TR, TT, UA, US, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1218336	A2	20020703	EP 2000-961075	20000919
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
JP 2002003370	A2	20020109	JP 2000-290357	20000920
PRIORITY APPLN. INFO.:				
			JP 1999-266298	A 19990920
			JP 1999-357889	A 19991216
			JP 2000-126272	A 20000420
			WO 2000-JP6375	W 20000919
OTHER SOURCE(S): MARPAT 134:266103				
GI				



II

AB The title compds. [I; Ar<sup>1</sup> = (un)substituted cyclic group; X = a spacer having a main chain of 1-6 atoms; Y = a bond, a spacer having a main chain of 1-6 atoms; Ar = (un)substituted monocyclic arom. ring which may be

condensed with a 4-8 membered non-arom. ring; R1, R2 = H, a hydrocarbon group which may have substituents; NR1R2 may form a (un)substituted nitrogen-contg. hetero ring; R2 may form a spiro ring together with Ar; R2, together with the adjacent nitrogen atom and Y, may form a (un)substituted nitrogen-contg. hetero ring] and their salts, useful as agents for preventing or treating obesity, were prepd. and formulated. Thus, reacting 6-amino-2-[(dimethylamino)methyl]tetralin with 4-(4-methoxyphenyl)benzoic acid in the presence of HOBt, WSCD, Et3N and DMAP in DMF afforded the carboxamide II which showed IC50 of 40 nM in GTPgS binding assay.

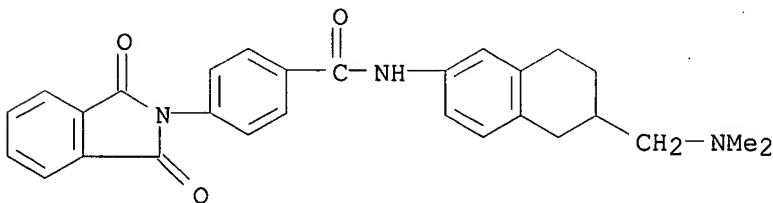
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 331758-18-0P 331758-19-1P 331758-20-4P  
 331758-21-5P 331758-22-6P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of N-tetrahydronaphthalenyl carboxamides as melanin concg. hormone antagonists)

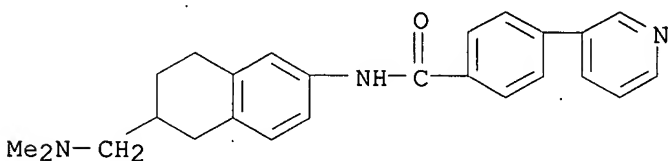
RN 331755-62-5 CAPLUS

CN Benzamide, 4-(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)-N-[6-[(dimethylamino)methyl]-5,6,7,8-tetrahydro-2-naphthalenyl]- (9CI) (CA INDEX NAME)



RN 331755-89-6 CAPLUS

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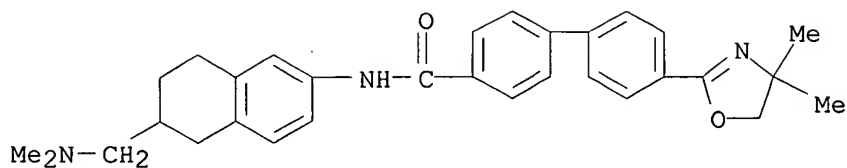


546/337  
514/357

RN 331755-91-0 CAPLUS

CN [1,1'-Biphenyl]-4-carboxamide, 4'-(4,5-dihydro-4,4-dimethyl-2-oxazolyl)-N-[6-[(dimethylamino)methyl]-5,6,7,8-tetrahydro-2-naphthalenyl]- (9CI) (CA

INDEX NAME)

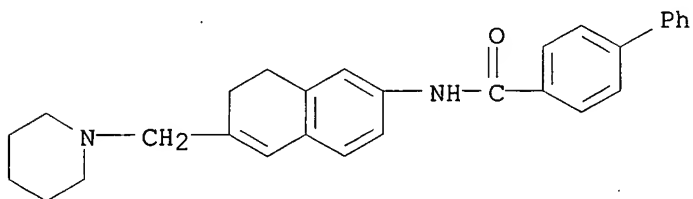


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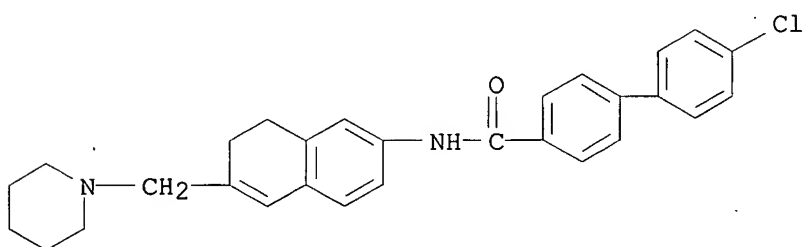
RN 331756-09-3 CAPLUS

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RN 331756-12-8 CAPLUS

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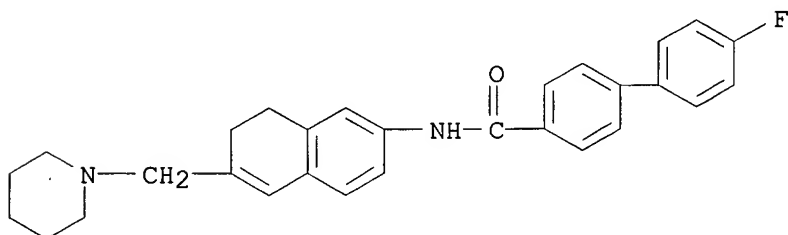


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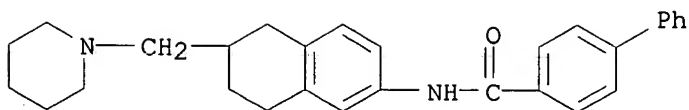
RN 331756-13-9 CAPLUS

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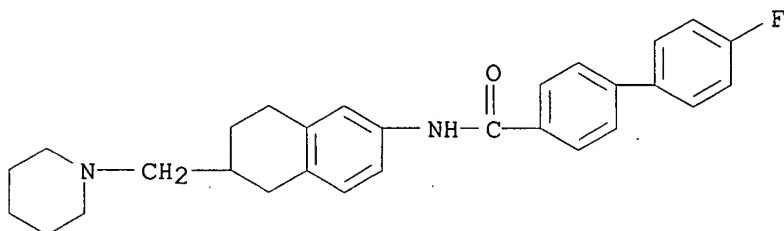
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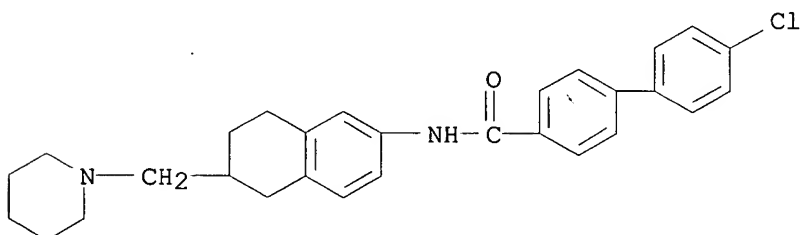
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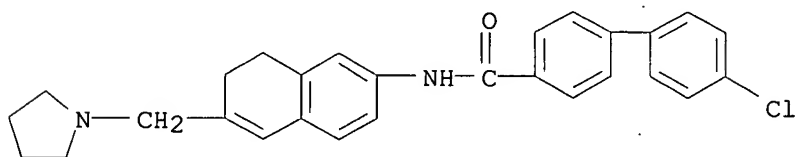
RN 331756-16-2 CAPLUS

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RN 331756-22-0 CAPLUS

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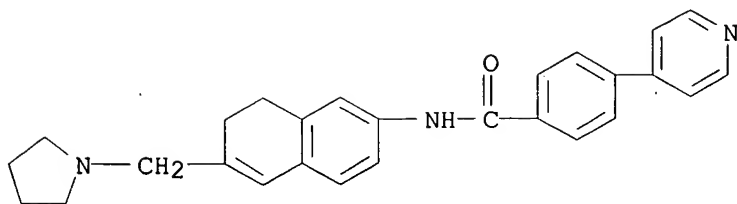


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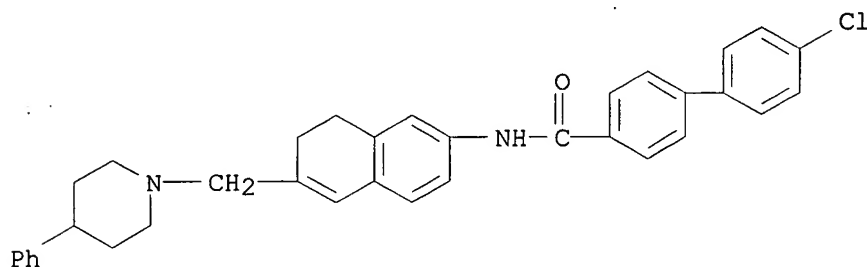
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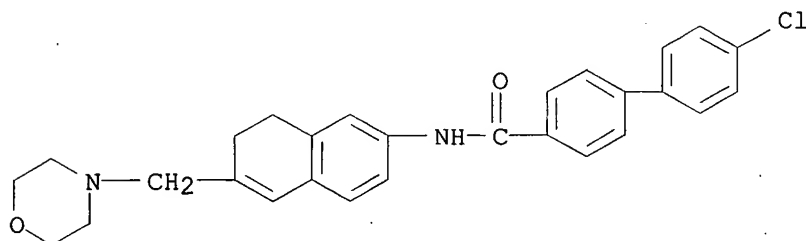


546 / 276.4  
514 / 326

RN 331756-25-3 CAPLUS  
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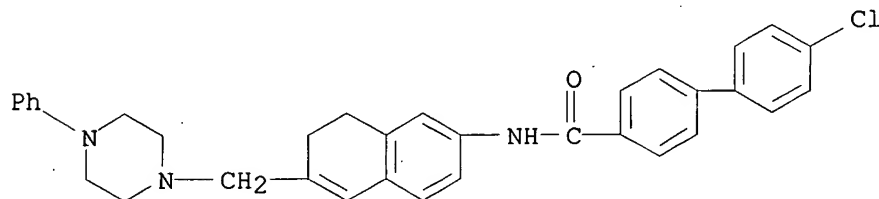


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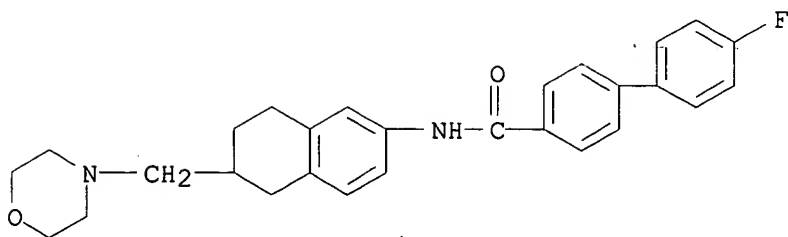
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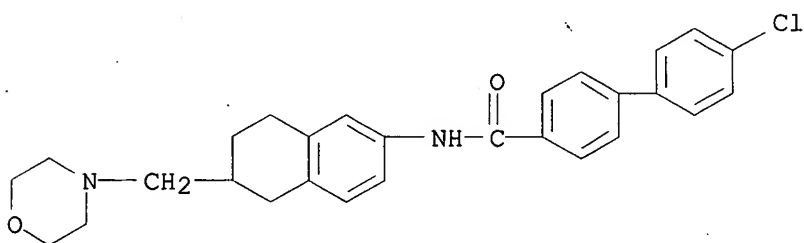
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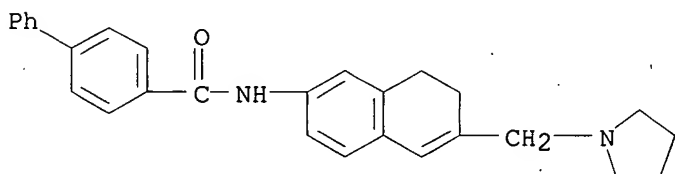
RN 331756-32-2 CAPLUS

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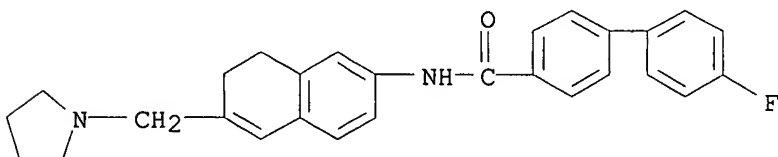
RN 331756-61-7 CAPLUS

CN [1,1'-Biphenyl]-4-carboxamide, N-[7,8-dihydro-6-(1-pyrrolidinylmethyl)-2-naphthalenyl]- (9CI) (CA INDEX NAME)



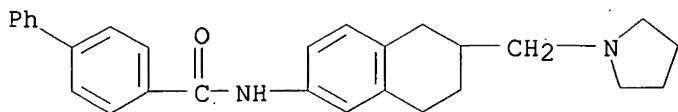
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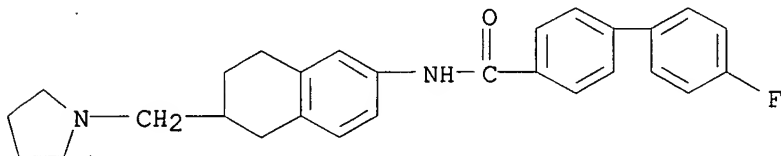


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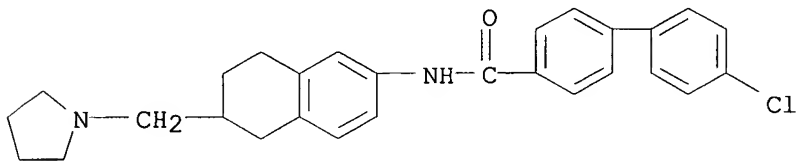
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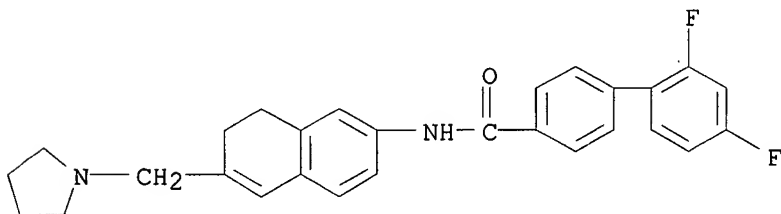
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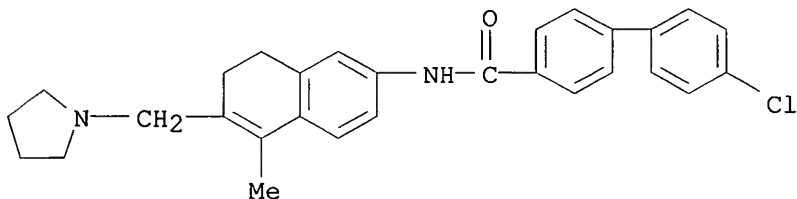
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RN 331756-70-8 CAPLUS  
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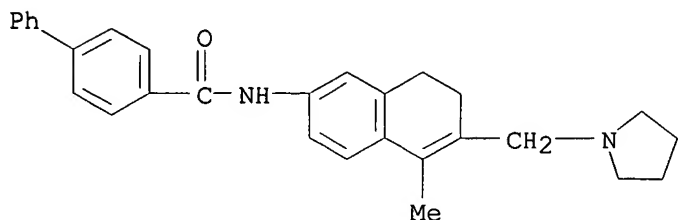


RN 331756-81-1 CAPLUS  
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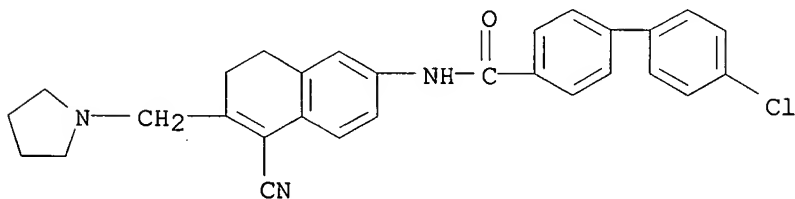


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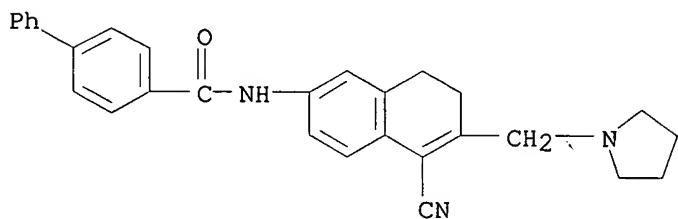
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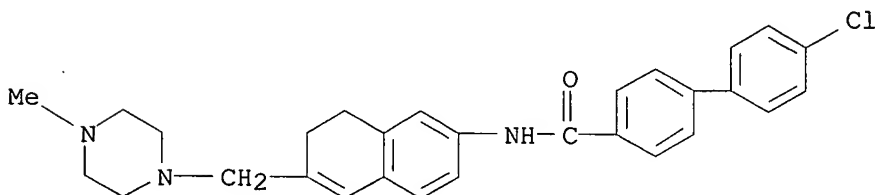
RN 331756-84-4 CAPLUS  
CN [1,1'-Biphenyl]-4-carboxamide, 4'-chloro-N-[5-cyano-7,8-dihydro-6-(1-pyrrolidinylmethyl)-2-naphthalenyl]- (9CI) (CA INDEX NAME)



RN 331756-85-5 CAPLUS  
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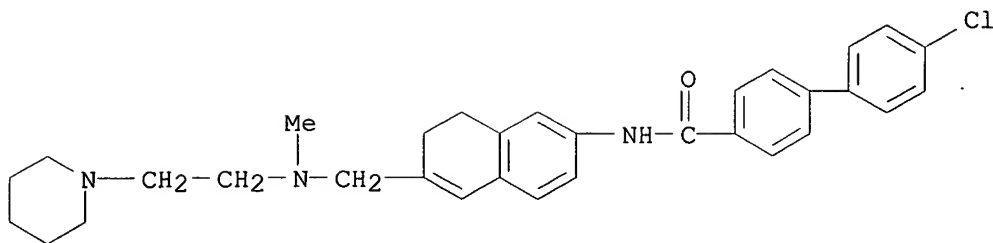


RN 331757-02-9 CAPLUS  
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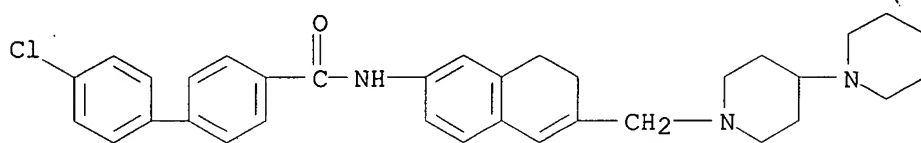


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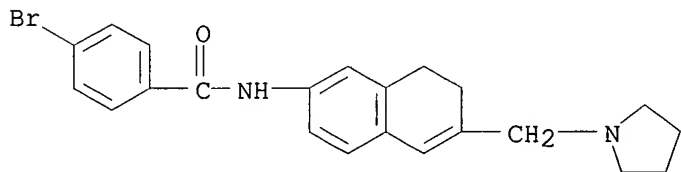


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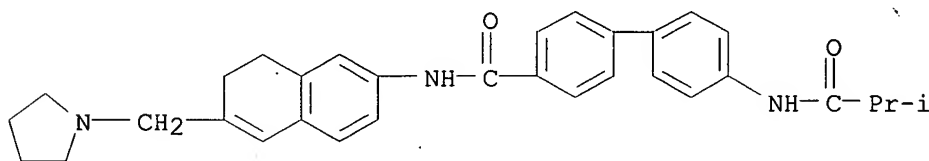


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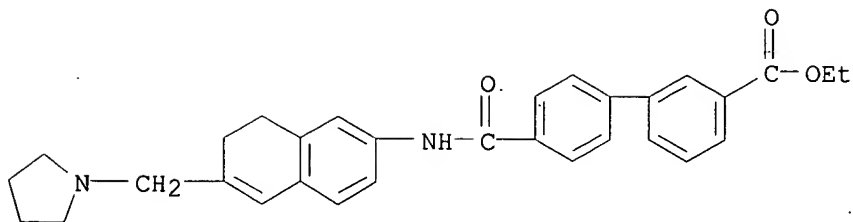
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 CN Benzamide, 4-bromo-N-[7,8-dihydro-6-(1-pyrrolidinylmethyl)-2-naphthalenyl]- (9CI) (CA INDEX NAME)



RN 331757-12-1 CAPLUS  
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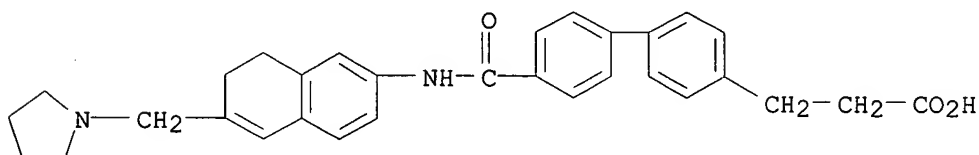


RN 331757-13-2 CAPLUS  
 CN [1,1'-Biphenyl]-3-carboxylic acid, 4'-[[[7,8-dihydro-6-(1-pyrrolidinylmethyl)-2-naphthalenyl]amino]carbonyl]-, ethyl ester (9CI) (CA INDEX NAME)



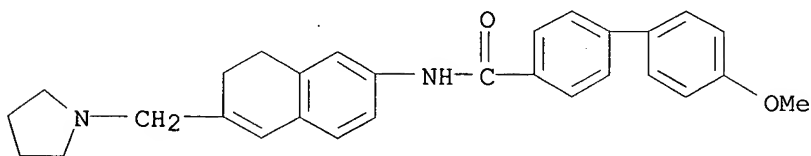
RN 331757-14-3 CAPLUS

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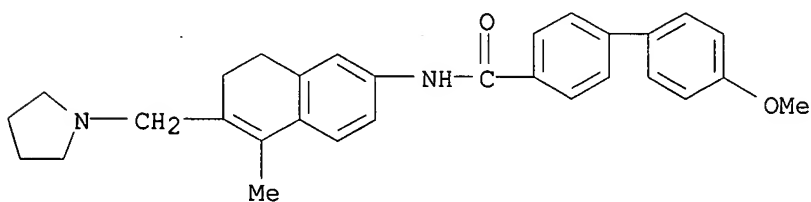
RN 331757-15-4 CAPLUS

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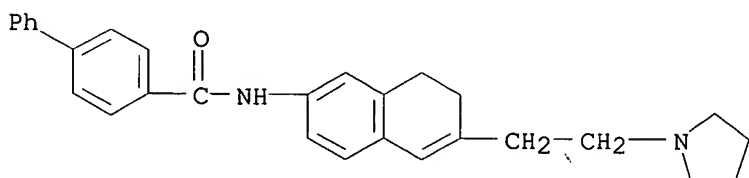
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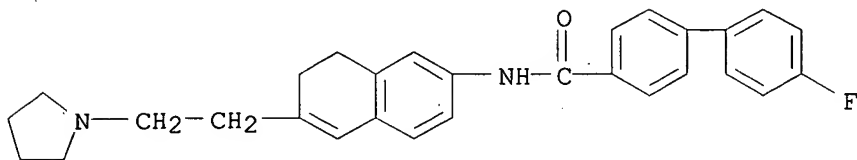


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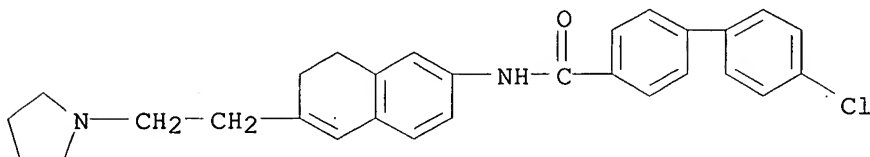
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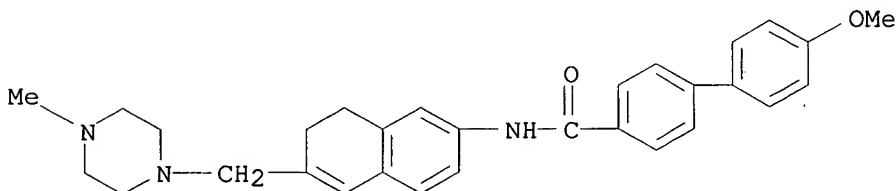
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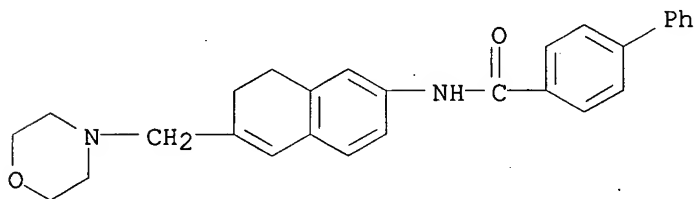
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CN [1,1'-Biphenyl]-4-carboxamide, 4'-chloro-N-[7,8-dihydro-6-[2-(1-pyrrolidinyl)ethyl]-2-naphthalenyl]- (9CI) (CA INDEX NAME)



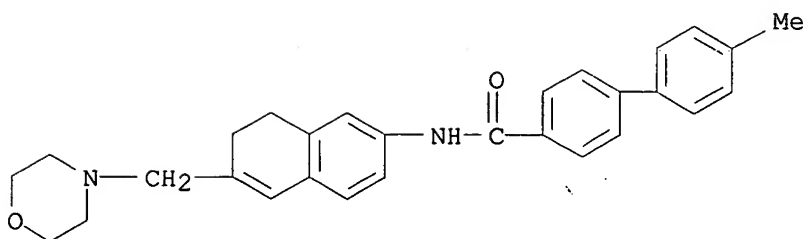
RN 331757-79-0 CAPLUS  
CN [1,1'-Biphenyl]-4-carboxamide, N-[7,8-dihydro-6-[(4-methyl-1-piperazinyl)methyl]-2-naphthalenyl]-4'-methoxy- (9CI) (CA INDEX NAME)



RN 331757-90-5 CAPLUS  
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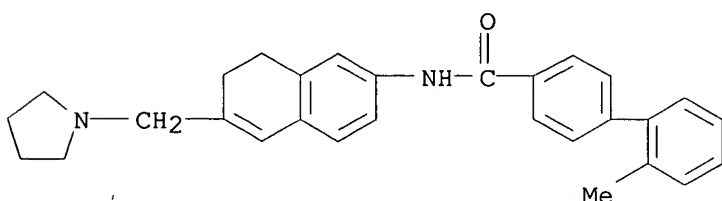


RN 331757-94-9 CAPLUS  
CN [1,1'-Biphenyl]-4-carboxamide, N-[7,8-dihydro-6-(4-morpholinylmethyl)-2-naphthalenyl]-4'-methyl- (9CI) (CA INDEX NAME)



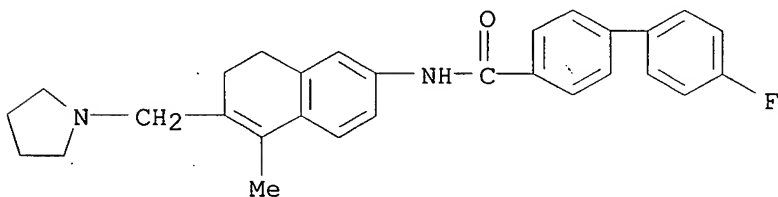
RN 331757-95-0 CAPLUS

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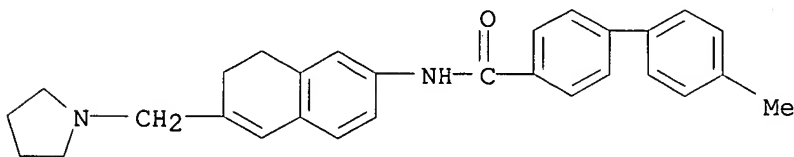
RN 331757-98-3 CAPLUS

CN [1,1'-Biphenyl]-4-carboxamide, N-[7,8-dihydro-5-methyl-6-(1-pyrrolidinylmethyl)-2-naphthalenyl]-4'-fluoro- (9CI) (CA INDEX NAME)



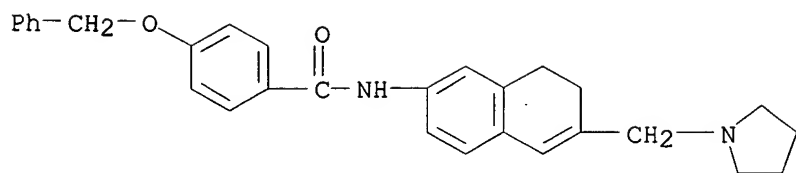
RN 331758-01-1 CAPLUS

CN [1,1'-Biphenyl]-4-carboxamide, N-[7,8-dihydro-6-(1-pyrrolidinylmethyl)-2-naphthalenyl]-4'-methyl- (9CI) (CA INDEX NAME)



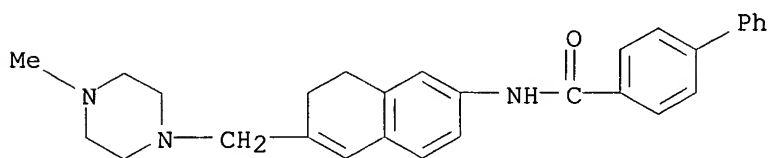
RN 331758-03-3 CAPLUS

CN Benzamide, N-[7,8-dihydro-6-(1-pyrrolidinylmethyl)-2-naphthalenyl]-4-(phenylmethoxy)- (9CI) (CA INDEX NAME)



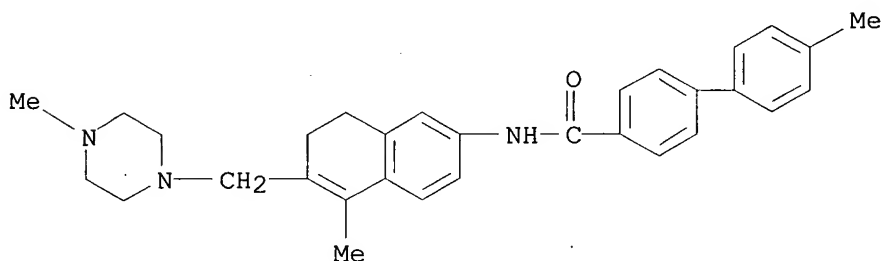
RN 331758-18-0 CAPLUS

CN [1,1'-Biphenyl]-4-carboxamide, N-[7,8-dihydro-6-[(4-methyl-1-piperazinyl)methyl]-2-naphthalenyl]- (9CI) (CA INDEX NAME)



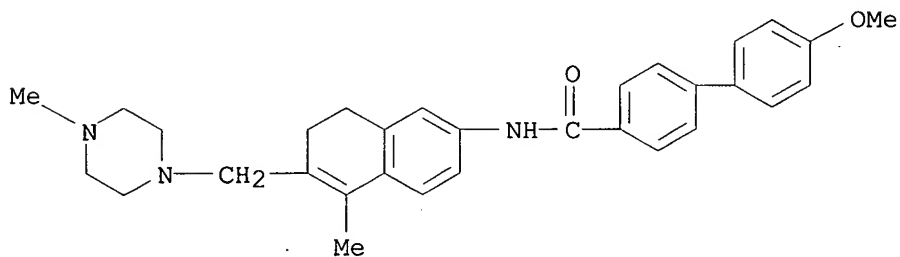
RN 331758-19-1 CAPLUS

CN [1,1'-Biphenyl]-4-carboxamide, N-[7,8-dihydro-5-methyl-6-[(4-methyl-1-piperazinyl)methyl]-2-naphthalenyl]-4'-methyl- (9CI) (CA INDEX NAME)



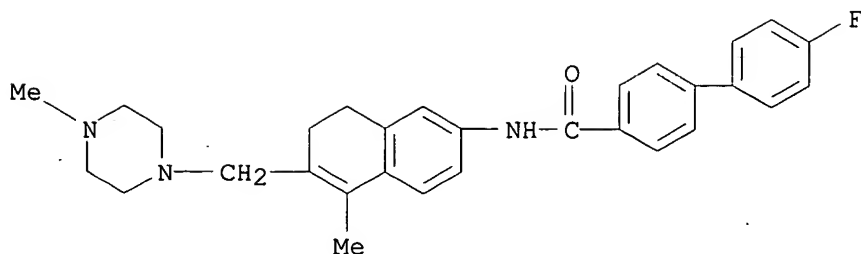
RN 331758-20-4 CAPLUS

CN [1,1'-Biphenyl]-4-carboxamide, N-[7,8-dihydro-5-methyl-6-[(4-methyl-1-piperazinyl)methyl]-2-naphthalenyl]-4'-methoxy- (9CI) (CA INDEX NAME)

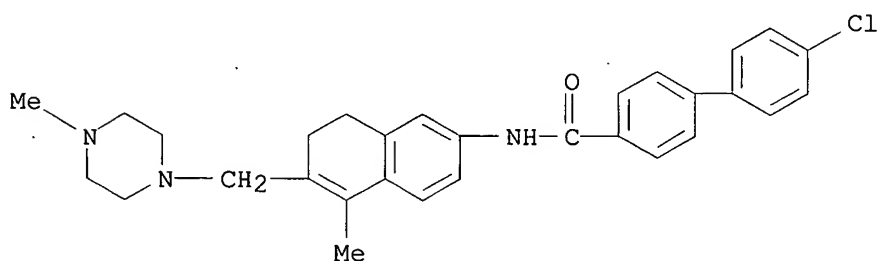


RN 331758-21-5 CAPLUS

CN [1,1'-Biphenyl]-4-carboxamide, N-[7,8-dihydro-5-methyl-6-[(4-methyl-1-piperazinyl)methyl]-2-naphthalenyl]-4'-fluoro- (9CI) (CA INDEX NAME)



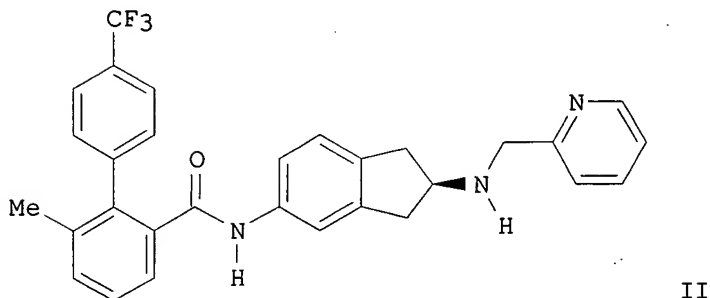
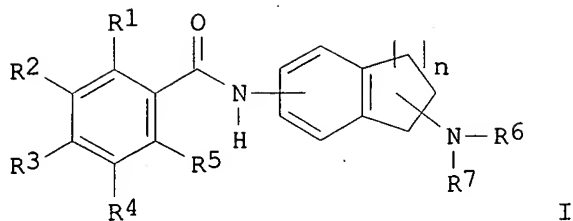
RN 331758-22-6 CAPLUS  
 CN [1,1'-Biphenyl]-4-carboxamide, 4'-chloro-N-[7,8-dihydro-5-methyl-6-[(4-methyl-1-piperazinyl)methyl]-2-naphthalenyl]- (9CI) (CA INDEX NAME)



119 ANSWER 16 OF 64 CAPLUS COPYRIGHT 2004 ACS on STN  
 ACCESSION NUMBER: 2001:63976 CAPLUS  
 DOCUMENT NUMBER: 134:115862  
 TITLE: Preparation of N-indanyl biphenyl-2-carboxamides as inhibitors of microsomal triglyceride transfer protein (MTP) and of apolipoprotein B (ApoB) secretion  
 INVENTOR(S): Fink, Cynthia Anne; Ksander, Gary Michael  
 PATENT ASSIGNEE(S): Novartis A.-G., Switz.; Novartis-Erfindungen Verwaltungsgesellschaft m.b.H.  
 SOURCE: PCT Int. Appl., 43 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001005767	A1	20010125	WO 2000-EP6876	20000718
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				

PRIORITY APPLN. INFO.: US 1999-357042 A 19990720  
 OTHER SOURCE(S): MARPAT 134:115862  
 GI



AB The title compds. [I; R2C, R3C, R4C, R5C may be replaced by N; n = 1-3; R1 = carbocyclic aryl, heteroaryl; R2-R5 = H, alkyl, alkoxy, etc.; R6 = heteroaryl, (heteroaryl)alkyl; R7 = H, alkyl, carbocyclic or heterocyclic aryl-alkyl] and their pharmaceutically acceptable salts which are useful as inhibitors of microsomal triglyceride transfer protein (MTP) and of apolipoprotein B (ApoB) secretion and accordingly for the treatment of MTP and Apo B dependent conditions, were prepd. and formulated. E.g., a multi-step synthesis of the amide II.HCl which showed an inhibition of 86% at 01. .mu.M in the Apo B assay and IC50 of 120 nM in the MTP assay, was given.

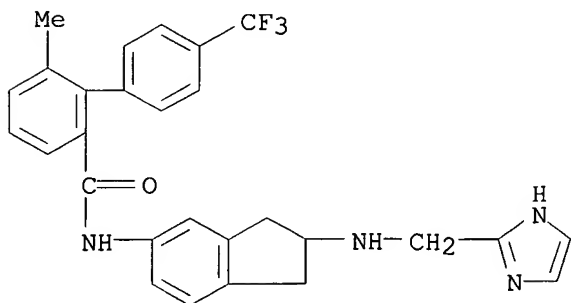
IT 321352-25-4P 321352-36-7P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

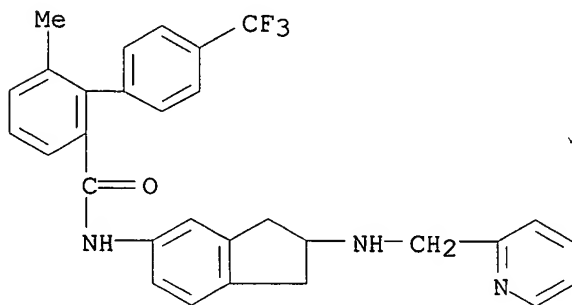
(prepn. of N-indanyl biphenyl-2-carboxamides as inhibitors of microsomal triglyceride transfer protein (MTP) and of apolipoprotein B (ApoB) secretion)

RN 321352-25-4 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[2,3-dihydro-2-[(1H-imidazol-2-ylmethyl)amino]-1H-inden-5-yl]-6-methyl-4'-(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 321352-36-7 CAPLUS  
 CN [1,1'-Biphenyl]-2-carboxamide, N-[2,3-dihydro-2-[(2-pyridinylmethyl)amino]-1H-inden-5-yl]-6-methyl-4'-(trifluoromethyl)- (9CI) (CA INDEX NAME)

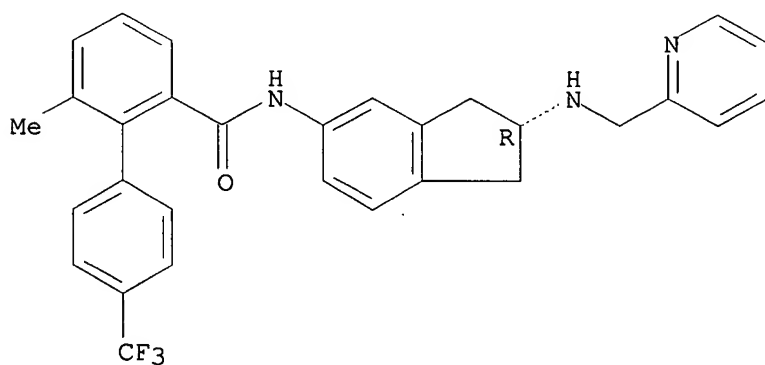


IT 321352-23-2P 321352-24-3P 321352-26-5P  
 321352-33-4P 321352-34-5P 321352-38-9P  
 321352-39-0P 321352-40-3P 321352-41-4P  
 321352-42-5P 321352-44-7P 321352-45-8P  
 321352-46-9P 321352-48-1P 321352-49-2P  
 321352-50-5P 321352-51-6P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (prepn. of N-indanyl biphenyl-2-carboxamides as inhibitors of microsomal triglyceride transfer protein (MTP) and of apolipoprotein B (ApoB) secretion)

RN 321352-23-2 CAPLUS  
 CN [1,1'-Biphenyl]-2-carboxamide, N-[(2R)-2,3-dihydro-2-[(2-pyridinylmethyl)amino]-1H-inden-5-yl]-6-methyl-4'-(trifluoromethyl)-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

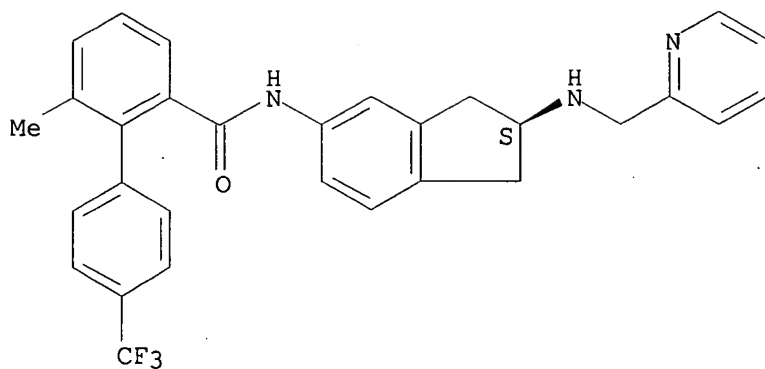


● HCl

RN 321352-24-3 CAPLUS  
 CN [1,1'-Biphenyl]-2-carboxamide, N-[(2S)-2,3-dihydro-2-[(2-pyridinylmethyl)amino]-1H-inden-5-yl]-6-methyl-4'-(trifluoromethyl)- (9CI) (CA INDEX NAME)

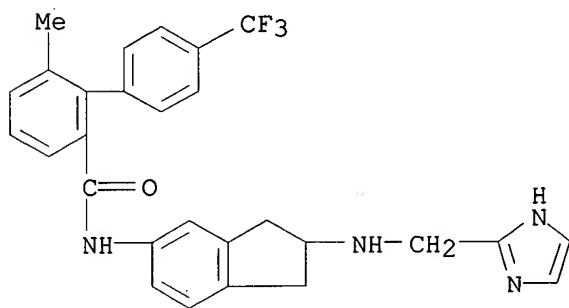
Absolute stereochemistry. Rotation (+).





RN 321352-26-5 CAPLUS

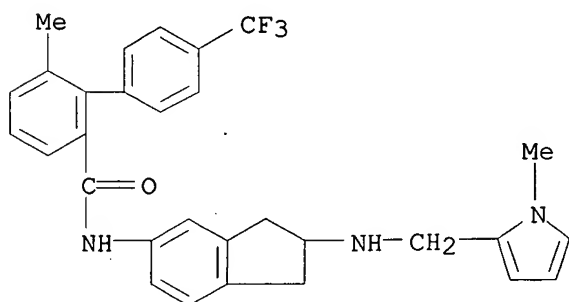
CN [1,1'-Biphenyl]-2-carboxamide, N-[2,3-dihydro-2-[(1H-imidazol-2-ylmethyl)amino]-1H-inden-5-yl]-6-methyl-4'-(trifluoromethyl)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

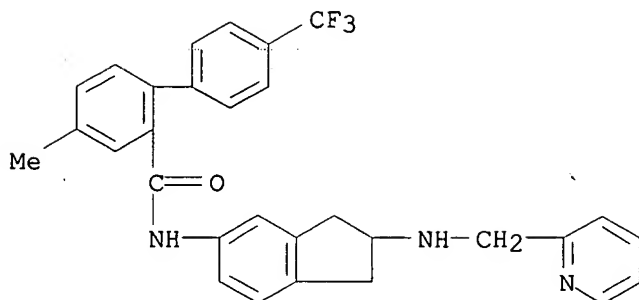
RN 321352-33-4 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[2,3-dihydro-2-[(1-methyl-1H-pyrrol-2-yl)methyl]amino]-1H-inden-5-yl]-6-methyl-4'-(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 321352-34-5 CAPLUS

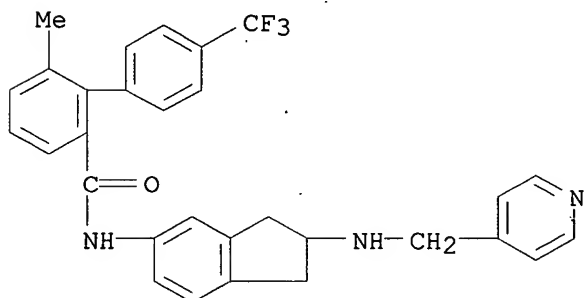
CN [1,1'-Biphenyl]-2-carboxamide, N-[2,3-dihydro-2-[(2-pyridinylmethyl)amino]-1H-inden-5-yl]-4-methyl-4'-(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 321352-38-9 CAPLUS  
 CN 2-Naphthalenesulfonic acid, compd. with N-[2,3-dihydro-2-[(4-pyridinylmethyl)amino]-1H-inden-5-yl]-6-methyl-4'-(trifluoromethyl)[1,1'-biphenyl]-2-carboxamide (1:1) (9CI) (CA INDEX NAME)

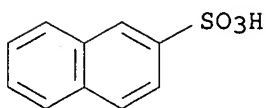
CM 1

CRN 321352-37-8  
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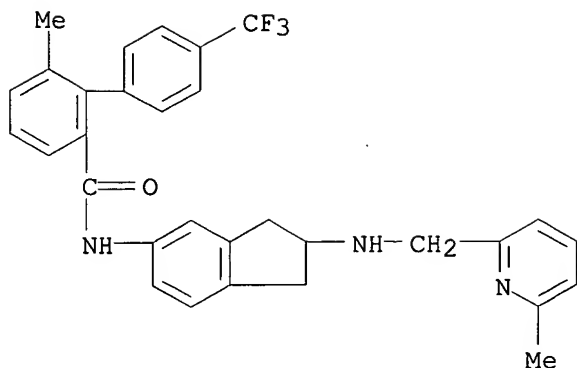


CM 2

CRN 120-18-3  
 CMF C10 H8 O3 S

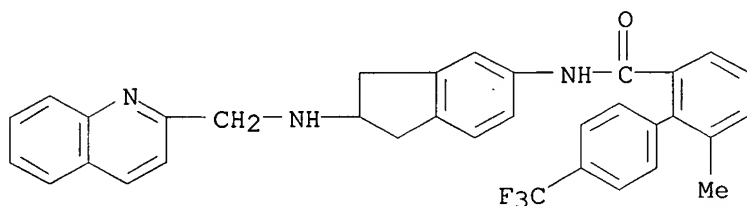


RN 321352-39-0 CAPLUS  
 CN [1,1'-Biphenyl]-2-carboxamide, N-[2,3-dihydro-2-[[6-methyl-2-pyridinyl)methyl]amino]-1H-inden-5-yl]-6-methyl-4'-(trifluoromethyl)- (9CI) (CA INDEX NAME)



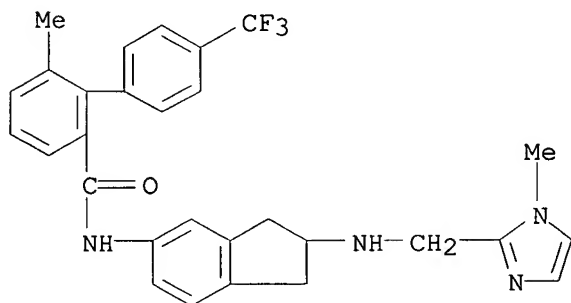
RN 321352-40-3 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[2,3-dihydro-2-[(2-methyl-4-(trifluoromethyl)-1H-inden-5-yl]-6-methyl-4'-(trifluoromethyl)- (9CI) (CA INDEX NAME)



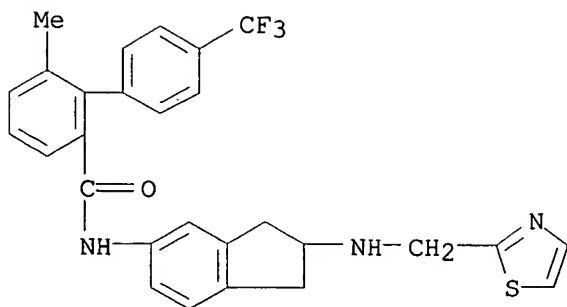
RN 321352-41-4 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[2,3-dihydro-2-[[1-methyl-1H-imidazol-2-yl)methyl]amino]-1H-inden-5-yl]-6-methyl-4'-(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 321352-42-5 CAPLUS

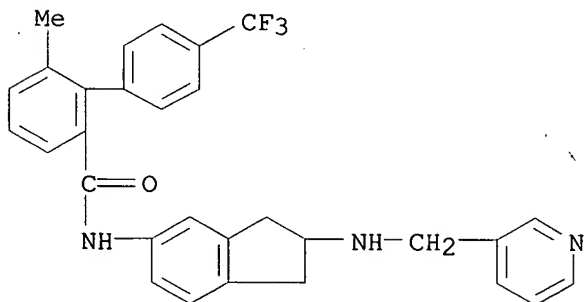
CN [1,1'-Biphenyl]-2-carboxamide, N-[2,3-dihydro-2-[(2-thiazolylmethyl)amino]-1H-inden-5-yl]-6-methyl-4'-(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 321352-44-7 CAPLUS  
 CN 2-Naphthalenesulfonic acid, compd. with N-[2,3-dihydro-2-[(3-pyridinylmethyl)amino]-1H-inden-5-yl]-6-methyl-4'-(trifluoromethyl)[1,1'-biphenyl]-2-carboxamide (1:1) (9CI) (CA INDEX NAME)

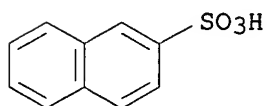
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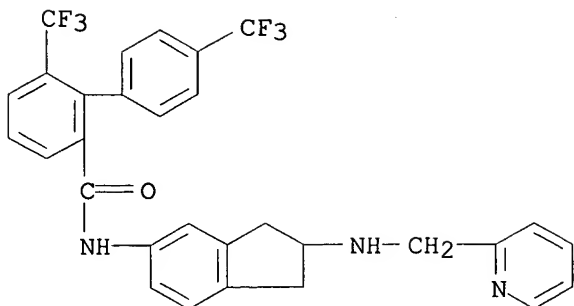


CM 2

CRN 120-18-3  
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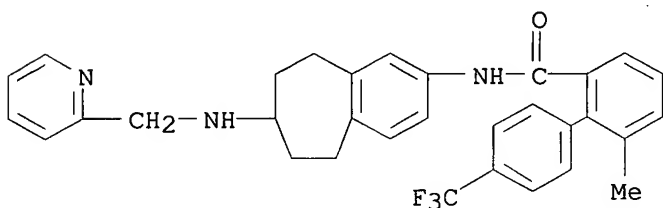


RN 321352-45-8 CAPLUS  
 CN [1,1'-Biphenyl]-2-carboxamide, N-[2,3-dihydro-2-[(2-pyridinylmethyl)amino]-1H-inden-5-yl]-4',6-bis(trifluoromethyl)- (9CI) (CA INDEX NAME)



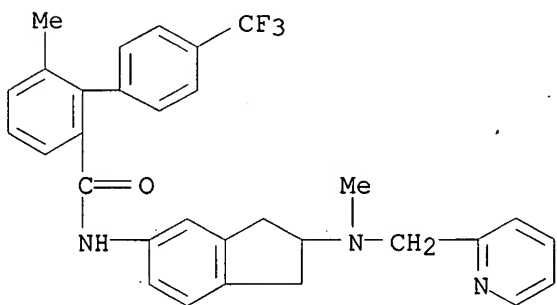
RN 321352-46-9 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, 6-methyl-N-[6,7,8,9-tetrahydro-7-[(2-pyridinylmethyl)amino]-5H-benzocyclohepten-2-yl]-4'-(trifluoromethyl)- (9CI) (CA INDEX NAME)



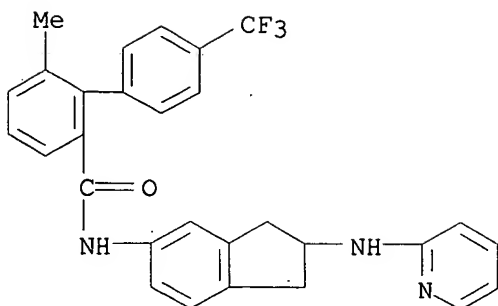
RN 321352-48-1 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[2,3-dihydro-2-[methyl(2-pyridinylmethyl)amino]-1H-inden-5-yl]-6-methyl-4'-(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 321352-49-2 CAPLUS

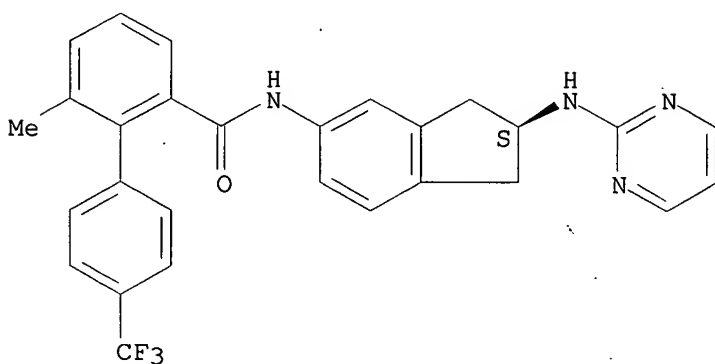
CN [1,1'-Biphenyl]-2-carboxamide, N-[2,3-dihydro-2-(2-pyridinylamino)-1H-inden-5-yl]-6-methyl-4'-(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 321352-50-5 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[(2S)-2,3-dihydro-2-(2-pyrimidinylamino)-1H-inden-5-yl]-6-methyl-4'-(trifluoromethyl)- (9CI) (CA INDEX NAME)

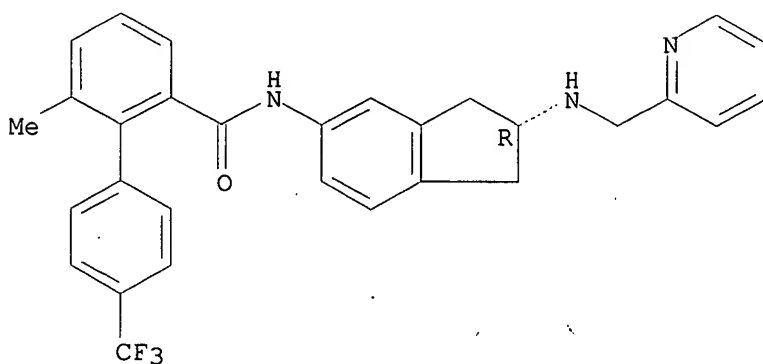
Absolute stereochemistry.



RN 321352-51-6 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[(2R)-2,3-dihydro-2-[(2-pyridinylmethyl)amino]-1H-inden-5-yl]-6-methyl-4'-(trifluoromethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



REFERENCE COUNT:

7

THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

119 ANSWER 17 OF 64 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2001:31473 CAPLUS

DOCUMENT NUMBER: 134:100864

TITLE: Indazole compounds and pharmaceutical compositions for inhibiting protein kinases, and methods for their use

INVENTOR(S): Kania, Robert Steven; Bender, Steven Lee; Borchardt, Allen J.; Braganza, John F.; Cripps, Stephan James; Hua, Ye; Johnson, Michael David; Johnson, Theodore Otto, Jr.; Luu, Hiep The; Palmer, Cynthia Louise; Reich, Siegfried Heinz; Tempczyk-russell, Anna Maria; Teng, Min; Thomas, Christine; Varney, Michael David; Wallace, Michael Brennan

PATENT ASSIGNEE(S): Agouron Pharmaceuticals, Inc., USA

SOURCE: PCT Int. Appl., 439 pp.  
CODEN: PIXXD2

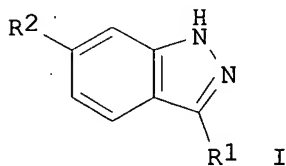
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001002369	A2	20010111	WO 2000-US18263	20000630
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
BR 2000012352	A	20020514	BR 2000-12352	20000630
EP 1218348	A2	20020703	EP 2000-943375	20000630
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
JP 2003503481	T2	20030128	JP 2001-507809	20000630
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US 6534524	B1	20030318	US 2001-983783	20011025
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ZA 2001010061	A	20030206	ZA 2001-10061	20011206
BG 106380	A	20020930	BG 2002-106380	20020201
PRIORITY APPLN. INFO.:			US 1999-142130P	P 19990702
			US 2000-609335	B3 20000630
			WO 2000-US18263	W 20000630
OTHER SOURCE(S):			MARPAT 134:100864	
GI				



AB Indazole compds. I [R1 = substituted or unsubstituted aryl or heteroaryl, R3CH:CH, R3N:CH; R2 = substituted or unsubstituted aryl, heteroaryl, Y-X; R3 = substituted or unsubstituted alkyl alkenyl, cycloalkyl, heterocycloalkyl, aryl, heteroaryl; Y = O, S, C(:CH2), CO, SO, SO2, alkylidene, NH, N(C1-C8 alkyl); X = substituted or unsubstituted aryl, heteroaryl, NH(alkyl), NH(cycloalkyl), NH(heterocycloalkyl), NH(aryl), NH(heteroaryl), NH(alkoxy), NH(dialkylamide)] and their pharmaceutically

acceptable prodrugs, active metabolites, and salts are disclosed. The compds. modulate and/or inhibit the activity of certain protein kinases. In particular, I and pharmaceutical compns. contg. them are capable of mediating tyrosine kinase signal transduction, and thereby modulate and/or inhibit unwanted cell proliferation. The invention is also directed to the therapeutic or prophylactic use of pharmaceutical compns. contg. such compds., and to methods of treating cancer and other disease states assocd. with unwanted angiogenesis and/or cellular proliferation, such as diabetic retinopathy, neovascular glaucoma, rheumatoid arthritis, and psoriasis, by administering effective amts. of such compds. E.g., I [R1 = (E)-3,4-(MeO)2C6H3CH:CH; R2 = 4-HO-3-MeOC6H3] (II) was prepd. from 6-aminoindazole by diazotization and substitution with iodide, protection of the indazole nitrogen with 2,4,6-Me3C6H2SO2Cl, coupling of the regioisomeric mixt. with 4-(methoxymethoxy)-3-methoxybenzeneboronic acid in the presence of dichlorobis(triphenylphosphine)palladium, and deprotection of the indazole moiety and iodination at the 3-position of the indazole. Treatment of the 3-indazolyl iodide with sec-butyllithium, phenyllithium, and DMF, regioselective protection of the indazole with 2,4,6-Me3C6H2SO2Cl, olefination with 3,4-dimethoxybenzyltriphenylphosphonium bromide, deprotection of the indazole, deprotection of the methoxymethyl group, and equilibration of the double bond with iodine gave II. Biol. data on protein kinase inhibition, cell proliferation inhibition, neovascularization inhibition, and i.p. and oral bioavailability, are given.

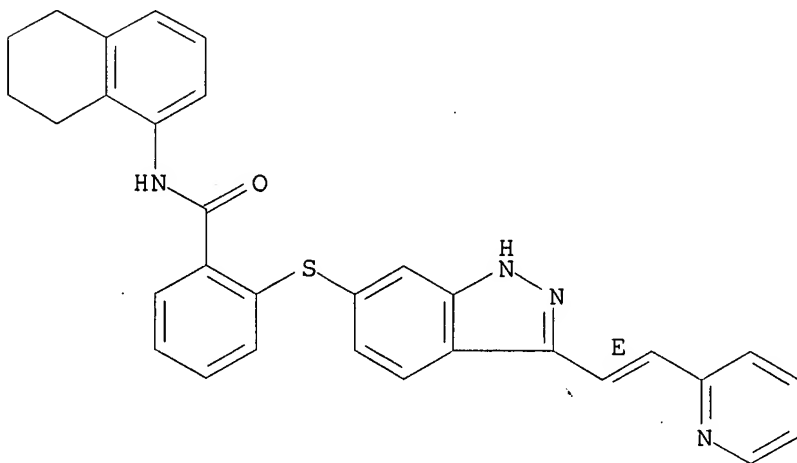
IT 319468-45-6P 319468-46-7P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(prepn. of combinatorial libraries of aryl-substituted indazole derivs. as modulators and inhibitors of protein kinases in the treatment of tumor growth, cellular proliferation, and angiogenesis)

RN 319468-45-6 CAPLUS

CN Benzamide, 2-[[3-[(1E)-2-(2-pyridinyl)ethenyl]-1H-indazol-6-yl]thio]-N-(5,6,7,8-tetrahydro-1-naphthalenyl)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

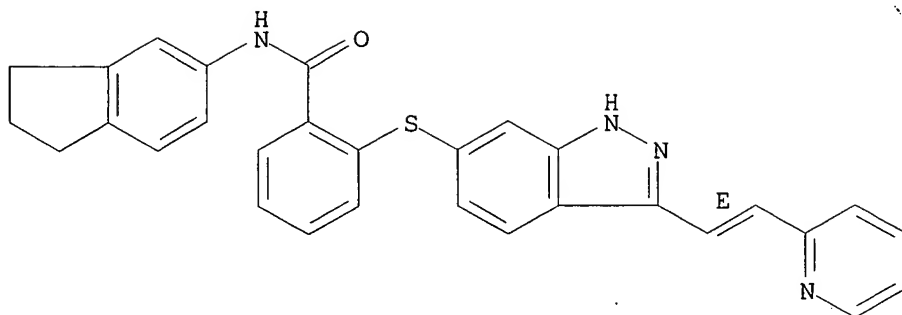


RN 319468-46-7 CAPLUS

CN Benzamide, N-(2,3-dihydro-1H-inden-5-yl)-2-[[3-[(1E)-2-(2-pyridinyl)ethenyl]-1H-indazol-6-yl]thio]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.





LI9 ANSWER 18 OF 64 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2001:843103 CAPLUS

DOCUMENT NUMBER: 136:128588

TITLE: Diaminoindanes as Microsomal Triglyceride Transfer Protein Inhibitors

AUTHOR(S): Ksander, Gary M.; deJesus, Reynalda; Yuan, Andrew; Fink, Cynthia; Moskal, Michael; Carlson, Eric; Kukkola, Paivi; Bilci, Natalie; Wallace, Eli; Neubert, Alan; Feldman, David; Mogelesky, Therese; Poirier, Kevin; Jeune, Michael; Steele, Ronald; Wasvery, Jong; Stephan, Zouhair; Cahill, Edna; Webb, Randy; Navarrete, Aida; Lee, Warren; Gibson, Joyce; Alexander, Natalya; Sharif, Haamid; Hospattankar, Ashok

CORPORATE SOURCE: Metabolic and Cardiovascular Diseases Research, Novartis Institute for Biomedical Research, Summit, NJ, 07901, USA

SOURCE: Journal of Medicinal Chemistry (2001), 44(26), 4677-4687

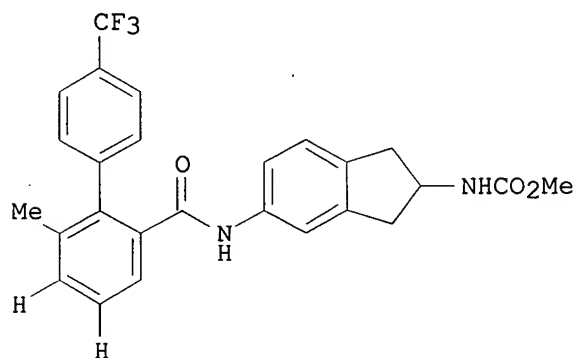
CODEN: JMCMAR; ISSN: 0022-2623

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

GI



I

AB The synthesis and biol. activities of biarylamine-substituted diaminoindanes as microsomal triglyceride transfer protein (MTP) inhibitors are described. One of the more potent compds. (I) inhibited both the secretion of apoB from Hep G2 cells and the MTP-mediated transfer of triglycerides between synthetic acceptor and donor liposomes with IC50 values of 0.7 and 70 nM, resp. In normolipidemic rats and dogs, oral

administration of I dose-dependently reduced both plasma triglycerides and total cholesterol. Moreover, in rats and dogs, I also prevented the postprandial rise in plasma triglycerides following a bolus administration of a fat load. Because MTP inhibitors decrease very low d. lipoprotein assembly in the liver, the potential for hepatic lipid accumulation was evaluated. In normolipidemic rats, hepatic cholesterol and triglyceride contents were dose-dependently increased by I. However, hepatic lipid accumulation resulted in negligible change in total liver wt. and was reversible after withdrawal of the compd.

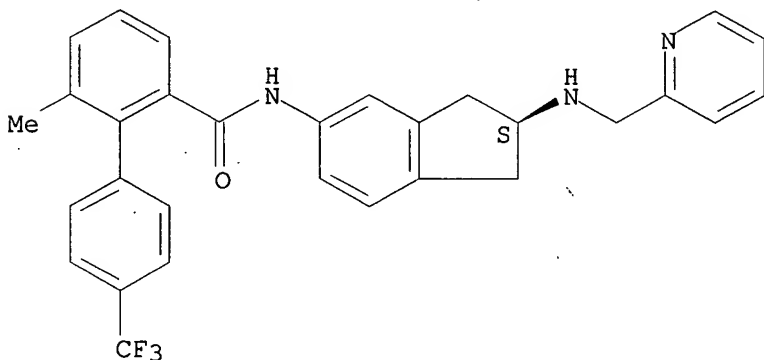
IT 321352-24-3P 351414-80-7P 351414-81-8P  
391655-10-0P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)  
(prepn. and structure activity of diaminoindanes as inhibitors of microsomal triglyceride transfer protein)

RN 321352-24-3 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[(2S)-2,3-dihydro-2-[(2-pyridinylmethyl)amino]-1H-inden-5-yl]-6-methyl-4'-(trifluoromethyl)- (9CI)  
(CA INDEX NAME)

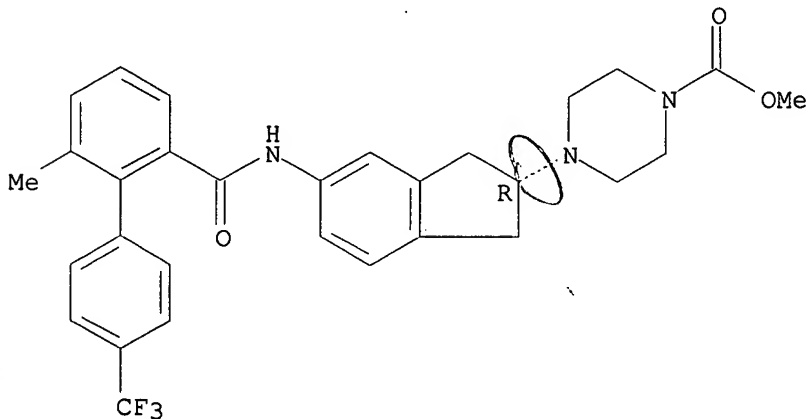
Absolute stereochemistry. Rotation (+).



RN 351414-80-7 CAPLUS

CN 1-Piperazinecarboxylic acid, 4-[(2R)-2,3-dihydro-5-[[[6-methyl-4'-(trifluoromethyl)[1,1'-biphenyl]-2-yl]carbonyl]amino]-1H-inden-2-yl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

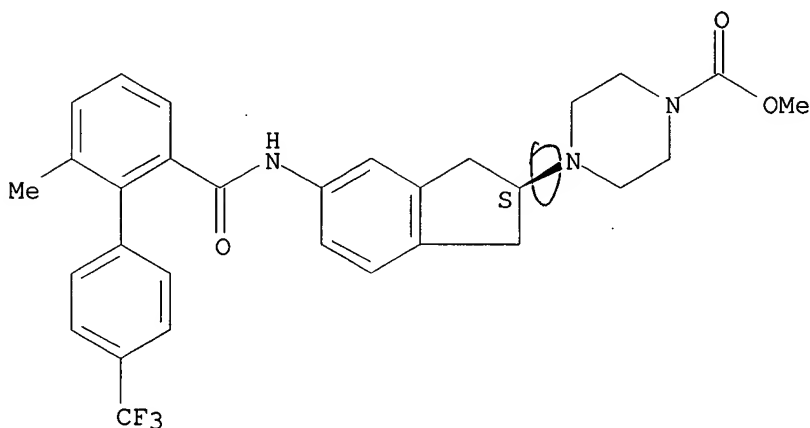


RN 351414-81-8 CAPLUS

CN 1-Piperazinecarboxylic acid, 4-[(2S)-2,3-dihydro-5-[[[6-methyl-4'-(trifluoromethyl)[1,1'-biphenyl]-2-yl]carbonyl]amino]-1H-inden-2-yl]-, methyl ester (9CI) (CA INDEX NAME)

(trifluoromethyl)[1,1'-biphenyl]-2-yl]carbonyl]amino]-1H-inden-2-yl]-, methyl ester (9CI) (CA INDEX NAME)

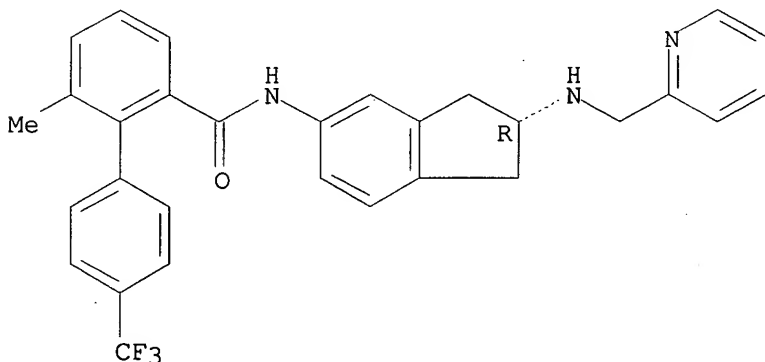
Absolute stereochemistry. Rotation (+).



RN 391655-10-0 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[(2R)-2,3-dihydro-2-[(2-pyridinylmethyl)amino]-1H-inden-5-yl]-6-methyl-4'-(trifluoromethyl)-, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



● 2 HCl

REFERENCE COUNT: 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

✓ L19> ANSWER 19 OF 64 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2001:303226 CAPLUS

DOCUMENT NUMBER: 135:93901

TITLE: The use of self-organising neural networks in dye design

AUTHOR(S): Greaves, A. J.; Gasteiger, J.

CORPORATE SOURCE: Department of Colour Chemistry, University of Leeds, Leeds, LS2 9JT, UK

SOURCE: Dyes and Pigments (2001), 49(1), 51-63

CODEN: DYPIDX; ISSN: 0143-7208

PUBLISHER: Elsevier Science Ltd.

DOCUMENT TYPE: Journal

Searched by Barb O'Bryen, STIC 308-4291

LANGUAGE: English

AB The mapping of mol. surfaces is of particular interest to dye chemists for numerous reasons, none more so than the prediction of dye-substrate binding. Self-organizing neural networks have been used to map the hydrogen bonding and electrostatic and hydrophobic 3D mol. surface potentials of 63 anionic (Na sulfonate) water-sol. dyes. The results indicate that the hydrogen bonding potential, the mol. electrostatic potential and their combination are useful in classifying the dyes and that the hydrogen bonding potential is a useful mol. descriptor of substantivity.

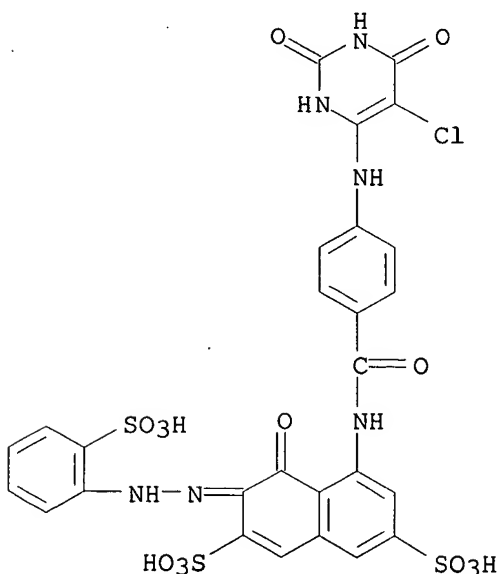
IT 307354-75-2 307354-84-3

RL: PRP (Properties); TEM (Technical or engineered material use); USES (Uses)

(dye; anionic dye substantivity prediction using hydrogen bonding potential)

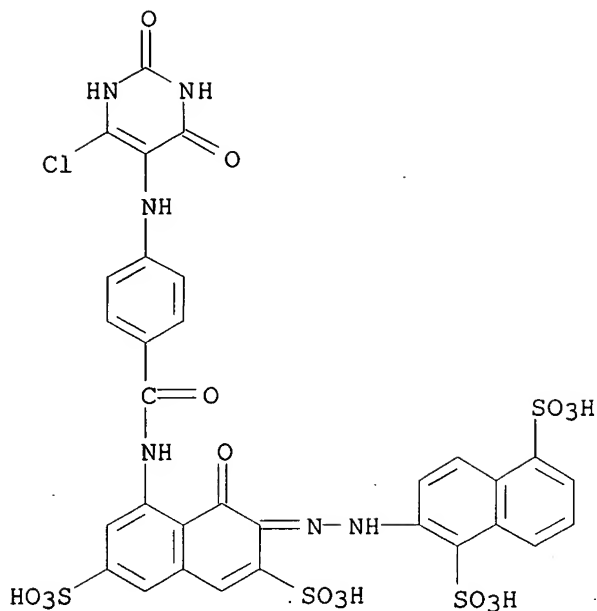
RN 307354-75-2 CAPLUS

CN 2,7-Naphthalenedisulfonic acid, 5-[[4-[(5-chloro-1,2,3,6-tetrahydro-2,6-dioxo-4-pyrimidinyl)amino]benzoyl]amino]-3,4-dihydro-4-oxo-3-[(2-sulphophenyl)hydrazono]-, trisodium salt (9CI) (CA INDEX NAME)



RN 307354-84-3 CAPLUS

CN 1,5-Naphthalenedisulfonic acid, 2-[[8-[[4-[(6-chloro-1,2,3,4-tetrahydro-2,4-dioxo-5-pyrimidinyl)amino]benzoyl]amino]-1-oxo-3,6-disulfo-2(1H)-naphthalenylidene]hydrazino]-, tetrasodium salt (9CI) (CA INDEX NAME)



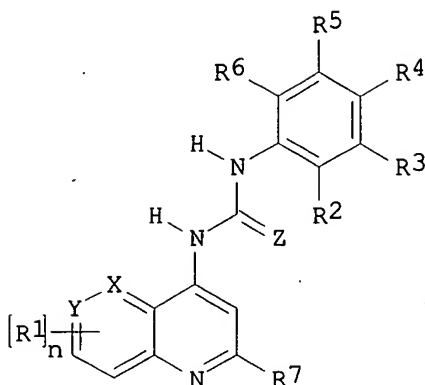
REFERENCE COUNT: 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

119 ANSWER 20 OF 64 CAPLUS COPYRIGHT 2004 ACS on STN  
 ACCESSION NUMBER: 2000:573794 CAPLUS  
 DOCUMENT NUMBER: 133:177102  
 TITLE: Preparation of phenyl ureas and thioureas as human orexin receptor antagonists  
 INVENTOR(S): Coulton, Steven; Johns, Amanda; Porter, Roderick Alan  
 PATENT ASSIGNEE(S): Smithkline Beecham Plc, UK  
 SOURCE: PCT Int. Appl., 28 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000047580	A2	20000817	WO 2000-EP1142	20000210
WO 2000047580	A3	20001221		
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1144409	A2	20011017	EP 2000-907553	20000210
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2002536447	T2	20021029	JP 2000-598500	20000210
US 6596730	B1	20030722	US 2001-913228	20011205
PRIORITY APPLN. INFO.:				
			GB 1999-3241	A 19990212
			GB 1999-26441	A 19991108
			WO 2000-EP1142	W 20000210

OTHER SOURCE(S):  
GI

MARPAT 133:177102



I

AB The title compds. [I; one of X and Y = N and the other = CH; Z = O, S; R1 = alkyl; alkenyl, alkoxy, etc.; R2-R6 = alkyl, alkenyl, alkoxy, etc.; an adjacent pair of R2-R6 together with the carbon atoms to which they are attached form (un)substituted carbocyclyl, heterocyclyl; R7 = alkyl, alkenyl, alkoxy, etc.; n = 0-3], useful for treating or preventing diseases or disorders where an antagonist of a human orexin receptor is required, were prepd. E.g., a multi-step synthesis of I [X = N; Y = CH; Z = O; R1, R2, R5, R6 = H; R3 = CONHCH2(cyclopropyl); R4 = OMe; R7 = Me] which showed pKb > 7.5 against human orexin-1 receptor, was given.

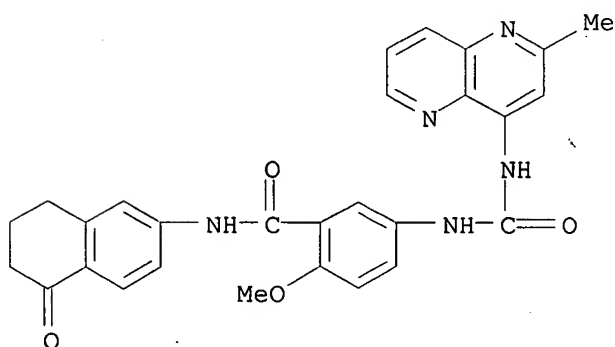
IT 288326-41-0P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(prepn. of Ph ureas and thioureas as human orexin receptor antagonists)

RN 288326-41-0 CAPLUS

CN Benzamide, 2-methoxy-5-[[[(2-methyl-1,5-naphthyridin-4-yl)amino]carbonyl]amino]-N-(5,6,7,8-tetrahydro-5-oxo-2-naphthalenyl)-(9CI) (CA INDEX NAME)



IT 288326-42-1P

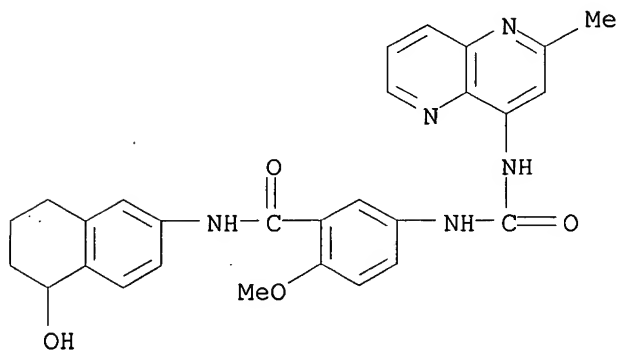
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of Ph ureas and thioureas as human orexin receptor antagonists)

RN 288326-42-1 CAPLUS

CN Benzamide, 2-methoxy-5-[[[(2-methyl-1,5-naphthyridin-4-

yl)amino]carbonyl]amino]-N-(5,6,7,8-tetrahydro-5-hydroxy-2-naphthalenyl)-(9CI) (CA INDEX NAME)

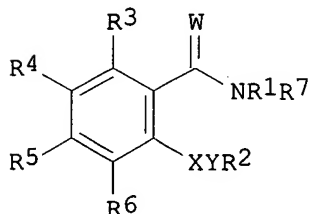


219 ANSWER 21 OF 64 CAPLUS COPYRIGHT 2004 ACS on STN  
 ACCESSION NUMBER: 2000:335388 CAPLUS  
 DOCUMENT NUMBER: 132:347491  
 TITLE: Preparation of N-aryl(thio)anthranilic acid amides as VEGF receptor tyrosine kinase inhibitors  
 INVENTOR(S): Altmann, Karl-Heinz; Bold, Guido; Furet, Pascal; Manley, Paul William; Wood, Jeanette Marjorie; Ferrari, Stefano; Hofmann, Francesco; Mestan, Jurgen; Huth, Andreas; Kruger, Martin; Seidelmann, Dieter; Menrad, Andreas; Haberey, Martin; Thierauch, Karl-Heinz  
 PATENT ASSIGNEE(S): Novartis A.-G., Switz.; Novartis-Erfindungen Verwaltungsgesellschaft m.b.H.; Schering Aktiengesellschaft  
 SOURCE: PCT Int. Appl., 77 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 2  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000027820	A1	20000518	WO 1999-EP8545	19991108
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2346898	AA	20000518	CA 1999-2346898	19991108
BR 9915210	A	20010724	BR 1999-15210	19991108
EP 1129075	A1	20010905	EP 1999-971802	19991108
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2002529453	T2	20020910	JP 2000-581000	19991108
AU 758230	B2	20030320	AU 2000-13811	19991108
NZ 511339	A	20030725	NZ 1999-511339	19991108
NO 2001001894	A	20010704	NO 2001-1894	20010417
ZA 2001003290	A	20030123	ZA 2001-3290	20010423
US 2002019414	A1	20020214	US 2001-850434	20010507

US 6448277 B2 20020910  
 ZA 2001004673 A 20020909  
 US 2003064992 A1 20030403  
 PRIORITY APPLN. INFO.:  
 OTHER SOURCE(S): MARPAT 132:347491  
 GI

ZA 2001-4673 20010607  
 US 2002-180289 20020626  
 GB 1998-24579 A 19981110  
 WO 1999-EP8545 W 19991108  
 US 2001-850434 A3 20010507



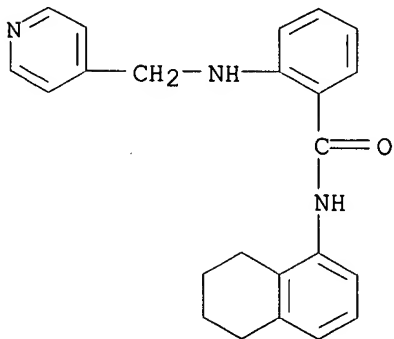
AB Use of title compds. I; W = O, S; X = NR8; Y = CR9R10(CH2)n, SO2; R9, R10 = H, alkyl; n = 0-3; R1 = aryl; R2 = mono- or bicyclic heteroaryl with the exception that R2 cannot = 2-phthalimidyl, and when Y = SO2 cannot represent 2,1,3-benzothiadiazol-4-yl; R3-R6 = H, substituent; R7, R8 = H, alkyl; or a N-oxide or a pharmaceutically acceptable salt thereof, for the prepn. of a pharmaceutical product for the treatment of a neoplastic disease which responds to an inhibition of the VEGF receptor tyrosine kinase activity is claimed. Thus, a mixt. of 4-pyridinecarboxaldehyde and 2-amino-N-(4-trifluoromethylphenyl)benzamide (prepn. given) in MeOH contg. HOAc was treated with NaBH3CN followed by 16 h stirring to give 2-[(4-pyridyl)methyl]amino-N-[4-(trifluoromethyl)phenyl]benzamide. Tested I inhibited Flt-1 VEGF receptor tyrosine kinase with IC50 = 0.18-0.56 .mu.M.

IT **269391-13-1P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (prepn. of N-aryl(thio)anthranilic acid amides as VEGF receptor tyrosine kinase inhibitors)

RN 269391-13-1 CAPLUS

CN Benzamide, 2-[(4-pyridinylmethyl)amino]-N-(5,6,7,8-tetrahydro-1-naphthalenyl)- (9CI) (CA INDEX NAME)



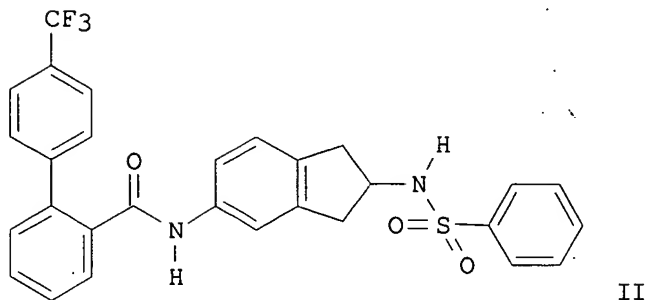
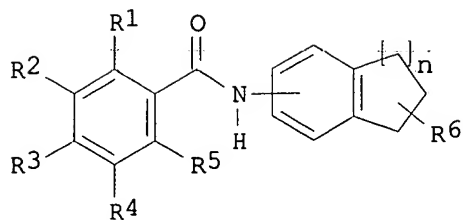
REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 22 OF 64 CAPLUS COPYRIGHT 2004 ACS on STN



ACCESSION NUMBER: 2000:84757 CAPLUS  
 DOCUMENT NUMBER: 132:122391  
 TITLE: Preparation of N-benzocycloalkyl-amides as inhibitors  
 or microsomal triglyceride transfer protein (MTP) and  
 apolipoprotein B (ApoB) secretion  
 INVENTOR(S): Fink, Cynthia Anne; Ksander, Gary Michael; Kukkola,  
 Paivi Jaana; Wallace, Eli Melville  
 PATENT ASSIGNEE(S): Novartis Ag, Switz.; Novartis-Erfindungen  
 Verwaltungsgesellschaft MbH  
 SOURCE: PCT Int. Appl., 96 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 2  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000005201	A1	20000203	WO 1999-EP5131	19990719
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2338198	AA	20000203	CA 1999-2338198	19990719
AU 9951613	A1	20000214	AU 1999-51613	19990719
EP 1097129	A1	20010509	EP 1999-936567	19990719
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2002521360	T2	20020716	JP 2000-561158	19990719
PRIORITY APPLN. INFO.:			US 1998-120017	A 19980721
			WO 1999-EP5131	W 19990719
OTHER SOURCE(S):		MARPAT 132:122391		
GI				



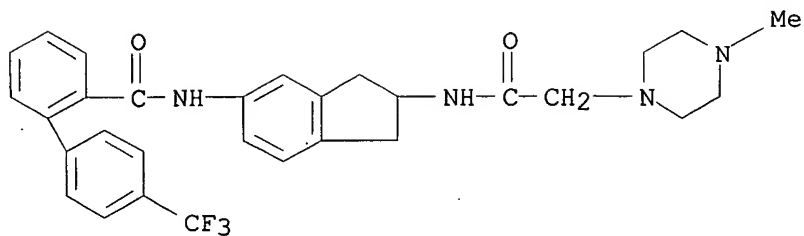
AB The title compds. [I; R2C, R3C,, R4C, R5C may be replaced by N; n = 1-3; R1 = aryl, cycloalkyl, heterocyclyl; R2-R5 = H, alkyl, halo, etc.; any two of R2-R5 at adjacent positions are alkylenedioxy; R6 = (un)substituted NH2, acylamino, etc.], useful as inhibitors or microsomal triglyceride transfer protein (MTP) and apolipoprotein B (ApoB) secretion and accordingly for the prevention and treatment of MTP and Apo B dependent conditions such as atherosclerosis, hypertriglyceridemia or hypercholesteremia, were prepd. and formulated. E.g., a multi-step synthesis of II was given. Biol. data for compds. I were presented.

IT 256394-61-3P 256394-62-4P 256394-64-6P  
 256394-65-7P 256394-79-3P 256394-85-1P  
 256394-90-8P 256395-00-3P 256395-01-4P  
 256395-06-9P 256395-19-4P 256395-21-8P  
 256395-26-3P 256395-41-2P 256395-93-4P  
 256395-98-9P 256396-06-2P 256396-08-4P  
 256396-20-0P 256396-28-8P 256396-66-4P  
 256397-13-4P 256397-32-7P 256397-38-3P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (prepn. of N-benzocycloalkyl-amides as inhibitors or microsomal triglyceride transfer protein (MTP) and apolipoprotein B (ApoB) secretion)

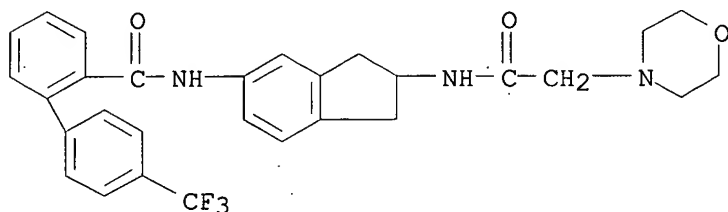
RN 256394-61-3 CAPLUS

CN 1-Piperazineacetamide, N-[2,3-dihydro-5-[[[4'-(trifluoromethyl)[1,1'-biphenyl]-2-yl]carbonyl]amino]-1H-inden-2-yl]-4-methyl- (9CI) (CA INDEX NAME)



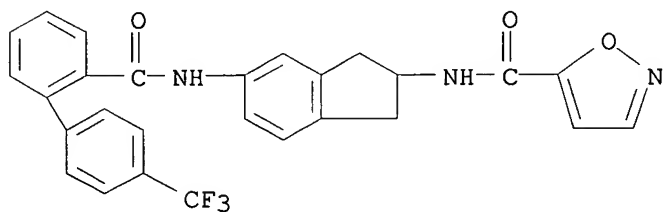
RN 256394-62-4 CAPLUS

CN 4-Morpholineacetamide, N-[2,3-dihydro-5-[[[4'-(trifluoromethyl)[1,1'-biphenyl]-2-yl]carbonyl]amino]-1H-inden-2-yl]- (9CI) (CA INDEX NAME)



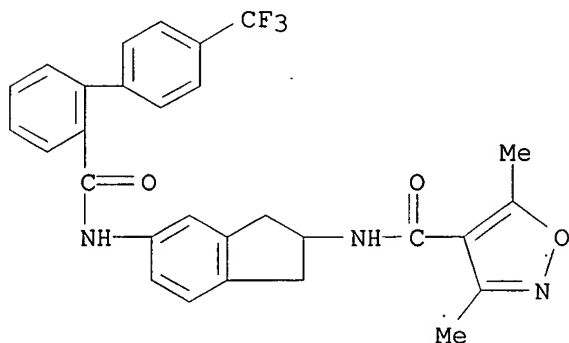
RN 256394-64-6 CAPLUS

CN 5-Isoxazolecarboxamide, N-[2,3-dihydro-5-[[[4'-(trifluoromethyl)[1,1'-biphenyl]-2-yl]carbonyl]amino]-1H-inden-2-yl]- (9CI) (CA INDEX NAME)



RN 256394-65-7 CAPLUS

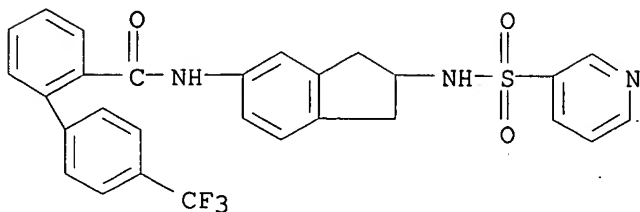
CN 4-Isoxazolecarboxamide, N-[2,3-dihydro-5-[[[4'-(trifluoromethyl)[1,1'-biphenyl]-2-yl]carbonyl]amino]-1H-inden-2-yl]-3,5-dimethyl- (9CI) (CA INDEX NAME)



RN 256394-79-3 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[2,3-dihydro-2-[(3-methyl-5-isoxazolyl)carbonyl]amino]-1H-inden-2-yl]- (9CI) (CA INDEX NAME)

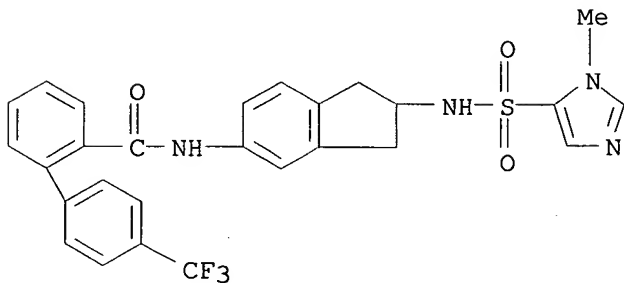
pyridinylsulfonyl)amino]-1H-inden-5-yl]-4'-(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 256394-85-1 CAPLUS  
CN [1,1'-Biphenyl]-2-carboxamide, N-[2,3-dihydro-2-[[[1-methyl-1H-imidazol-5-yl]sulfonyl]amino]-1H-inden-5-yl]-4'-(trifluoromethyl)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

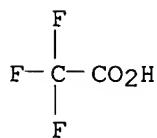
CM 1

CRN 256394-84-0  
CMF C27 H23 F3 N4 O3 S

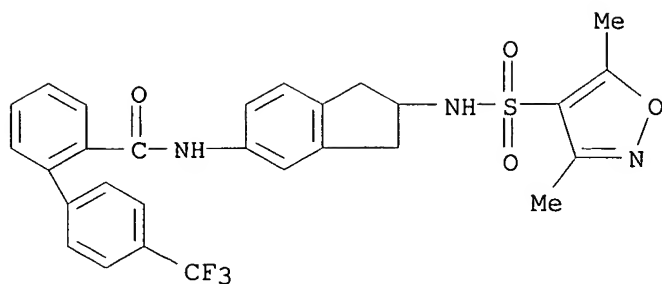


CM 2

CRN 76-05-1  
CMF C2 H F3 O2

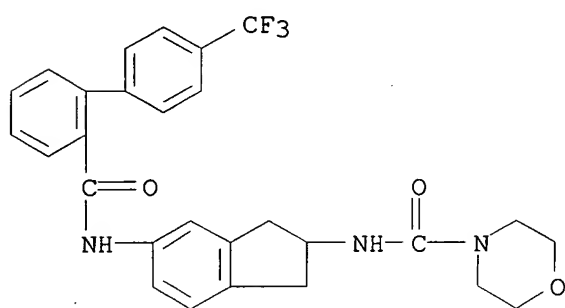


RN 256394-90-8 CAPLUS  
CN [1,1'-Biphenyl]-2-carboxamide, N-[2-[[[3,5-dimethyl-4-isoxazolyl]sulfonyl]amino]-2,3-dihydro-1H-inden-5-yl]-4'-(trifluoromethyl)- (9CI) (CA INDEX NAME)



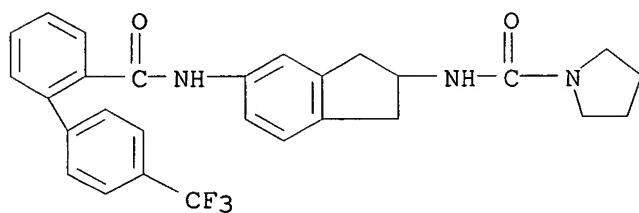
RN 256395-00-3 CAPLUS

CN 4-Morpholinecarboxamide, N-[2,3-dihydro-5-[[[4'-(trifluoromethyl)[1,1'-biphenyl]-2-yl]carbonyl]amino]-1H-inden-2-yl]- (9CI) (CA INDEX NAME)



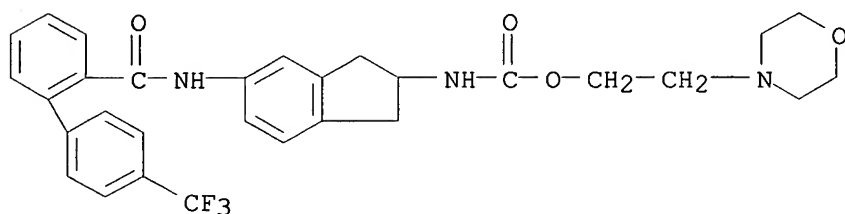
RN 256395-01-4 CAPLUS

CN 1-Pyrrolidinecarboxamide, N-[2,3-dihydro-5-[[[4'-(trifluoromethyl)[1,1'-biphenyl]-2-yl]carbonyl]amino]-1H-inden-2-yl]- (9CI) (CA INDEX NAME)



RN 256395-06-9 CAPLUS

CN Carbamic acid, [2,3-dihydro-5-[[[4'-(trifluoromethyl)[1,1'-biphenyl]-2-yl]carbonyl]amino]-1H-inden-2-yl]-, 2-(4-morpholinyl)ethyl ester (9CI) (CA INDEX NAME)



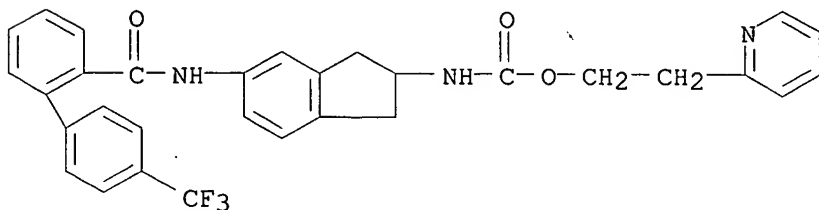
RN 256395-19-4 CAPLUS

CN Carbamic acid, [2,3-dihydro-5-[[[4'-(trifluoromethyl)[1,1'-biphenyl]-2-yl]carbonyl]amino]-1H-inden-2-yl]-, 2-(2-pyridinyl)ethyl ester, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 256395-18-3

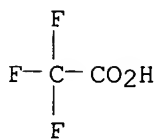
CMF C31 H26 F3 N3 O3



CM 2

CRN 76-05-1

CMF C2 H F3 O2



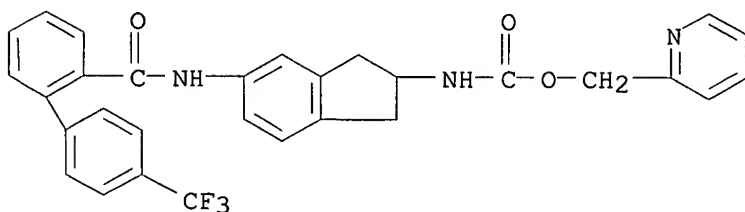
RN 256395-21-8 CAPLUS

CN Carbamic acid, [2,3-dihydro-5-[[[4'-(trifluoromethyl)[1,1'-biphenyl]-2-yl]carbonyl]amino]-1H-inden-2-yl]-, 2-pyridinylmethyl ester, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 256395-20-7

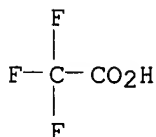
CMF C30 H24 F3 N3 O3



CM 2

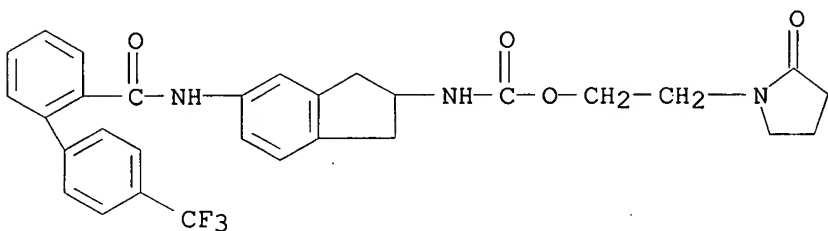
CRN 76-05-1

CMF C2 H F3 O2



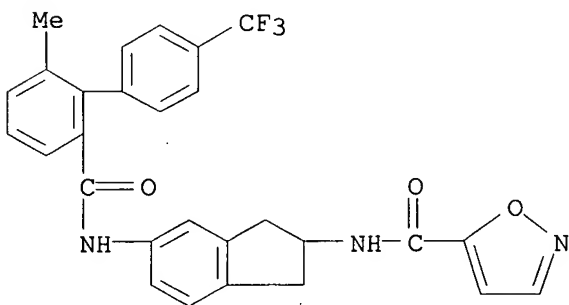
RN 256395-26-3 CAPLUS

CN Carbamic acid, [2,3-dihydro-5-[[[4'-(trifluoromethyl)[1,1'-biphenyl]-2-yl]carbonyl]amino]-1H-inden-2-yl]-, 2-(2-oxo-1-pyrrolidinyl)ethyl ester (9CI) (CA INDEX NAME)



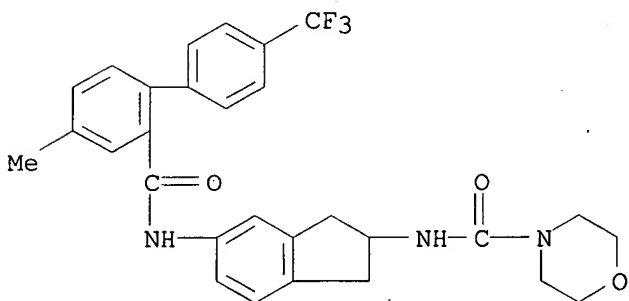
RN 256395-41-2 CAPLUS

CN 5-Isoxazolecarboxamide, N-[2,3-dihydro-5-[[[6-methyl-4'-(trifluoromethyl)[1,1'-biphenyl]-2-yl]carbonyl]amino]-1H-inden-2-yl]- (9CI) (CA INDEX NAME)



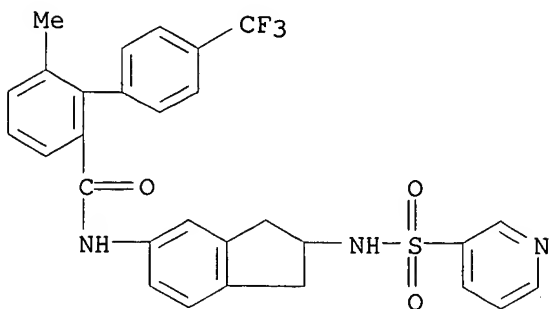
RN 256395-93-4 CAPLUS

CN 4-Morpholinecarboxamide, N-[2,3-dihydro-5-[[[4-methyl-4'-(trifluoromethyl)[1,1'-biphenyl]-2-yl]carbonyl]amino]-1H-inden-2-yl]- (9CI) (CA INDEX NAME)

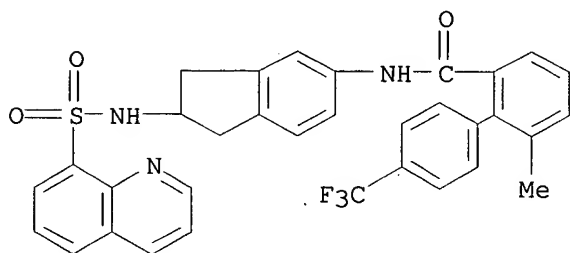


RN 256395-98-9 CAPLUS

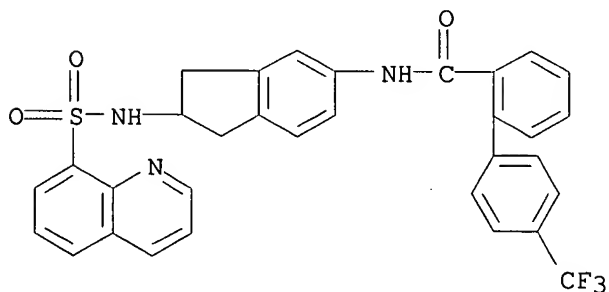
CN [1,1'-Biphenyl]-2-carboxamide, N-[2,3-dihydro-2-[(3-pyridinylsulfonyl)amino]-1H-inden-5-yl]-6-methyl-4'-(trifluoromethyl)-  
(9CI) (CA INDEX NAME)



RN 256396-06-2 CAPLUS  
CN [1,1'-Biphenyl]-2-carboxamide, N-[2,3-dihydro-2-[(8-quinolinylsulfonyl)amino]-1H-inden-5-yl]-6-methyl-4'-(trifluoromethyl)-  
(9CI) (CA INDEX NAME)

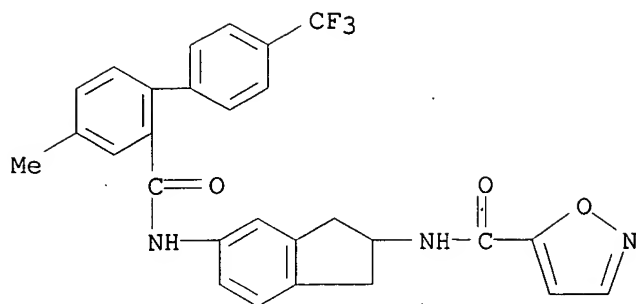


RN 256396-08-4 CAPLUS  
CN [1,1'-Biphenyl]-2-carboxamide, N-[2,3-dihydro-2-[(8-quinolinylsulfonyl)amino]-1H-inden-5-yl]-4'-(trifluoromethyl)- (9CI) (CA INDEX NAME)

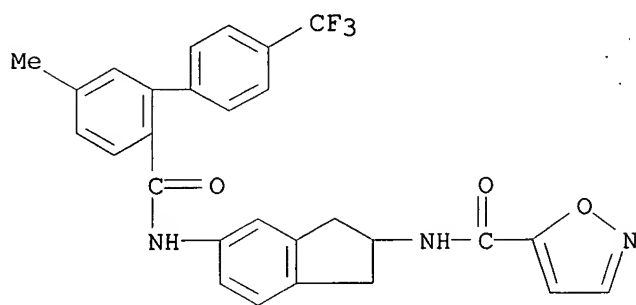


RN 256396-20-0 CAPLUS  
CN 5-Isoxazolecarboxamide, N-[2,3-dihydro-5-[[[4-methyl-4'-(trifluoromethyl)-1,1'-biphenyl]-2-yl]carbonyl]amino]-1H-inden-2-yl]-  
(9CI) (CA INDEX NAME)

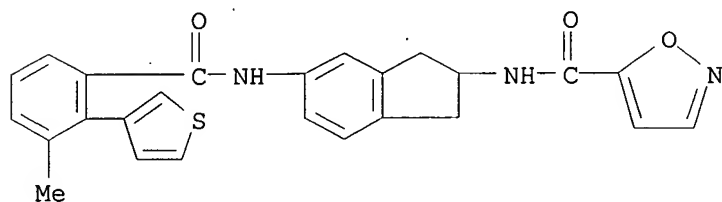




RN 256396-28-8 CAPLUS  
 CN 5-Isioxazolecarboxamide, N-[2,3-dihydro-5-[[[5-methyl-4'-(trifluoromethyl)1,1'-biphenyl]-2-yl]carbonyl]amino]-1H-inden-2-yl]- (9CI) (CA INDEX NAME)

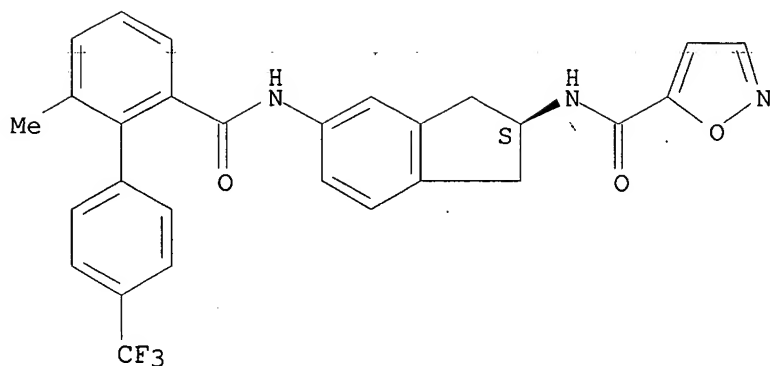


RN 256396-66-4 CAPLUS  
 CN 5-Isioxazolecarboxamide, N-[2,3-dihydro-5-[[[3-methyl-2-(3-thienyl)benzoyl]amino]-1H-inden-2-yl]- (9CI) (CA INDEX NAME)



RN 256397-13-4 CAPLUS  
 CN 5-Isioxazolecarboxamide, N-[(2S)-2,3-dihydro-5-[[[6-methyl-4'-(trifluoromethyl)1,1'-biphenyl]-2-yl]carbonyl]amino]-1H-inden-2-yl]- (9CI) (CA INDEX NAME)

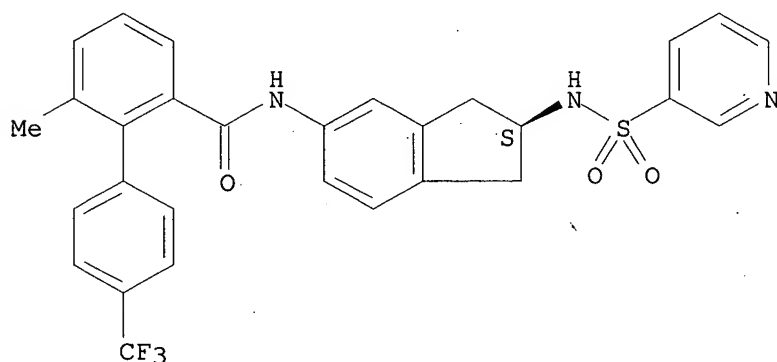
Absolute stereochemistry.



RN 256397-32-7 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[(2S)-2,3-dihydro-2-[(3-pyridinylsulfonyl)amino]-1H-inden-5-yl]-6-methyl-4'-(trifluoromethyl)-(9CI) (CA INDEX NAME)

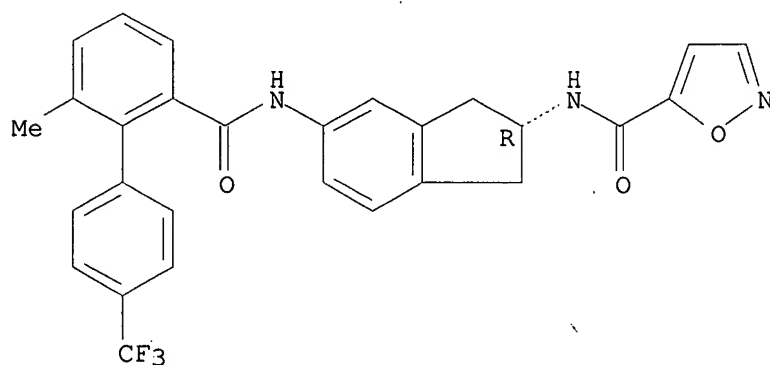
Absolute stereochemistry.



RN 256397-38-3 CAPLUS

CN 5-Isioxazolecarboxamide, N-[(2R)-2,3-dihydro-5-[[[6-methyl-4'-(trifluoromethyl) [1,1'-biphenyl]-2-yl]carbonyl]amino]-1H-inden-2-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

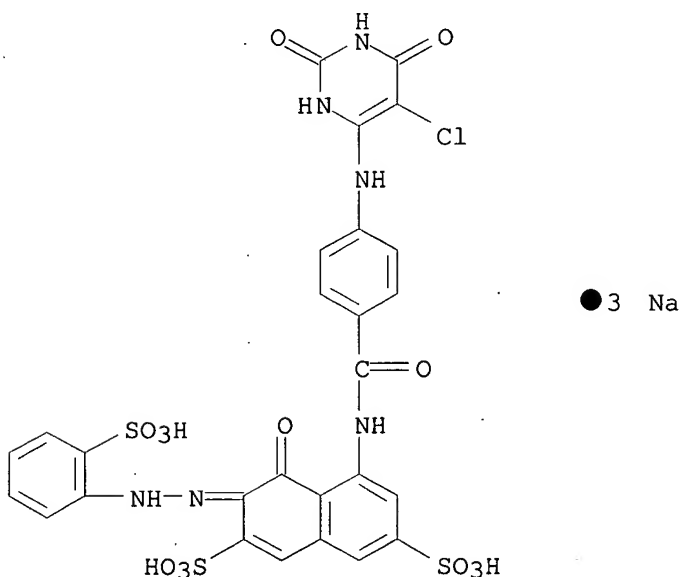


REFERENCE COUNT:

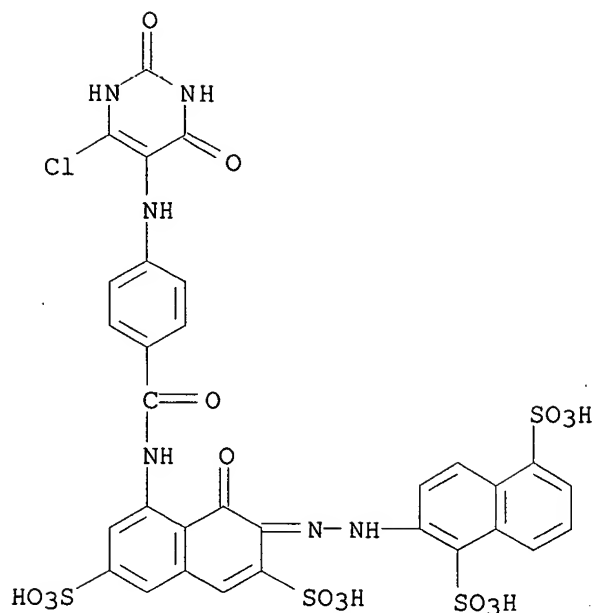
2

THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 23 OF 64 CAPLUS COPYRIGHT 2004 ACS on STN  
 ACCESSION NUMBER: 2000:780039 CAPLUS  
 DOCUMENT NUMBER: 134:8630  
 TITLE: A chemometric approach to understanding the  
 bioelimination of anionic, water-soluble dyes by a  
 biomass - Part 4: reactive dyes  
 AUTHOR(S): Churchley, J. H.; Greaves, A. J.; Hutchings, M. G.;  
 Phillips, D. A. S.; Taylor, J. A.  
 CORPORATE SOURCE: Severn Trent Water plc, Coventry, CV3 6PR, UK  
 SOURCE: Journal of the Society of Dyers and Colourists (2000),  
 116(10), 323-329  
 CODEN: JSDCAA; ISSN: 0037-9859  
 PUBLISHER: Society of Dyers and Colourists  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 AB The bioelimination of a series of hydrolyzed reactive dyes of known chem.  
 structure was detd. using a new, rapid and robust lab. method and a  
 chemometric anal. conducted on the bioelimination results. The level of  
 bioelimination varies from 0% to only .ltoreq.25% and the chemometric  
 anal. indicates that if either the no. of arom. rings increases or the no.  
 of 2-hydroxyethylsulfone groups decreases, then the bioelimination  
 increases. To maximize the bioelimination of reactive dyes, large, planar  
 triazine-based dyes should be used.  
 IT 307354-75-2 307354-84-3  
 RL: POL (Pollutant); REM (Removal or disposal); OCCU (Occurrence); PROC  
 (Process)  
 (chemometric approach to understanding bioelimination of anionic  
 water-sol. reactive dyes by biomass)  
 RN 307354-75-2 CAPLUS  
 CN 2,7-Naphthalenedisulfonic acid, 5-[[[4-[(5-chloro-1,2,3,6-tetrahydro-2,6-  
 dioxo-4-pyrimidinyl)amino]benzoyl]amino]-3,4-dihydro-4-oxo-3-[(2-  
 sulfophenyl)hydrazono]-, trisodium salt (9CI) (CA INDEX NAME)



RN 307354-84-3 CAPLUS  
 CN 1,5-Naphthalenedisulfonic acid, 2-[[[8-[[4-[(6-chloro-1,2,3,4-tetrahydro-  
 2,4-dioxo-5-pyrimidinyl)amino]benzoyl]amino]-1-oxo-3,6-disulfo-2(1H)-  
 naphthalenyldene]hydrazino]-, tetrasodium salt (9CI) (CA INDEX NAME)



REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

~~119~~ ANSWER 24 OF 64 CAPLUS COPYRIGHT 2004 ACS on STN  
 ACCESSION NUMBER: 1999:487265 CAPLUS  
 DOCUMENT NUMBER: 131:116084  
 TITLE: Preparation of N-(aminotetrahydronaphthyl)arylsulfonamides and analogs as potassium channel blockers  
 INVENTOR(S): Gross, Michael F.; Castle, Neil A.  
 PATENT ASSIGNEE(S): Icagen, Inc., USA  
 SOURCE: PCT Int. Appl., 101 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9937607	A1	19990729	WO 1999-US1663	19990127
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6333337	B1	20011225	US 1999-229315	19990113
ZA 9900550	A	19990726	ZA 1999-550	19990126
CA 2317457	AA	19990729	CA 1999-2317457	19990127
AU 9922419	A1	19990809	AU 1999-22419	19990127
AU 745845	B2	20020411		
EP 1051394	A1	20001115	EP 1999-902443	19990127
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2002501041	T2	20020115	JP 2000-528531	19990127
BR 9907236	A	20020122	BR 1999-7236	19990127
NO 2000003600	A	20000926	NO 2000-3600	20000713

PRIORITY APPLN. INFO.:

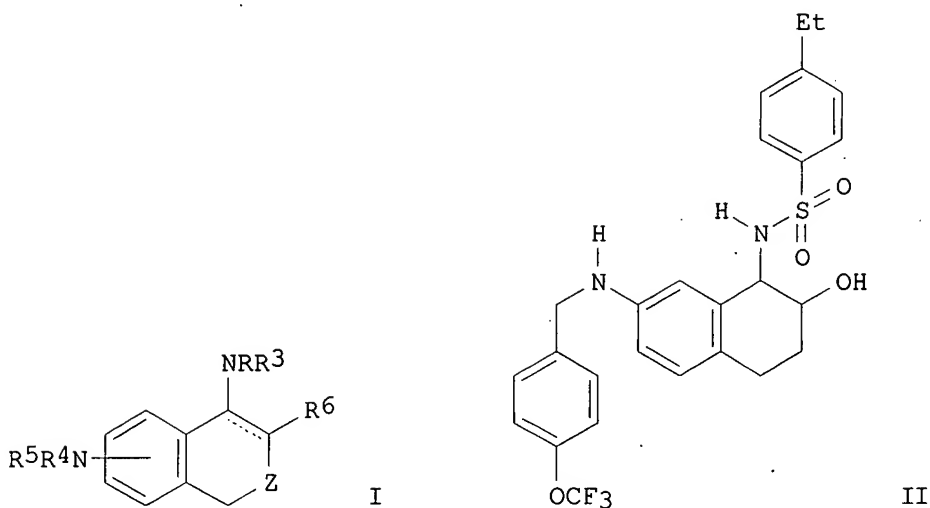
US 1998-72719P P 19980127

WO 1999-US1663 W 19990127

OTHER SOURCE(S):

MARPAT 131:116084

GI



AB Title compds. [I; R = X<sub>2</sub>Y<sub>2</sub>R<sub>1</sub>; R<sub>1</sub> = H, alkyl, (hetero)aryl, etc.; R<sub>3</sub>, R<sub>4</sub> = H, alkyl, (hetero)aryl(alkyl), etc.; R<sub>5</sub> = X<sub>1</sub>Y<sub>1</sub>R<sub>2</sub>; R<sub>2</sub> = H, alkyl, alkoxy, (di)alkylamino, (hetero)aryl(alkyl), etc.; R<sub>6</sub> = H, (un)substituted alkyl, (di)(alkyl)amino, etc.; X<sub>1</sub> = bond, CH<sub>2</sub>, CO, SO<sub>2</sub>, etc.; X<sub>2</sub> = CO, CS, SO<sub>2</sub>; Y<sub>1</sub> = bond, alkylene, CH:CH, etc.; Y<sub>2</sub> = bond, CH<sub>2</sub>, O, NH, CH:CH, etc.; Z = CH<sub>2</sub> or CH<sub>2</sub>CH<sub>2</sub>; dashed line = optional addnl. bond] were prepd. Thus, 7-nitro-1-tetralone was converted in 4 steps to trans-1-amino-7-nitro-2-naphthol which was amidated by 4-EtC<sub>6</sub>H<sub>4</sub>SO<sub>2</sub>Cl and the reduced product N-alkylated by 4-(F<sub>3</sub>CO)C<sub>6</sub>H<sub>4</sub>CH<sub>2</sub>Br to give title compd. trans-II. Data for biol. activity of I were given.

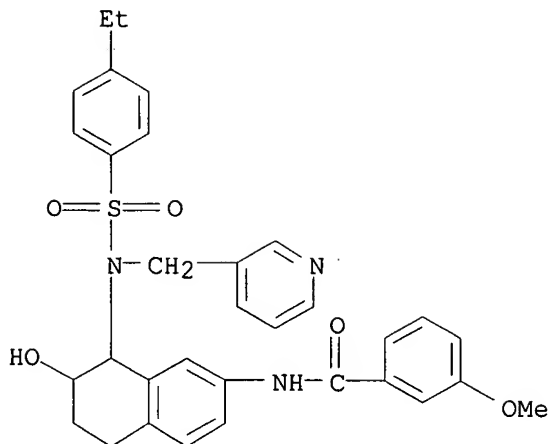
IT 232265-96-2P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of N-(aminotetrahydronaphthyl)arylsulfonamides and analogs as potassium channel blockers)

RN 232265-96-2 CAPLUS

CN Benzamide, N-[8-[[[(4-ethylphenyl)sulfonyl](3-pyridinylmethyl)amino]-5,6,7,8-tetrahydro-7-hydroxy-2-naphthalenyl]-3-methoxy- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

19 ANSWER 25 OF 64 CAPLUS COPYRIGHT 2004 ACS on STN  
 ACCESSION NUMBER: 1999:325919 CAPLUS  
 DOCUMENT NUMBER: 130:352284  
 TITLE: Preparation of 5-benzylidenethiazolidine-2,4-dione and 10-[4-[(2,4-dioxothiazolidin-5-ylidene)methyl]phenyl]-5H-dibenzo[b,e][1,4]diazepine derivatives as retinoid receptor agonists  
 INVENTOR(S): Kagechika, Hiroyuki; Hashimoto, Yuichi; Itai, Akiko  
 PATENT ASSIGNEE(S): Institute of Medicinal Molecular Design, Inc., Japan  
 SOURCE: PCT Int. Appl., 68 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9924415	A1	19990520	WO 1998-JP5091	19981112
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2309331	AA	19990520	CA 1998-2309331	19981112
AU 9910525	A1	19990531	AU 1999-10525	19981112
EP 1048659	A1	20001102	EP 1998-953024	19981112
R: CH, DE, FR, GB, IT, LI				
PRIORITY APPLN. INFO.:			JP 1997-310835	A 19971112
			WO 1998-JP5091	W 19981112
OTHER SOURCE(S):			MARPAT 130:352284	
GI				

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB The title compds. (I; R1-R5 = H or lower alkyl or adjacent 2 groups of R1-R5 form together with the carbon atoms of the Ph ring to form 5- to 6-membered ring optionally 1 or .gtoreq.2 alkyl groups; X = CR6:CH, CH:CR7, NR8CO, CONR9, C(:CHR10), CO, or NR11; R6-R11 = H lower alkyl) and (II; R21-R24 = H or lower alkyl or adjacent 2 groups of R1-R5 form together with the carbon atoms of the Ph ring to form 5- to 6-membered ring optionally 1 or .gtoreq.2 alkyl groups; R25 = H, lower alkyl), which are retinoid receptor agonists having retinoic effects or regulatory effects of increasing or suppressing retinoid actions, are prepd. These compds. are useful for the prevention and/or treatment of cancers, diabetes, arteriosclerosis, bone diseases, rheumatism, and autoimmune diseases. Thus, 4-[1-(1,2,3,4-tetrahydro-1,1,4,4-tetramethylnaphthalen-7-yl)vinyl]benzaldehyde was condensed with 2,4-thiazolidinedione in the presence of piperidine and AcOH in toluene under reflux at 120.degree. to give the title compd. (III). III in vitro promoted the differentiation of HL-60 cell to granulocyte by 2.8, 6.4, and 89% at 10-8, 10-7 and 10-6 M, resp., and 76, and 84, and 92% in the copresence of 3.times.10-9 M Am80, resp.

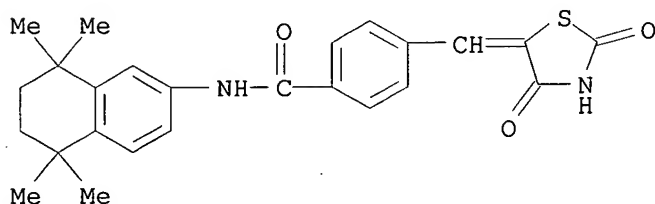
IT 224629-74-7P 224629-75-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of benzylidenethiazolidinedione and [(dioxothiazolidinylidene)methyl]phenyl]-5H-dibenzo[b,e][1,4]diazepine derivs. as retinoid receptor agonists as preventives and therapeutics)

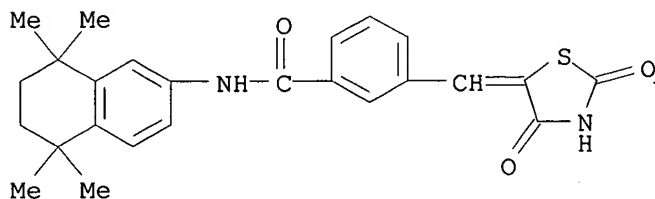
RN 224629-74-7 CAPLUS

CN Benzamide, 4-[(2,4-dioxo-5-thiazolidinylidene)methyl]-N-(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)- (9CI) (CA INDEX NAME)



RN 224629-75-8 CAPLUS

CN Benzamide, 3-[(2,4-dioxo-5-thiazolidinylidene)methyl]-N-(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 26 OF 64 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1998:589875 CAPLUS

DOCUMENT NUMBER: 129:302528

TITLE: New Platelet Fibrinogen Receptor Glycoprotein IIb-IIIa Antagonists: Orally Active Series of N-Alkylated Amidines with a 6,6-Bicyclic Template

AUTHOR(S): Okumura, Kunio; Shimazaki, Toshiyuki; Aoki, Yoji;

## CORPORATE SOURCE:

Yamashita, Hiroyuki; Tanaka, Eishi; Banba, Shinichi;  
Yazawa, Kouhei; Kibayashi, Kenji; Banno, Hitoshi  
Pharmaceuticals Section Life Sciences Laboratory,  
Performance Materials RD Center Mitsui Chemicals Inc.,  
Chiba, 297-0017, Japan

## SOURCE:

Journal of Medicinal Chemistry (1998), 41(21),  
4036-4052

CODEN: JMCMAR; ISSN: 0022-2623

## PUBLISHER:

American Chemical Society

## DOCUMENT TYPE:

Journal

## LANGUAGE:

English

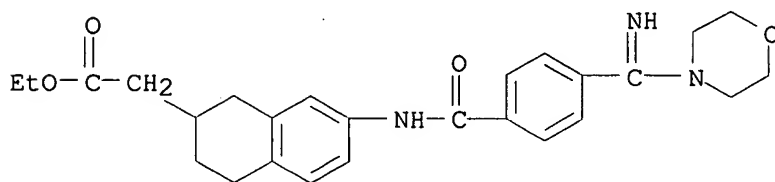
**AB** The design, synthesis, and pharmacol. evaluation of (S)-(-)-Et [6-[4-(morpholinoformimidoyl)benzamido]-3,4-dihydro-2H-1-benzopyran-3-yl]acetate hydrochloride ((S)-I.cntdot.HCl, MS-180), an orally active glycoprotein IIb-IIIa (GPIIb-IIIa) antagonist, are reported. Pharmacophore mapping of amidino and carboxyl groups of already known GPIIb-IIIa antagonists led to the synthesis of nine amidino acids contg. 6,6-bicyclic ring skeletons. Among them, the compds. having an amide bond and 1,2,3,4-tetrahydronaphthalene or a 3,4-dihydro-2H-1-benzopyran skeleton showed marked inhibitions with IC50 values of 46-57 nM in human platelet aggregation assay in vitro, but low oral activities. N-Alkylation of the amidino group coupled with the ester prodrug approach afforded (MS-180) (S)-I.cntdot.HCl, which generates in vivo the corresponding (S)-carboxylic acid (II) as an active species. In vitro, II inhibited ADP-induced aggregation of guinea pig, dog, and human platelets (IC50 = 110, 253, and 35 nM, resp.) and inhibited the binding of fibrinogen to immobilized GPIIb-IIIa of human platelets (IC50 = 0.12 nM). After oral administration of MS-180 (S)-I.cntdot.HCl to fasted beagle dog, ex vivo inhibition of platelet aggregation was obsd. The maximal inhibitions were obsd. 2-4 h after dosing with dose dependency (60% inhibition at a dose of 1 mg/kg, 85% at 3 mg/kg, and 100% at 10 mg/kg, resp.) and the extent of the inhibitions paralleled the plasma concn. of the active species II. On the basis of these studies, MS-180 [(S)-I.cntdot.HCl] was selected as a candidate for clin. evaluation as a drug for the treatment and prevention of thrombosis in patients.

**IT 188349-90-8P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)  
(prepn. of orally active [(carboxymethyl)aryl]aminocarbonyl]benzamidin es as platelet fibrinogen receptor glycoprotein IIb-IIIa antagonists)

**RN 188349-90-8 CAPLUS**

**CN** 2-Naphthaleneacetic acid, 1,2,3,4-tetrahydro-7-[[4-(imino-4-morpholinylmethyl)benzoyl]amino]-, ethyl ester, monohydrochloride (9CI)  
(CA INDEX NAME)



HCl

**IT 188349-91-9P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological

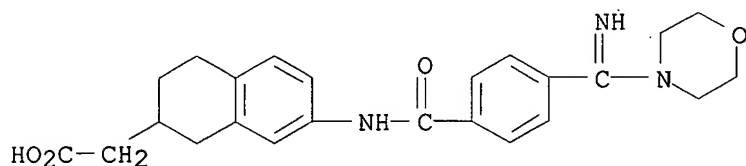


study); PREP (Preparation)

(prepn. of orally active [[(carboxymethyl)aryl]aminocarbonyl]benzamides as platelet fibrinogen receptor glycoprotein IIb-IIIa antagonists)

RN 188349-91-9 CAPLUS

CN 2-Naphthaleneacetic acid, 1,2,3,4-tetrahydro-7-[[4-(imino-4-morpholinylmethyl)benzoyl]amino]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

REFERENCE COUNT: 37 THERE ARE 37 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

119 ANSWER 27 OF 64 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1998:329589 CAPLUS

DOCUMENT NUMBER: 129:76127

TITLE: Novel thiazolidinedione derivatives with retinoid synergistic activity

AUTHOR(S): Ebisawa, Masayuki; Kawachi, Emiko; Fukasawa, Hiroshi; Hashimoto, Yuichi; Itai, Akiko; Shudo, Koichi; Kagechika, Hiroyuki

CORPORATE SOURCE: Graduate School of Pharmaceutical Sciences, University of Tokyo, Tokyo, 113-0033, Japan

SOURCE: Biological & Pharmaceutical Bulletin (1998), 21(5), 547-549

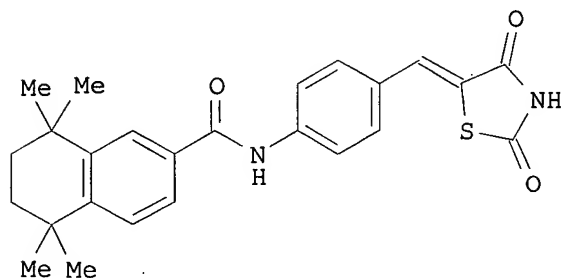
CODEN: BPBLEO; ISSN: 0918-6158

PUBLISHER: Pharmaceutical Society of Japan

DOCUMENT TYPE: Journal

LANGUAGE: English

GI



I

AB Several arylmethyldiene thiazolidinediones were synthesized and their retinoidal activities were examd. TZ181 (I), having a benzanilide skeleton, exhibited differentiation-inducing activity in HL-60 cell assay, while TZ191, the N-methylated analog of TZ181, TZ245 and TZ335 acted as retinoid synergists like the RXR-selective ligand, LGD1069.

IT 209161-82-0P, TZ 185

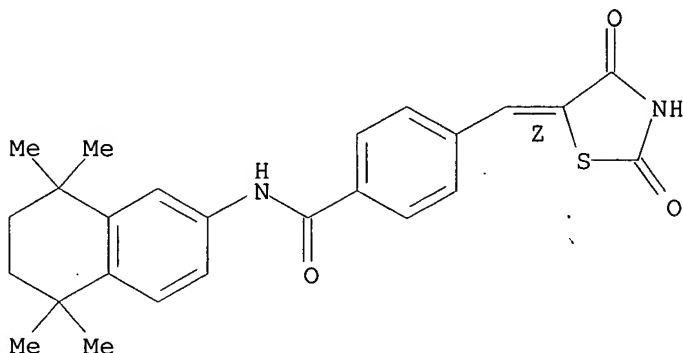
RL: BAC (Biological activity or effector, except adverse); BSU (Biological

study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)  
(novel thiazolidinedione derivs. with retinoid synergistic activity)

RN 209161-82-0 CAPLUS

CN Benzamide, 4-[(Z)-(2,4-dioxo-5-thiazolidinylidene)methyl]-N-(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 28 OF 64 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1997:244286 CAPLUS

DOCUMENT NUMBER: 126:225229

TITLE: Amidine derivatives and platelet aggregation inhibitor containing the same

INVENTOR(S): Yamashita, Hiroyuki; Okumura, Kunio; Shimazaki, Toshiyuki; Kanematsu, Akihito; Aoki, Yoji; Nakajima, Yuki; Yazawa, Kouhei; Kibayashi, Kenji

PATENT ASSIGNEE(S): Mitsui Toatsu Chemicals, Inc., Japan

SOURCE: Eur. Pat. Appl., 36 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

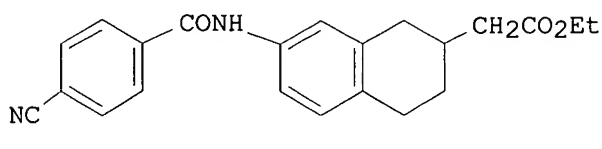
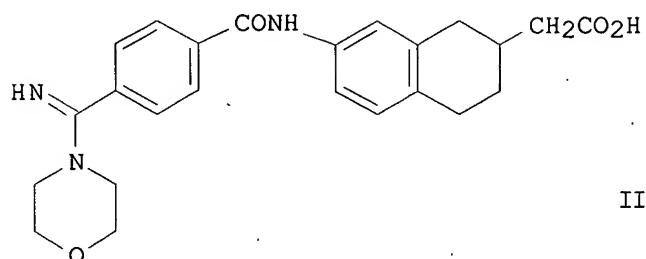
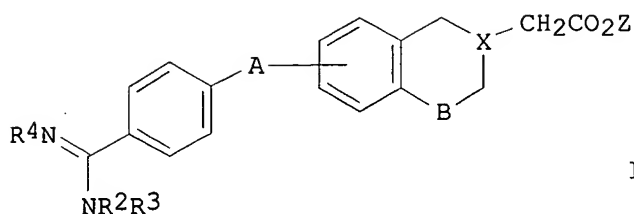
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 760364	A2	19970305	EP 1996-113937	19960830
EP 760364	A3	19980729		
EP 760364	B1	20000719		
R: AT, BE, CH, DE, DK, ES, FI, FR, GB, IT, LI, NL, SE				
US 5719145	A	19980217	US 1996-699346	19960819
AU 9662172	A1	19970508	AU 1996-62172	19960820
AU 686515	B2	19980205		
NO 9603469	A	19970303	NO 1996-3469	19960821
JP 09124581	A2	19970513	JP 1996-226465	19960828
AT 194829	E	20000815	AT 1996-113937	19960830
ES 2149411	T3	20001101	ES 1996-113937	19960830
CN 1154962	A	19970723	CN 1996-113341	19960831
CN 1062266	B	20010221		

PRIORITY APPLN. INFO.: JP 1995-223094 A 19950831

OTHER SOURCE(S): MARPAT 126:225229

GI



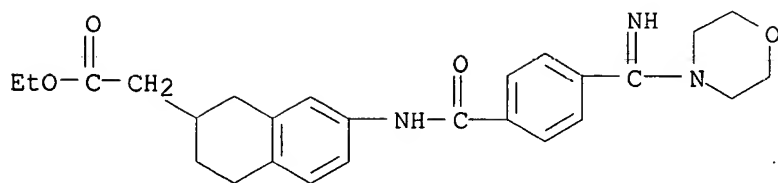
AB Substituted amidine derivs. I [A = CONR<sub>1</sub>, NR<sub>1</sub>CO; R<sub>1</sub> = H, alkyl; B = CH<sub>2</sub>, O; X = CH, N; X = CH when B = O; Z = H, (un)substituted alkyl; R<sub>2</sub>, R<sub>3</sub>, R<sub>4</sub> = H, alkyl, CH<sub>2</sub>C.tplbond.CH, CO<sub>2</sub>R<sub>5</sub>, (CH<sub>2</sub>)<sub>m</sub>Ar; R<sub>2</sub>R<sub>3</sub> = (hetero)cycloalkyl; R<sub>5</sub> = alkyl, CH<sub>2</sub>CH<sub>2</sub>OMe; m = 1, 2; Ar = pyridyl, furyl, thienyl] have excellent platelet aggregation inhibiting action on the basis of fibrinogen antagonism. Thus, amidine II.cntdot.HCl was prepd. via amination of nitrile III with morpholine, followed by sapon. and acidification. II exhibited inhibition of GPIIb/IIIa fibrinogen binding (IC<sub>50</sub> = 0.13 nM), platelet aggregation in guinea pig plasma (IC<sub>50</sub> = 140 nM) and in human plasma (IC<sub>50</sub> = 68 nM).

IT 188349-90-8P 188349-91-9P 188350-01-8P  
188350-02-9P 188350-03-0P 188350-04-1P  
188350-06-3P 188350-07-4P 188350-10-9P  
188350-11-0P 188350-27-8P 188350-28-9P  
188350-30-3P 188350-33-6P 188350-34-7P  
188350-36-9P 188350-38-1P 188350-44-9P  
188350-46-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(prepn. of amidine derivs. as platelet aggregation inhibitors)

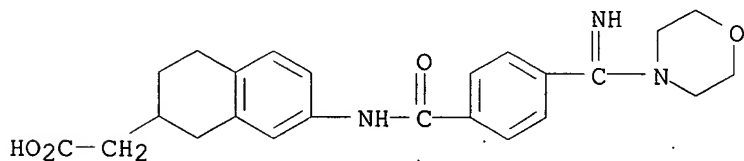
RN 188349-90-8 CAPLUS

CN 2-Naphthaleneacetic acid, 1,2,3,4-tetrahydro-7-[[4-(imino-4-morpholinylmethyl)benzoyl]amino]-, ethyl ester, monohydrochloride (9CI)  
(CA INDEX NAME)



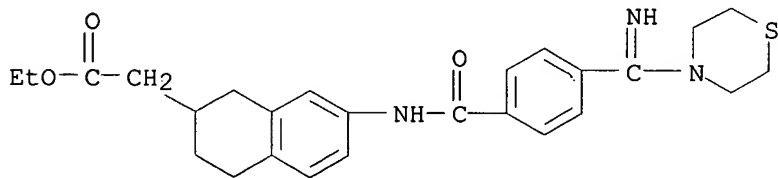
● HCl

RN 188349-91-9 CAPLUS  
 CN 2-Naphthaleneacetic acid, 1,2,3,4-tetrahydro-7-[[4-(imino-4-morpholinylmethyl)benzoyl]amino]-, monohydrochloride (9CI) (CA INDEX NAME)



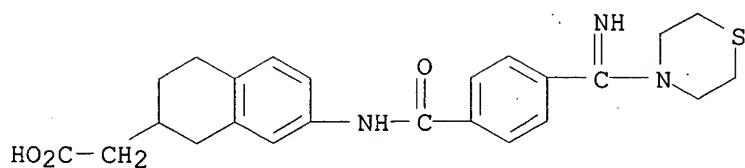
● HCl

RN 188350-01-8 CAPLUS  
 CN 2-Naphthaleneacetic acid, 1,2,3,4-tetrahydro-7-[[4-(imino-4-thiomorpholinylmethyl)benzoyl]amino]-, ethyl ester, monohydrochloride (9CI) (CA INDEX NAME)



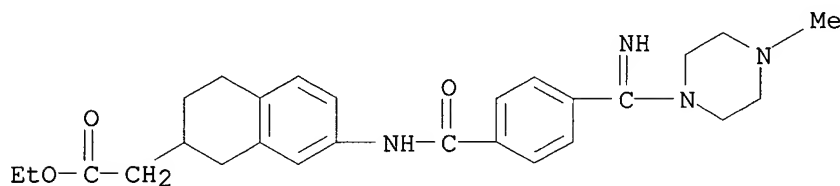
● HCl

RN 188350-02-9 CAPLUS  
 CN 2-Naphthaleneacetic acid, 1,2,3,4-tetrahydro-7-[[4-(imino-4-thiomorpholinylmethyl)benzoyl]amino]-, monohydrochloride (9CI) (CA INDEX NAME)



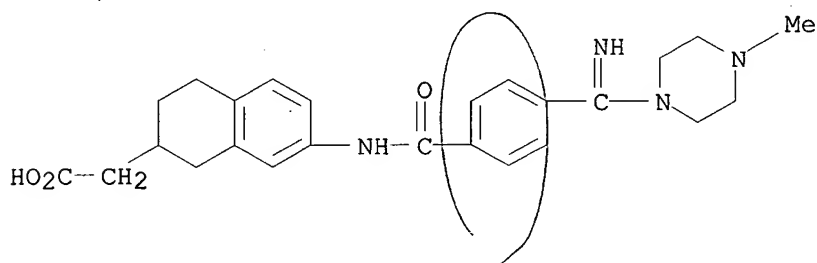
● HCl

RN 188350-03-0 CAPLUS  
 CN 2-Naphthaleneacetic acid, 1,2,3,4-tetrahydro-7-[[4-[imino(4-methyl-1-piperazinyl)methyl]benzoyl]amino]-, ethyl ester, dihydrochloride (9CI)  
 (CA INDEX NAME)



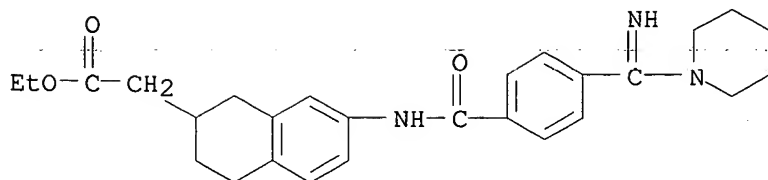
● 2 HCl

RN 188350-04-1 CAPLUS  
 CN 2-Naphthaleneacetic acid, 1,2,3,4-tetrahydro-7-[[4-[imino(4-methyl-1-piperazinyl)methyl]benzoyl]amino]-, dihydrochloride (9CI) (CA INDEX NAME)



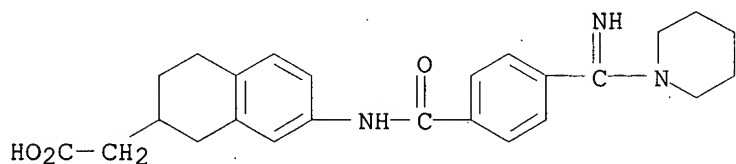
● 2 HCl

RN 188350-06-3 CAPLUS  
 CN 2-Naphthaleneacetic acid, 1,2,3,4-tetrahydro-7-[[4-(imino-1-piperidinylmethyl)benzoyl]amino]-, ethyl ester, monohydrochloride (9CI)  
 (CA INDEX NAME)



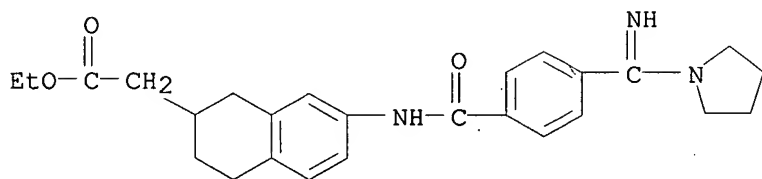
● HCl

RN 188350-07-4 CAPLUS  
 CN 2-Naphthaleneacetic acid, 1,2,3,4-tetrahydro-7-[[4-(imino-1-piperidinylmethyl)benzoyl]amino]-, monohydrochloride (9CI) (CA INDEX NAME)



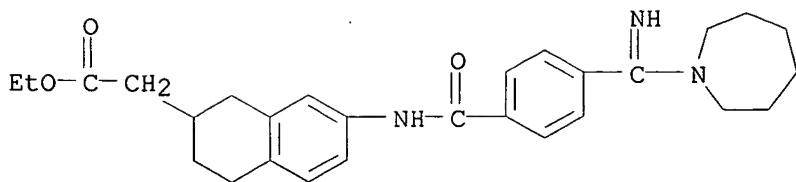
● HCl

RN 188350-10-9 CAPLUS  
 CN 2-Naphthaleneacetic acid, 1,2,3,4-tetrahydro-7-[[4-(imino-1-pyrrolidinylmethyl)benzoyl]amino]-, ethyl ester, monohydrochloride (9CI) (CA INDEX NAME)



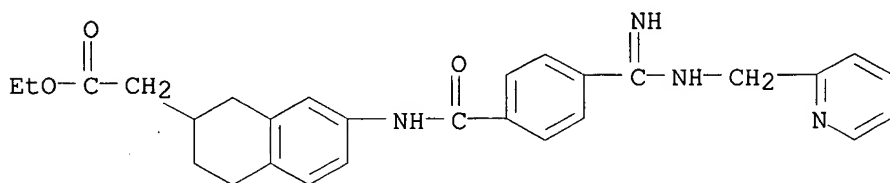
● HCl

RN 188350-11-0 CAPLUS  
 CN 2-Naphthaleneacetic acid, 7-[[4-[(hexahydro-1H-azepin-1-yl)iminomethyl]benzoyl]amino]-1,2,3,4-tetrahydro-, ethyl ester, monohydrochloride (9CI) (CA INDEX NAME)



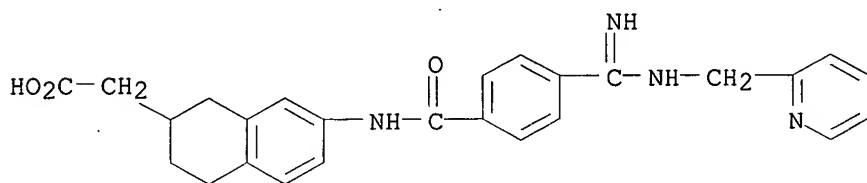
● HCl

RN 188350-27-8 CAPLUS  
 CN 2-Naphthaleneacetic acid, 1,2,3,4-tetrahydro-7-[[4-[imino[(2-pyridinylmethyl)amino]methyl]benzoyl]amino]-, ethyl ester, dihydrochloride (9CI) (CA INDEX NAME)



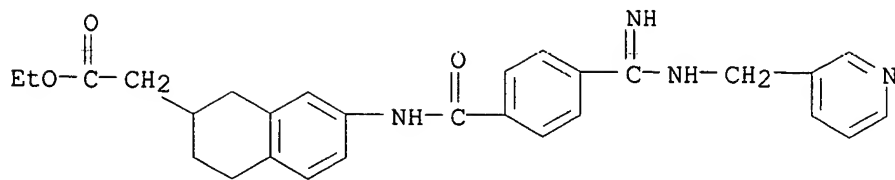
● 2 HCl

RN 188350-28-9 CAPLUS  
 CN 2-Naphthaleneacetic acid, 1,2,3,4-tetrahydro-7-[[4-[imino[(2-pyridinylmethyl)amino]methyl]benzoyl]amino]-, dihydrochloride (9CI) (CA INDEX NAME)



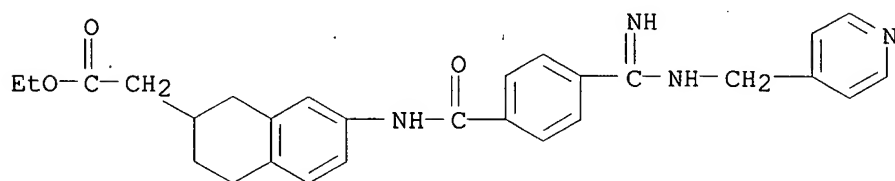
● 2 HCl

RN 188350-30-3 CAPLUS  
 CN 2-Naphthaleneacetic acid, 1,2,3,4-tetrahydro-7-[[4-[imino[(3-pyridinylmethyl)amino]methyl]benzoyl]amino]-, ethyl ester, dihydrochloride (9CI) (CA INDEX NAME)



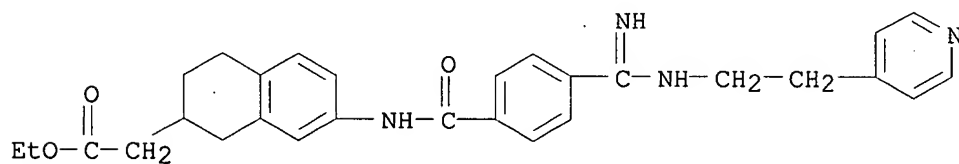
● 2 HCl

RN 188350-33-6 CAPLUS  
 CN 2-Naphthaleneacetic acid, 1,2,3,4-tetrahydro-7-[[4-[imino[(4-pyridinylmethyl)amino]methyl]benzoyl]amino]-, ethyl ester, dihydrochloride (9CI) (CA INDEX NAME)



● 2 HCl

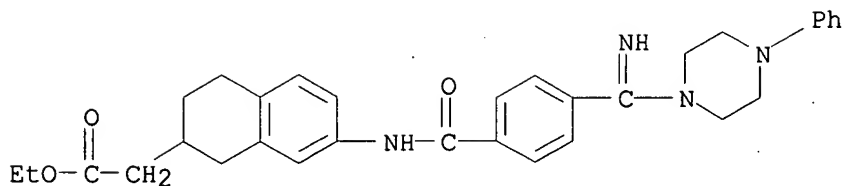
RN 188350-34-7 CAPLUS  
 CN 2-Naphthaleneacetic acid, 1,2,3,4-tetrahydro-7-[[4-[imino[[2-(4-pyridinyl)ethyl]amino]methyl]benzoyl]amino]-, ethyl ester, dihydrochloride (9CI) (CA INDEX NAME)



● 2 HCl

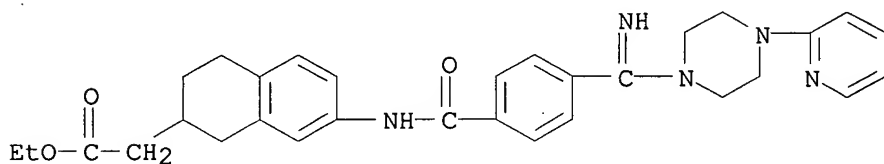
RN 188350-36-9 CAPLUS  
 CN 2-Naphthaleneacetic acid, 1,2,3,4-tetrahydro-7-[[4-[imino(4-phenyl-1-piperazinyl)methyl]benzoyl]amino]-, ethyl ester, dihydrochloride (9CI) (CA INDEX NAME)





● 2 HCl

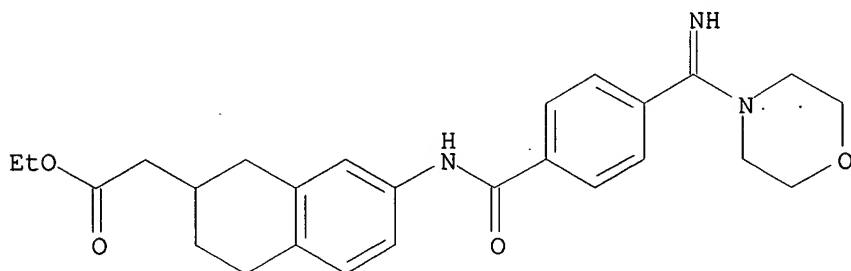
RN 188350-38-1 CAPLUS  
 CN 2-Naphthaleneacetic acid, 1,2,3,4-tetrahydro-7-[[4-[imino[4-(2-pyridinyl)-1-piperazinyl]methyl]benzoyl]amino]-, ethyl ester, trihydrochloride (9CI)  
 (CA INDEX NAME)



● 3 HCl

RN 188350-44-9 CAPLUS  
 CN 2-Naphthaleneacetic acid, 1,2,3,4-tetrahydro-7-[[4-(imino-4-morpholinylmethyl)benzoyl]amino]-, ethyl ester, monohydrochloride, (-)-(9CI) (CA INDEX NAME)

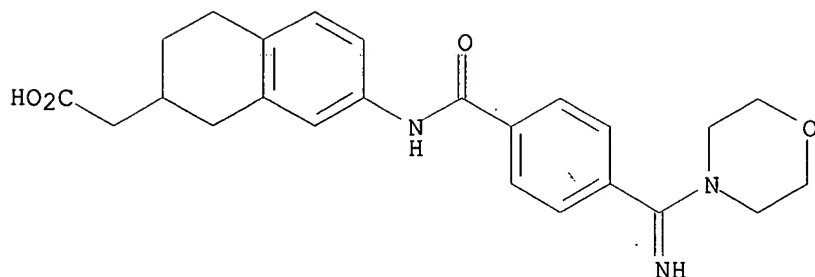
Rotation (-).



● HCl

RN 188350-46-1 CAPLUS  
 CN 2-Naphthaleneacetic acid, 1,2,3,4-tetrahydro-7-[[4-(imino-4-morpholinylmethyl)benzoyl]amino]-, monohydrochloride, (-)-(9CI) (CA INDEX NAME)

Rotation (-).

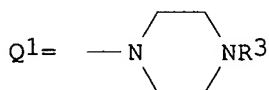
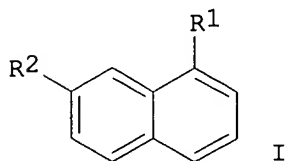


● HCl

119 ANSWER 29 OF 64 CAPLUS COPYRIGHT 2004 ACS on STN  
 ACCESSION NUMBER: 1996:307338 CAPLUS  
 DOCUMENT NUMBER: 124:343334  
 TITLE: Novel compositions containing sertraline and a 5-HT1D receptor agonist or antagonist  
 INVENTOR(S): Chenard, Bertrand L.; Howard, Harry R.; Macor, John E.; Schulz, David W.; Sprouse, Jeffrey S.  
 PATENT ASSIGNEE(S): Pfizer Inc., USA  
 SOURCE: Eur. Pat. Appl., 51 pp.  
 CODEN: EPXXDW  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 701819	A2	19960320	EP 1995-306249	19950907
EP 701819	A3	19990623		
EP 701819	B1	20000816		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
US 5597826	A	19970128	US 1994-306230	19940914
AT 195429	E	20000915	AT 1995-306249	19950907
ES 2148445	T3	20001016	ES 1995-306249	19950907
PT 701819	T	20001229	PT 1995-95306249	19950907
CA 2158108	AA	19960315	CA 1995-2158108	19950912
CA 2158108	C	19990316		
JP 08109130	A2	19960430	JP 1995-236951	19950914

PRIORITY APPLN. INFO.: US 1994-306230 A 19940914  
 OTHER SOURCE(S): MARPAT 124:343334  
 GI



AB Claimed is a pharmaceutical compn. contg. a 5-HT re-uptake inhibitor, a pharmaceutically acceptable carrier, and a compd. I [R1 = Q1, etc.; R2 = R4, etc.; R4 = H, CF3, alkyl, alkylaryl, etc.; a proviso is given; R3 = H,

alkyl, aryl, etc.]. Compds. I were assayed for 5-HT1A and 5-HT1D affinity and showed IC50 values of less than 0.6 .mu.M for at least one of said affinities. 7-Benzamido-1-(4-methyl-1-piperazinyl)naphthalene was prepd. in several steps from 7-amino-.alpha.-tetralone.

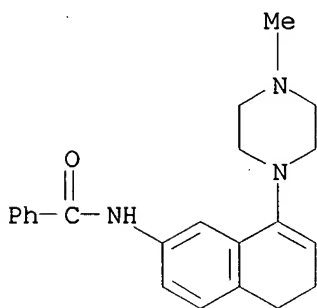
IT **163465-77-8P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of piperazinylnaphthalene derivs. as central nervous system agent for use in combination with sertraline)

RN 163465-77-8 CAPLUS

CN Benzamide, N-[5,6-dihydro-8-(4-methyl-1-piperazinyl)-2-naphthalenyl]- (9CI) (CA INDEX NAME)



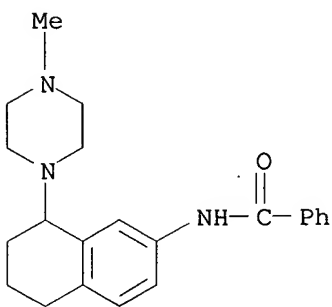
IT **163498-81-5P**

RL: SPN (Synthetic preparation); PREP (Preparation)

(prepn. of piperazinylnaphthalene derivs. as central nervous system agent for use in combination with sertraline)

RN 163498-81-5 CAPLUS

CN Benzamide, N-[5,6,7,8-tetrahydro-8-(4-methyl-1-piperazinyl)-2-naphthalenyl]- (9CI) (CA INDEX NAME)



L19 ANSWER 30 OF 64 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1996:188897 CAPLUS

DOCUMENT NUMBER: 124:232261

TITLE: Preparation of N-(indanylmethyl)piperidines and -piperazines and analogs as 5-HT1A and/or 5-HT2A ligands

INVENTOR(S): Perregaard, Jens Kristian; Stenberg, John Willie; Hansen, Bitten

PATENT ASSIGNEE(S): H. Lundbeck A/S, Den.

SOURCE: PCT Int. Appl., 47 pp.

CODEN: PIXXD2

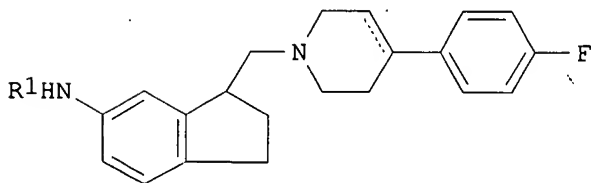
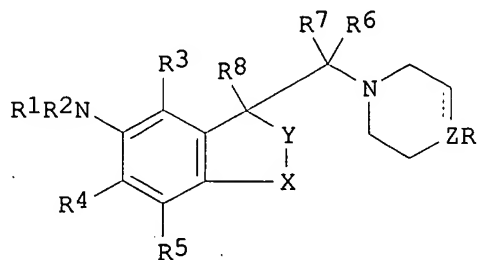
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

## PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9533721	A1	19951214	WO 1995-DK230	19950608
W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SI, SK, TJ, TT, UA, US, UZ				
RW: KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
ZA 9504689	A	19960129	ZA 1995-4689	19950607
IL 114026	A1	19990620	IL 1995-114026	19950607
CA 2192112	AA	19951214	CA 1995-2192112	19950608
AU 9526698	A1	19960104	AU 1995-26698	19950608
AU 685284	B2	19980115		
EP 765311	A1	19970402	EP 1995-921731	19950608
EP 765311	B1	20001004		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
CN 1154105	A	19970709	CN 1995-194363	19950608
BR 9507929	A	19970909	BR 1995-7929	19950608
HU 76464	A2	19970929	HU 1996-3371	19950608
JP 10501524	T2	19980210	JP 1995-500194	19950608
RU 2142458	C1	19991210	RU 1997-100181	19950608
SK 280824	B6	20000814	SK 1996-1550	19950608
AT 196760	E	20001015	AT 1995-921731	19950608
ES 2151601	T3	20010101	ES 1995-921731	19950608
CZ 287629	B6	20010117	CZ 1996-3590	19950608
PT 765311	T	20010330	PT 1995-95921731	19950608
PL 183096	B1	20020531	PL 1995-317531	19950608
FI 9604898	A	19961205	FI 1996-4898	19961205
NO 9605195	A	19970130	NO 1996-5195	19961205
US 6218394	B1	20010417	US 1996-999868	19961209
US 2003195356	A1	20031016	US 2000-549861	20000414
PRIORITY APPLN. INFO.:			DK 1994-649	A 19940608
			WO 1995-DK230	W 19950608
			US 1996-999868	A1 19961209
OTHER SOURCE(S):			MARPAT 124:232261	
GI				



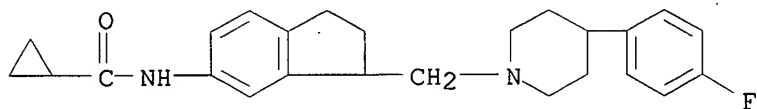
AB Title compds. [I; R = Ph, naphthyl, heteroaryl; R1 = H, (cyclo)alk(en)yl, aryl, acyl, etc.; R2 = H, alkyl, cycloalkyl(alkyl); R1R2 = atoms to form a ring; R3-R5 = H, halo, alkyl, alkanoyl, CPh, etc.; R6-R8 = H, alkyl; R6R7 = alkylene; 1 of X,Y = CH2 and the other = CH2, O, S; Z = N, CH, COH; when dashed line = addnl. bond Z = C] were prepd. Thus, 6-nitro-1-indancarboxylic acid (prepn. given) was amidated by 4-(4-fluorophenyl)piperidine and the product converted in 2 steps to title compd. II (R1 = H, dashed line = null). II (R1 = Ac, dashed line = bond) had IC50 of 11 and 2.8nM against ligand binding at 5-HT1A and 5-HT2A receptors in vitro, resp.

IT 174776-05-7P 174776-06-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(prepn. of N-(indanylmethyl)piperidines and -piperazines and analogs as 5-HT1A and/or 5-HT2A ligands)

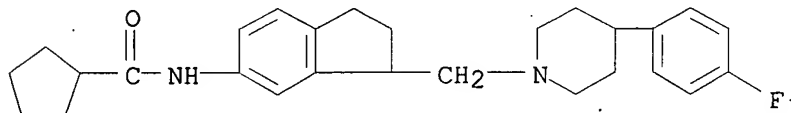
RN 174776-05-7 CAPLUS

CN Cyclopropanecarboxamide, N-[3-[[4-(4-fluorophenyl)-1-piperidinyl]methyl]-2,3-dihydro-1H-inden-5-yl]- (9CI) (CA INDEX NAME).



RN 174776-06-8 CAPLUS

CN Cyclopentanecarboxamide, N-[3-[[4-(4-fluorophenyl)-1-piperidinyl]methyl]-2,3-dihydro-1H-inden-5-yl]- (9CI) (CA INDEX NAME)



L19 ANSWER 31 OF 64 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1995:580492 CAPLUS

DOCUMENT NUMBER: 122:314570

TITLE: Preparation of heterocyclylnaphthalene derivatives as serotonin 5-HT1 agonists and antagonists.

INVENTOR(S): Chenard, Bertrand L.; Macor, John E.; Segelstein, Barbara E.

PATENT ASSIGNEE(S): Pfizer Inc., USA

SOURCE: PCT Int. Appl., 85 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

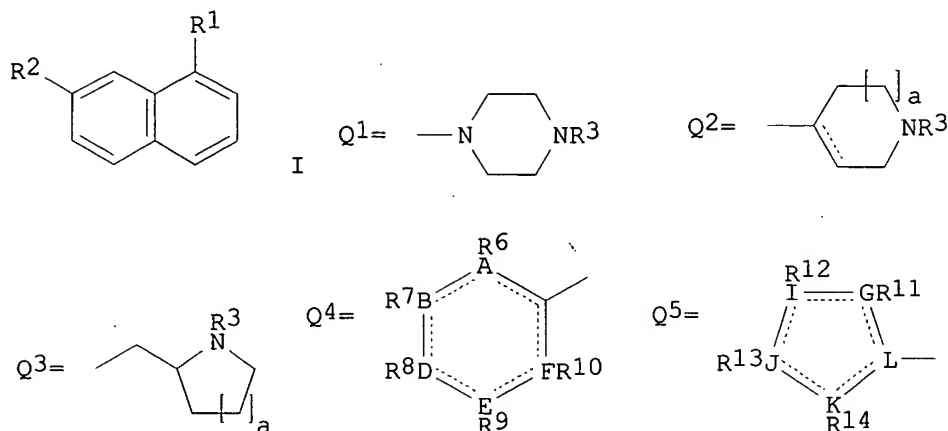
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9421619	A1	19940929	WO 1994-US1206	19940215
W: AU, BR, CA, CN, CZ, JP, KR, NO, NZ, PL, RU, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 9463918	A1	19941011	AU 1994-63918	19940215
EP 689536	A1	19960103	EP 1994-911377	19940215
EP 689536	B1	20010523		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				

JP 08503228	T2	19960409	JP 1994-521019	19940215
ES 2157256	T3	20010816	ES 1994-911377	19940215
HU 67312	A2	19950328	HU 1994-760	19940312
FI 9401213	A	19940917	FI 1994-1213	19940315
CA 2158457	AA	19940929	CA 1994-2158457	19940315
CA 2158457	C	20010417		
ZA 9401806	A	19950915	ZA 1994-1806	19940315
US 2001004669	A1	20010621	US 2001-758074	20010110
US 2002058811	A1	20020516	US 2001-4990	20011203

PRIORITY APPLN. INFO.:

US 1993-32042	A	19930316
WO 1994-US1206	W	19940215
US 1995-522349	B1	19950915
US 2001-758074	A1	20010110

OTHER SOURCE(S): MARPAT 122:314570  
GI



AB Title compds. [I; R1 = Q1-Q3, etc.; R2 = R4, OR4, OS(O)2R4, NR4R5, R4(CH2)bNH(C:X)(CH2)c, R4(CH2)bO(C:O)NH(CH2)c(C:O)NH, R4(C:O)NH(C:O)NH, (CH2)bNH(C:X)(CH2)bO(C:O)(CH2)cR4, NH(C:X)NHR4, R4O(C:O)O, O(C:O)NHR4, R4O(C:O)NH, (CH2)b(C:O)(CH2)cR4, NHS(O)2R4, C(OH)R4R5, CH(OH)R4, (C:O)NR4R5, CN, NO2, substituted alkyl, (substituted) alkenyl, alkynyl; R3 = H, alkyl, alkylaryl, aryl; R4, R5 = Q4, Q5, H, CF3, alkyl, alkylaryl, etc.; R6-R14 = H, halo, CF3, CN, NO2, aryl, alkylaryl, alkyl, alkenyl, alkynyl, OR20, COR20, NR2OR21, etc.; adjacent pairs of R6-R14 = atoms to form 5-7 membered rings; R20, R21 = H, alkyl, aryl, alkylaryl; R2OR21 = atoms to form 4-7 membered rings; A, B, D, E, F, L = C, N; G, I, J, K = C, N, O, S, C:O; X = O, S; a = 0-2; b, c = 0-6; dotted line = optional double bond; with provisos], were prepd. These compds. are useful psychotherapeutics and are potent serotonin (5-HT1) agonists and antagonists and may be used in the treatment of depression, anxiety, eating disorders, obesity, drug abuse, cluster headache, migraine, pain and chronic paroxysmal hemicrania and headache assocd. with vascular disorders, and other disorders arising from deficient serotonergic neurotransmission. The compds. can also be used as centrally acting antihypertensives and vasodilators. Thus, 7-amino-.alpha.-tetralone was stirred with PhCOCl/Et3N in THF to give 85% 7-benzamido-.alpha.-tetralone. This in THF at -78.degree. was treated with N-methylpiperazine and TiCl4 to give 83% 7-benzamido-1-(4-methyl-1-piperazinyl)-3,4-dihydronaphthalene. The latter was refluxed with Pd/C in xylene to give title compd. 7-benzamido-1-(4-methyl-1-piperazinyl)naphthalene and 7-benzamido-1-(4-methyl-1-piperazinyl)-1,2,3,4-tetrahydronaphthalene. I showed IC50 <0.60

nM for 5-HT1A and/or 5-HT1D affinity.

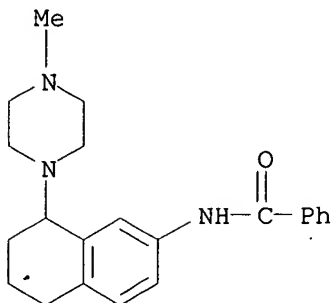
IT 163498-81-5P

RL: BYP (Byproduct); PREP (Preparation)

(prepn. of heterocyclynaphthalene derivs. as serotonin 5-HT1 agonists and antagonists)

RN 163498-81-5 CAPLUS

CN Benzamide, N-[5,6,7,8-tetrahydro-8-(4-methyl-1-piperazinyl)-2-naphthalenyl]- (9CI) (CA INDEX NAME)



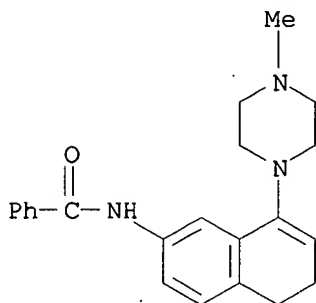
IT 163465-77-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of heterocyclynaphthalene derivs. as serotonin 5-HT1 agonists and antagonists)

RN 163465-77-8 CAPLUS

CN Benzamide, N-[5,6-dihydro-8-(4-methyl-1-piperazinyl)-2-naphthalenyl]- (9CI) (CA INDEX NAME)



~~LI9~~ ANSWER 32 OF 64 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1994:495955 CAPLUS

DOCUMENT NUMBER: 121:95955

TITLE: Dyes and compositions for yellow color toners

INVENTOR(S): Takuma, Hirotsuke; Shimokawa, Yasushi; Matsuzaki, Yoriaki; Aida, Isamu; Koshida, Hitoshi

PATENT ASSIGNEE(S): Mitsui Toatsu Chemicals, Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 13 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent

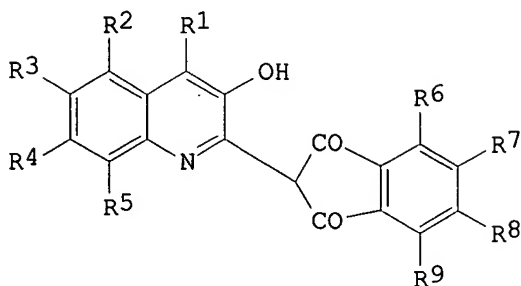
LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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JP 05333599 A2 19931217 JP 1992-138958 19920529  
 PRIORITY APPLN. INFO.: JP 1992-138958 19920529  
 GI



I

AB The title dyes have the general formula I [R1-5 = H, C1-8 alkyl, cycloalkyl alkoxy, alkoxyalkoxy, (substituted) phenoxy, thioalkoxy, alkylsulfonyl, (substituted) thiophenoxy, alkoxyacarbonyl, alkylaminocarbonyl, halo, CN; R6-9 = H, C1-8 alkyl, cycloalkyl, alkoxy, alkoxyalkoxy, alkoxyacarbonyl, alkoxyacarbonylalkoxyacarbonyl, alkylaminocarbonyl, (substituted) phenoxy, NO2, NH2, (substituted) alkylamino, (substituted) alkylcarbonylamino, (substituted) allylcarbonylamino, (substituted) arylcarbonylamino, (substituted) alkylcarboxy, (substituted) allylcarboxy, (substituted) arylcarboxy, halo]. The toner compns. contain .gtoreq.1 of the dyes. The dyes show good compatibility when melt-kneaded and the toner using them provide yellow images with good uniformity in d. and good lightfastness in repeated copying. Thus, Himer TB-1000F (styrene-acrylic resin) and I (R1-6 = R8 = R9 = H, R7 = tert-Bu) were melt-kneaded and pulverized to give a toner, which was mixed with an Fe powder to give a developer.

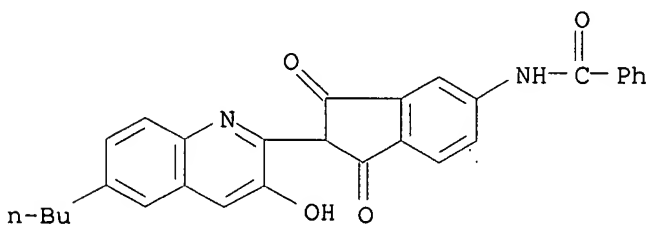
IT 156456-08-5

RL: USES (Uses)

(yellow dye, for electrophotog. toner)

RN 156456-08-5 CAPLUS

CN Benzamide, N-[2-(6-butyl-3-hydroxy-2-quinolinyl)-2,3-dihydro-1,3-dioxo-1H-inden-5-yl]- (9CI) (CA INDEX NAME)



L19 ANSWER 33 OF 64 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1992:124080 CAPLUS

DOCUMENT NUMBER: 116:124080

TITLE: Radioiodinated benzovesamicol analogs for cholinergic nerve mapping

INVENTOR(S): Wieland, Donald M.; Jung, Yong Woom; Van Dort, Marcian E.; Gildersleeve, David L.

PATENT ASSIGNEE(S): University of Michigan, USA

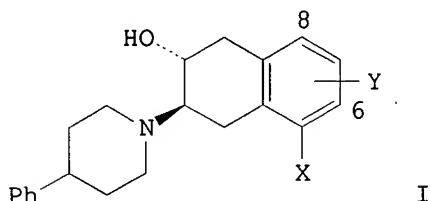
SOURCE: PCT Int. Appl., 28 pp.

CODEN: PIXXD2



DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9117776	A1	19911128	WO 1991-US3273	19910510
W: CA, JP				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, NL, SE				
US 5077035	A	19911231	US 1990-523233	19900514
CA 2082797	AA	19911115	CA 1991-2082797	19910510
JP 05509304	T2	19931222	JP 1991-510975	19910510
EP 648130	A1	19950419	EP 1991-911403	19910510
EP 648130	B1	19981230		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
AT 175125	E	19990115	AT 1991-911403	19910510
PRIORITY APPLN. INFO.:			US 1990-523233	19900514
			WO 1991-US3273	19910510
OTHER SOURCE(S):		MARPAT 116:124080		
GI				



AB Radioiodinated benzovesamicol analogs (I; X = H, OH, NH<sub>2</sub>, NHCO-3-[1]-Ph, radioactive isotope of I; Y = H, radioactive I; .gtoreq.1 of X or Y contains radioactive I) selectively localize in presynaptic cholinergic neurons and are thus useful for brain imaging. (-)-5-Iodobenzovesamicol (II), prep'd. from (+-)-5-aminobenzovesamicol (prepn. given), was labeled with <sup>125</sup>I by exchange with Na[<sup>125</sup>I] I at 150.degree. in the presence of (NH<sub>4</sub>)<sub>2</sub>SO<sub>4</sub>. II gave marked accumulation of radioactivity in the striatum and cerebral cortex following i.v. injection in mice.

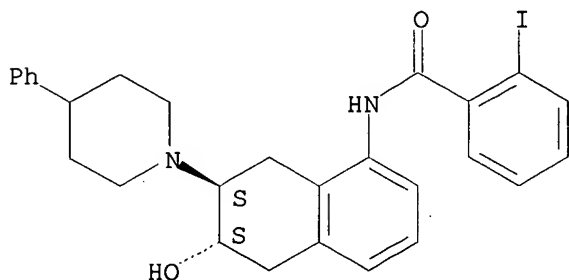
IT **139399-87-4D**, radioiodine-labeled **139399-88-5D**, radioiodine-labeled **139399-89-6D**, radioiodine-labeled  
 RL: BIOL (Biological study)

(brain imaging with cholinergic neuron-selective)

RN 139399-87-4 CAPLUS

CN Benzamide, 2-iodo-N-[5,6,7,8-tetrahydro-6-hydroxy-7-(4-phenyl-1-piperidinyl)-1-naphthalenyl]-, trans- (9CI) (CA INDEX NAME)

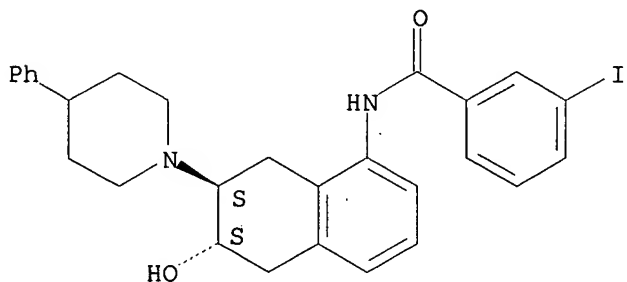
Relative stereochemistry.



RN 139399-88-5 CAPLUS

CN Benzamide, 3-iodo-N-[5,6,7,8-tetrahydro-6-hydroxy-7-(4-phenyl-1-piperidiny)-1-naphthalenyl]-, trans- (9CI) (CA INDEX NAME)

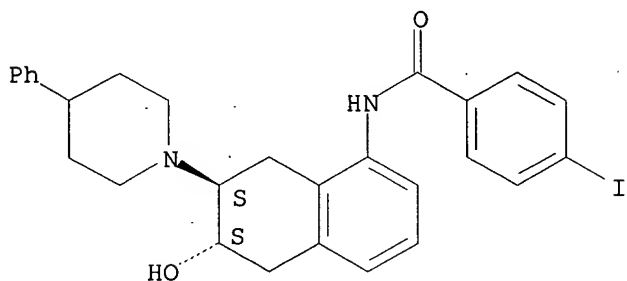
Relative stereochemistry.



RN 139399-89-6 CAPLUS

CN Benzamide, 4-iodo-N-[5,6,7,8-tetrahydro-6-hydroxy-7-(4-phenyl-1-piperidiny)-1-naphthalenyl]-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



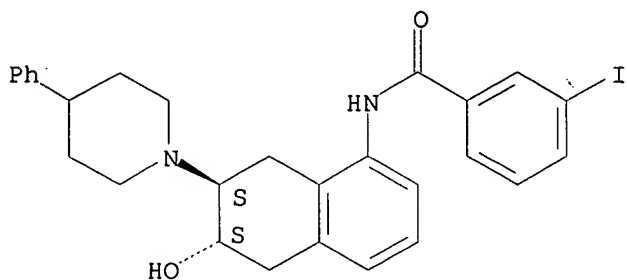
IT 139399-88-5P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. of, for brain imaging agent prepn.)

RN 139399-88-5 CAPLUS

CN Benzamide, 3-iodo-N-[5,6,7,8-tetrahydro-6-hydroxy-7-(4-phenyl-1-piperidiny)-1-naphthalenyl]-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



LX9 ANSWER 34 OF 64 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1991:666700 CAPLUS

DOCUMENT NUMBER: 115:266700

TITLE: Silver halide photographic materials

INVENTOR(S): Sugita, Shuichi; Kida, Shuji; Oya, Hidenobu

PATENT ASSIGNEE(S): Konica Co., Japan  
 SOURCE: Jpn. Kokai Tokkyo Koho, 14 pp.  
 CODEN: JKXXAF  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 03107138	A2	19910507	JP 1989-244632	19890920
JP 2729678	B2	19980318		

PRIORITY APPLN. INFO.: JP 1989-244632 19890920

GI For diagram(s), see printed CA Issue.

AB The title materials contain compds. that react with oxidized developer and liberate group I (X = O, CRR1; R, R1 = H, alkyl, cycloalkyl, alkenyl, aryl; Y = N, CR2; R2 = H, alkyl, cycloalkyl, alkenyl, aryl; PUG = photog. useful group; Z = nonarom. 5-7-membered ring). These materials can be made to have high storage stability, image sharpness, fine grain, or color reproducibility (and/or interimage effect). Thus, a green-sensitive Ag(I,Br) emulsion was added with 0.4 mmol/mol Ag II and magenta coupler was applied on triacetate film base. Obtained film was exposed and normally processed, and showed better graininess and sharpness than parallel run with film contg. known ref. compds.

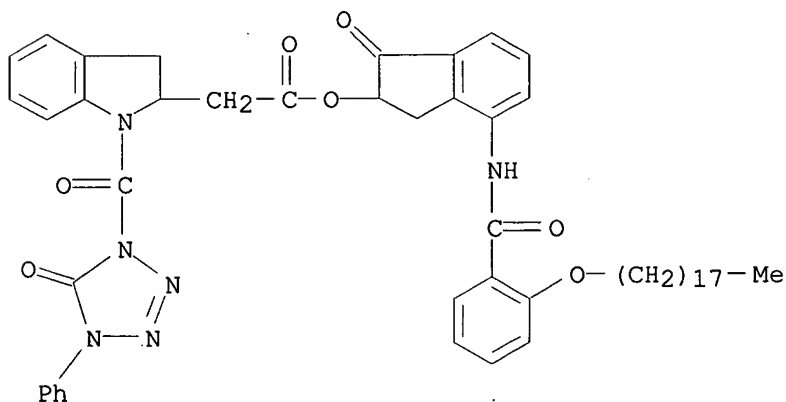
IT 137428-02-5

RL: USES (Uses)

(agent releasing photog. useful compd., color photog. film contg.)

RN 137428-02-5 CAPLUS

CN 1H-Indole-2-acetic acid, 1-[(4,5-dihydro-5-oxo-4-phenyl-1H-tetrazol-1-yl)carbonyl]-2,3-dihydro-, 2,3-dihydro-4-[[2-(octadecyloxy)benzoyl]amino]-1-oxo-1H-inden-2-yl ester (9CI) (CA INDEX NAME)



~~119~~ ANSWER 35 OF 64 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1991:428910 CAPLUS

DOCUMENT NUMBER: 115:28910

TITLE: Preparation of benzanilides and analogs as anticancer and dermatological agents

INVENTOR(S): Klaus, Michael; Mohr, Peter

PATENT ASSIGNEE(S): Hoffmann-La Roche, F., und Co. A.-G., Switz.

SOURCE: Eur. Pat. Appl., 14 pp.

CODEN: EPXXDW

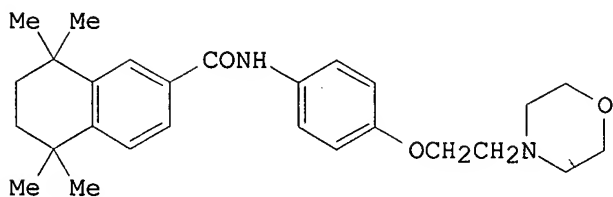
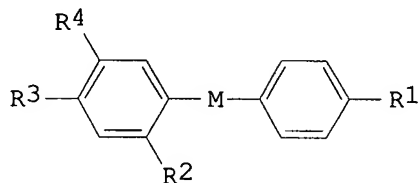
DOCUMENT TYPE: Patent

LANGUAGE: German

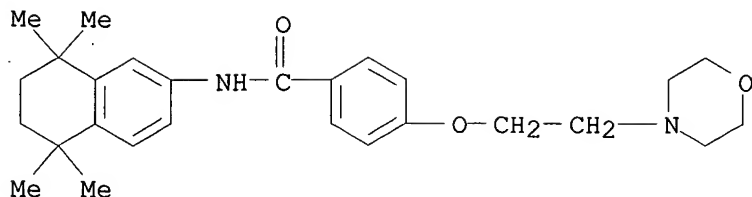
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 410358	A1	19910130	EP 1990-114101	19900723
EP 410358	B1	19930929		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
CA 2020887	AA	19910129	CA 1990-2020887	19900711
US 5128470	A	19920707	US 1990-551831	19900712
ZA 9005738	A	19910327	ZA 1990-5738	19900720
IL 95144	A1	19941007	IL 1990-95144	19900720
HU 54106	A2	19910128	HU 1990-4577	19900723
HU 204773	B	19920228		
AT 95167	E	19931015	AT 1990-114101	19900723
ES 2059919	T3	19941116	ES 1990-114101	19900723
JP 03074353	A2	19910328	JP 1990-195092	19900725
JP 07005533	B4	19950125		
AU 9059892	A1	19910131	AU 1990-59892	19900726
AU 637623	B2	19930603		
NO 9003351	A	19910129	NO 1990-3351	19900727
CZ 277709	B6	19930317	CZ 1990-3740	19900727
SU 1811525	A3	19930423	SU 1990-4830538	19900727
US 5216153	A	19930601	US 1992-852607	19920317
PRIORITY APPLN. INFO.:			CH 1989-2818	19890728
			US 1990-551831	19900712
			EP 1990-114101	19900723
OTHER SOURCE(S):			MARPAT 115:28910	
GI				

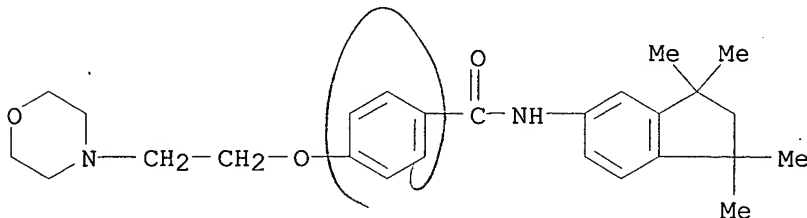


- AB The title compds. [I; M = CONH, NHCO; R1 = H, halo, OR5; R2 = H, alkyl, alkoxy, halo; R3, R4 = alkyl; R3R4 = alkylene; R5 = H, acyl, alkoxy, carbonyl, (un)substituted alkyl] were prepd. Thus, 4-(H2N)C6H4OH was condensed with 4-(2-chloroethyl)morpholine and the product condensed with 5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenecarboxylic acid to give title compd. II, which reduced from 78% (controls) to 16% the percentage increase in no. of mammary tumors induced by 7,12-dimethylbenz[a]anthracene in rats at 200 mg/kg/day orally.
- IT **134599-37-4P 134599-40-9P**  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (prepn. of, as anticancer and dermatol. agent)
- RN 134599-37-4 CAPLUS
- CN Benzamide, 4-[2-(4-morpholinyl)ethoxy]-N-(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)- (9CI) (CA INDEX NAME)



RN 134599-40-9 CAPLUS

CN Benzamide, N-(2,3-dihydro-1,1,3,3-tetramethyl-1H-inden-5-yl)-4-[2-(4-morpholinyl)ethoxy]- (9CI) (CA INDEX NAME)



L19 ANSWER 36 OF 64 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1991:523758 CAPLUS

DOCUMENT NUMBER: 115:123758

TITLE: Heat-developable color photographic materials

INVENTOR(S): Kato, Katsunori; Mizukoshi, Gunji; Kato, Midori; Komamura, Tawara

PATENT ASSIGNEE(S): Konica Co., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 21 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 02289854	A2	19901129	JP 1990-39330	19900220
PRIORITY APPLN. INFO.:			JP 1989-39549	19890220
OTHER SOURCE(S): MARPAT 115:123758				

AB The title material comprises a photosensitive Ag halide, a reducing agent, a binder, and a dye-releasing compd. BLADn [A = coupler capable of forming a dye by reacting with an oxidized reducing agent; L = divalent group bonded to the coupling position of A; B = ballast group; D = moiety of a dye having a max. absorption wavelength  $\lambda_{max}$   $\geq 725$  nm; and n = 1, 2, 3; A and D may have a portion in common].

IT 135729-02-1

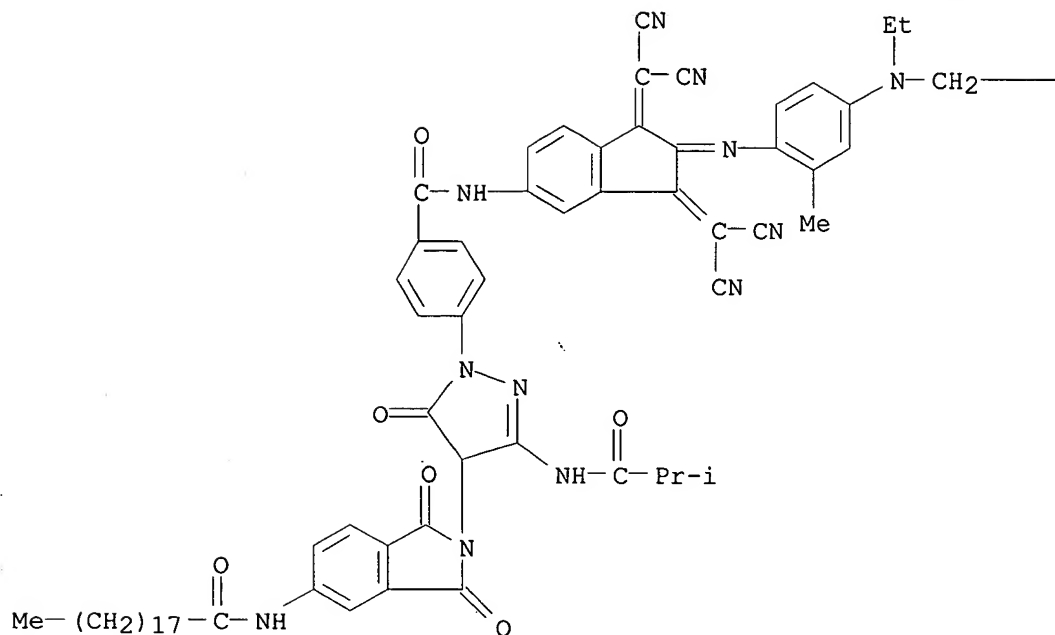
RL: USES (Uses)

(photothermog. dye releasing coupler)

RN 135729-02-1 CAPLUS

CN Benzamide, N-[1,3-bis(dicyanomethylene)-2-[[4-[ethyl(2-methoxyethyl)amino]-2-methylphenyl]imino]-2,3-dihydro-1H-inden-5-yl]-4-[4-[1,3-dihydro-1,3-dioxo-5-[(1-oxononadecyl)amino]-2H-isoindol-2-yl]-4,5-dihydro-3-[(2-methyl-1-oxopropyl)amino]-5-oxo-1H-pyrazol-1-yl]- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 1-B

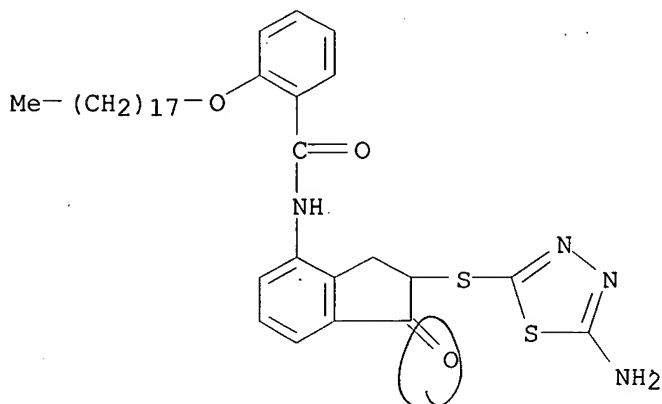
—CH<sub>2</sub>—OMe

L119 ANSWER 37 OF 64 CAPLUS COPYRIGHT 2004 ACS on STN  
 ACCESSION NUMBER: 1990:27977 CAPLUS  
 DOCUMENT NUMBER: 112:27977  
 TITLE: Direct-positive silver halide color photographic material containing development inhibitor-releasing compound  
 INVENTOR(S): Yoshizawa, Tomomi; Ogi, Keiji  
 PATENT ASSIGNEE(S): Konica Co., Japan  
 SOURCE: Jpn. Kokai Tokkyo Koho, 22 pp.  
 CODEN: JKXXAF  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 01013146	A2	19890118	JP 1987-169425	19870706
PRIORITY APPLN. INFO.:			JP 1987-169425	19870706

AB In the title material having on a support .gtoreq.1 each of a blue-sensitive emulsion layer, a green-sensitive emulsion layer, and a red-sensitive emulsion layer each contg. a color coupler, an essentially noncolor image-forming layer contg. a photosensitive Ag halide emulsion and a development inhibitor-releasing compd. is formed adjoining to .gtoreq.1 of the above emulsion layers.

IT **82151-61-9**  
 RL: USES (Uses)  
 (direct-pos. color photog. materials contg.)  
 RN 82151-61-9 CAPLUS  
 CN Benzamide, N-[2-[(5-amino-1,3,4-thiadiazol-2-yl)thio]-2,3-dihydro-1-oxo-1H-inden-4-yl]-2-(octadecyloxy)- (9CI) (CA INDEX NAME)



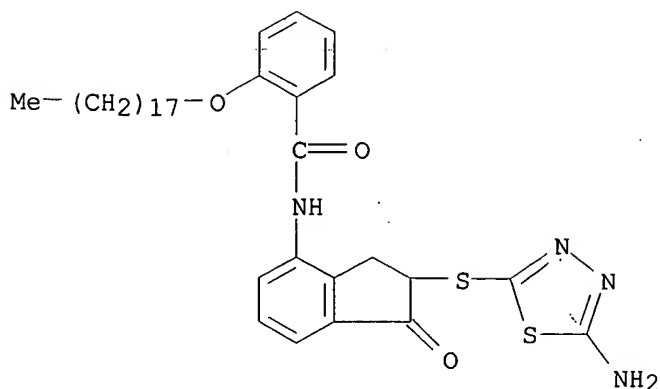
L19 ANSWER 38 OF 64 CAPLUS COPYRIGHT 2004 ACS on STN  
 ACCESSION NUMBER: 1987:587232 CAPLUS  
 DOCUMENT NUMBER: 107:187232  
 TITLE: Silver halide color photographic development  
 INVENTOR(S): Ishikawa, Masao; Koboshi, Shigeharu; Kuze, Satoru;  
 Kobayashi, Kazuhiro; Kurematsu, Masayuki  
 PATENT ASSIGNEE(S): Konishiroku Photo Industry Co., Ltd., Japan  
 SOURCE: Jpn. Kokai Tokkyo Koho, 33 pp.  
 CODEN: JKXXAF  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 62079448	A2	19870411	JP 1985-221082	19851003

PRIORITY APPLN. INFO.: JP 1985-221082 19851003

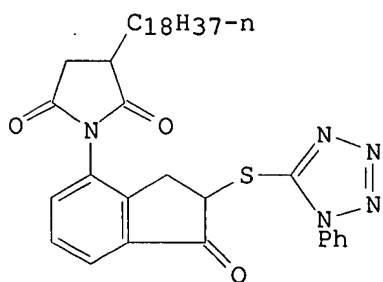
AB The development has the following characteristics for improving its stability nearly independent of the variation in the concn. of Br- or thiosulfate salts and providing color images with improved storage stability. The photog. material suitable for the development contains practically Ag(Cl,Br) in .gtoreq.1 Ag halide emulsion layer, a binder having a film-swelling rate T1/2 <30 s, and a development inhibitor-releasing agent of the formula A1-Z1 or A2-TIME-Z2 (A1, A2 = coupler component; Z1, Z2 = development inhibitor component; TIME = timing group). It is effected at >30.degree. for <150 s by using a color developing soln. contg. an N-hydroxyalkyl-substituted p-phenylenediamine deriv.

IT **82151-61-9**  
 RL: USES (Uses)  
 (color photog. development inhibitor-releasing compd., for improved stability in development and color images with improved storage stability)  
 RN 82151-61-9 CAPLUS  
 CN Benzamide, N-[2-[(5-amino-1,3,4-thiadiazol-2-yl)thio]-2,3-dihydro-1-oxo-1H-inden-4-yl]-2-(octadecyloxy)- (9CI) (CA INDEX NAME)



L19 ANSWER 39 OF 64 CAPLUS COPYRIGHT 2004 ACS on STN  
 ACCESSION NUMBER: 1985:603692 CAPLUS  
 DOCUMENT NUMBER: 103:203692  
 TITLE: Silver halide color photographic photosensitive materials  
 PATENT ASSIGNEE(S): Konishiroku Photo Industry Co., Ltd., Japan  
 SOURCE: Jpn. Kokai Tokkyo Koho, 33 pp.  
 CODEN: JKXXAF  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 60128445	A2	19850709	JP 1983-237650	19831215
JP 05001454	B4	19930108		
PRIORITY APPLN. INFO.: GI			JP 1983-237650	19831215



I

AB Ag halide color photog. photosensitive materials having .gtoreq.1 red-sensitive emulsion layer, .gtoreq.1 green-sensitive emulsion layer and .gtoreq.1 blue-sensitive emulsion layer are claimed in which .gtoreq.1 of the red- and blue-sensitive emulsion layers contains an org. development inhibitor-releasing compd. and the green-sensitive emulsion layers contains 5 .times. 10<sup>-5</sup> to 2 .times. 10<sup>-6</sup> mol/mol Ag halide of an org. development inhibitor whose Ag salt has a pK<sub>sp</sub> value (= -log L, where L is soly. product) of 12.0-15.5. Thus, a color photog. neg. film having (1) a halation inhibitor layer, (2) an interlayer, (3) a low-sensitivity red-sensitive layer, (4) a high-sensitivity red-sensitive emulsion layer, (5) an interlayer, (6) a low-sensitivity green-sensitive emulsion layer,



(7) a high-sensitivity green-sensitive emulsion layer, (8) an interlayer, (9) a yellow filter layer, (10) a low-sensitivity blue-sensitive layer, (11) a high-sensitivity blue-sensitive layer, (12) an interlayer, and (13) a protective layer was prep'd. by adding the development inhibitor 1-ethyl-2-mercapto-5-amino-1,3,4-triazole (pKsp = 13.8) in the layer 6 and development inhibitor-releasing comp'd. I in the layer 10. The photog. film showed excellent color tone reproducibility.

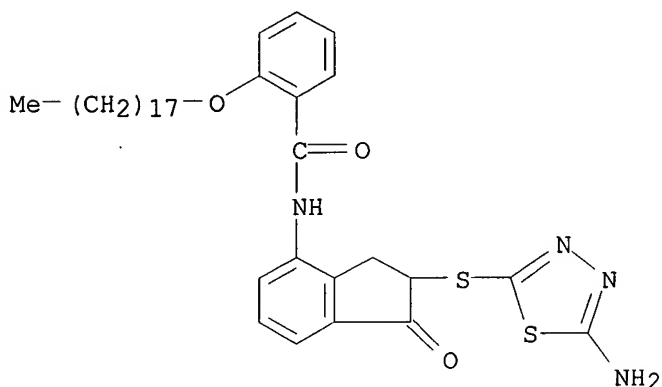
IT 82151-61-9

RL: USES (Uses)

(development inhibitor-releasing comp'd., color photog. photosensitive materials contg.)

RN 82151-61-9 CAPLUS

CN Benzamide, N-[2-[(5-amino-1,3,4-thiadiazol-2-yl)thio]-2,3-dihydro-1-oxo-1H-inden-4-yl]-2-(octadecyloxy)- (9CI) (CA INDEX NAME)



L19 ANSWER 40 OF 64 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1983:622308 CAPLUS

DOCUMENT NUMBER: 99:222308

TITLE: Blocked magenta dye-forming couplers

PATENT ASSIGNEE(S): Konishiroku Photo Industry Co., Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 14 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 58113939	A2	19830707	JP 1981-213899	19811226
PRIORITY APPLN. INFO.:			JP 1981-213899	19811226

GI For diagram(s), see printed CA Issue.

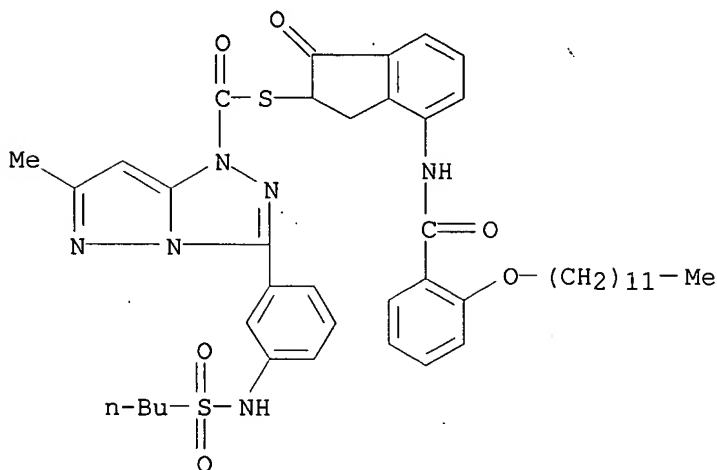
AB Magenta couplers of the general formula I or II (A = group of nonmetallic atoms required to form with N a magenta dye-forming coupler; RZ(C(:Z1))m, RZSON = blocking moiety; Z, Z1 = O, S; m, n = 1, 2; R = mol. bound with Z at an active site, and capable of coupling with the oxidized form of a developer) are used in Ag halide color photog. and show a high rate and high max. d. of coloring and improved preservability. Thus, a triacetate film support was coated with a color photosensitive layer contg. III, tricresyl phosphate, Alkanol B, and a Ag(Br,I) emulsion, wedge-exposed and processed to give a magenta dye image with high sensitivity and max. d. After treatment at 50.degree. and 80 % relative humidity for 3 d, the material showed little degrdn. with respect to sensitivity and max. d.

IT 87194-88-5

RL: USES (Uses)

(photog. blocked yellow coupler)

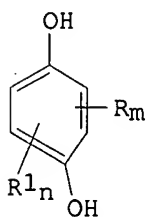
RN 87194-88-5 CAPLUS  
 CN 1H-Pyrazolo[5,1-c]-1,2,4-triazole-1-carbothioic acid, 3-[3-  
 [(butylsulfonyl)amino]phenyl]-6-methyl-, S-[4-[[2-  
 (dodecyloxy)benzoyl]amino]-2,3-dihydro-1-oxo-1H-inden-2-yl] ester (9CI)  
 (CA INDEX NAME)



L19 ANSWER 41 OF 64 CAPLUS COPYRIGHT 2004 ACS on STN  
 ACCESSION NUMBER: 1982:414744 CAPLUS  
 DOCUMENT NUMBER: 97:14744  
 TITLE: Silver halide photographic material  
 PATENT ASSIGNEE(S): Konishiroku Photo Industry Co., Ltd., Japan  
 SOURCE: Jpn. Kokai Tokkyo Koho, 17 pp.  
 CODEN: JKXXAF  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 56083742	A2	19810708	JP 1979-160876	19791213
JP 61057622	B4	19861208		

PRIORITY APPLN. INFO.: JP 1979-160876 19791213  
 GI



I

AB In a Ag halide color photog. film in which a DIR coupler is incorporated in the Ag halide emulsion layer or an adjacent hydrophilic colloidal layer, a hydroquinone deriv. [I; m, n = 1-3; m + n = 2-4; R = hydrocarbon moieties; sum of C atoms in R .ltoreq.8; R1 = halo] is added to the

DIR-contg. layer on the adjacent colloidal layer. The hydroquinone does not react with the DIR, does not lower the sensitivity of the film, and prevents fogging.

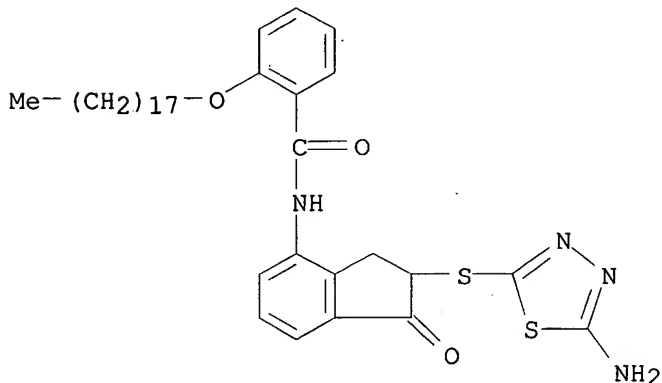
IT 82151-61-9

RL: USES (Uses)

(color photog. emulsions contg. hydroquinone deriv. and DIR coupler of, with reduced fogging)

RN 82151-61-9 CAPLUS

CN Benzamide, N-[2-[(5-amino-1,3,4-thiadiazol-2-yl)thio]-2,3-dihydro-1-oxo-1H-inden-4-yl]-2-(octadecyloxy)- (9CI) (CA INDEX NAME)



L19 ANSWER 42 OF 64 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1978:414797 CAPLUS

DOCUMENT NUMBER: 89:14797

TITLE: Photographic color diffusion transfer material

INVENTOR(S): Deguchi, Hidetaka; Takahashi, Jiro; Kunieda, Naoshi

PATENT ASSIGNEE(S): Konishiroku Photo Industry Co., Ltd., Japan

SOURCE: Ger. Offen., 54 pp.

CODEN: GWXXBX

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2729820	A1	19780105	DE 1977-2729820	19770701
DE 2729820	B2	19790823		
DE 2729820	C3	19800522		
JP 53003819	A2	19780113	JP 1976-78057	19760701
JP 60004977	B4	19850207		
US 4149892	A	19790417	US 1977-810910	19770629
			JP 1976-78057	19760701

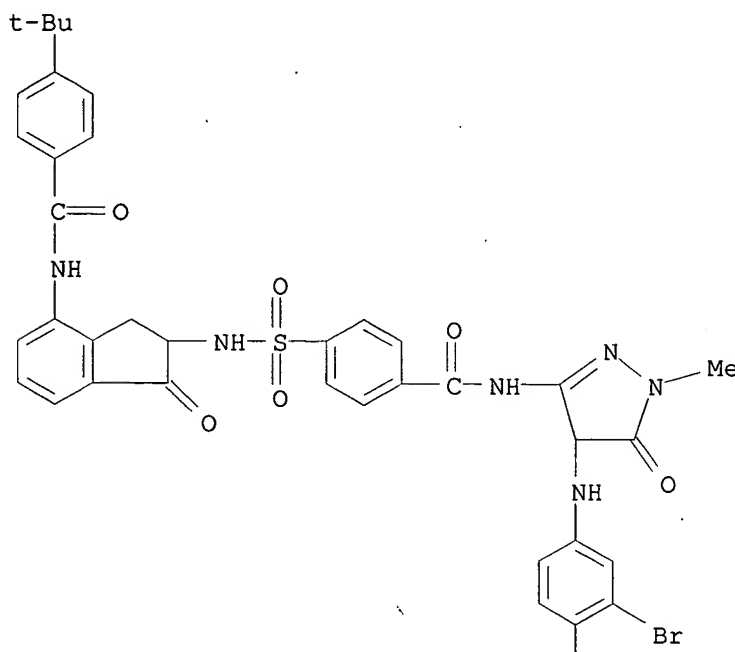
PRIORITY APPLN. INFO.:

GI For diagram(s), see printed CA Issue.

AB Diffusion-transfer color photog. materials of improved stability, which give color images having decreased discoloration and color stains and a clear and stable color tone and which have a decreased processing time, contain the developer-releasing-redox compd. I (R = H, halo; R1 = a chromophore group; Z = the atoms to form a 5-7-membered nonarom. hydrocarbon ring; Z1 = divalent group; X = O, NR3 where R3 is OH or an amino group). Thus, a transparent poly(ethylene terephthalate) support was coated with a green-sensitive gelatin-Ag(Br,I) emulsion layer at 1.1 .mu.m dry thickness, a layer contg. gelatin and II at 1.5 .mu.m dry thickness, and a gelatin protective layer at 0.9 .mu.m dry thickness. This material was then imagewise exposed, combined with a receptor

material, and processed to give a Dmax of 1.92 and a Dmin of 0.21.  
 IT **66518-40-9P**  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (prepn. of)  
 RN 66518-40-9 CAPLUS  
 CN Benzamide, N-[2-[[[4-[[[4-[(3-bromo-4-hydroxyphenyl)amino]-4,5-dihydro-1-methyl-5-oxo-1H-pyrazol-3-yl]amino]carbonyl]phenyl]sulfonyl]amino]-2,3-dihydro-1-oxo-1H-inden-4-yl]-4-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A



L19 ANSWER 43 OF 64 CAPLUS COPYRIGHT 2004 ACS on STN  
 ACCESSION NUMBER: 1974:433149. CAPLUS  
 DOCUMENT NUMBER: 81:33149  
 TITLE: Chemotherapeutic nitroheterocycles. XIII.  
 Substituted 2-(5-nitro-2-imidazolylmethylene)-5-amino-1-indanones, -4'-amino-acetophenones, and -6-amino-1-tetralones  
 AUTHOR(S): Rufer, C.; Kessler, H. J.; Schroeder, E.; Damerius, A.  
 CORPORATE SOURCE: Res. Lab., Schering A.-G., Berlin/Bergkamen, Fed. Rep. Ger.  
 SOURCE: Chimica Therapeutica (1973), 8(5), 567-70  
 CODEN: CHTPBA; ISSN: 0009-4374  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 AB Ketones such as 2-(5-nitro-2-imidazolylmethylene)-5-amino-1-indanones, 4'-aminoacetophenones, and 6-amino-1-tetralones which were alkylated in the 1 position of the imidazole ring and whose amino groups were partly

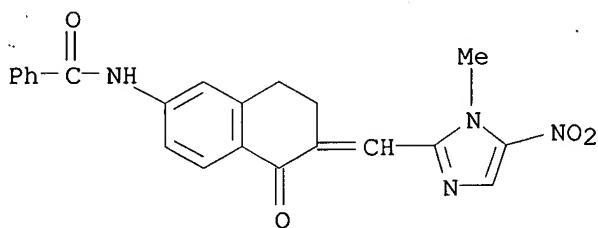
mono- or dimethylated or acylated were synthesized. In addn. to an extraordinary trichomonacide activity in vitro, 5-amino-2-(5-nitro-1-methyl-2-imidazolylmethylene)-1-indanone (I) [31435-80-0] had good antibacterial activity against *Escherichia coli*, *Proteus vulgaris*, and *Klebsiella pneumoniae*. While modification of I led to a loss of the antibacterial activity, different derivs. were active in vivo against *Trichomonas vaginalis*, the ED50 value of a tetralone deriv. ranging in the order of the value of metronidazole.

IT 51981-62-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(prepn. and bactericidal activity of)

RN 51981-62-5 CAPLUS

CN Benzamide, N-[5,6,7,8-tetrahydro-6-[(1-methyl-5-nitro-1H-imidazol-2-yl)methylene]-5-oxo-2-naphthalenyl]- (9CI) (CA INDEX NAME)



L19 ANSWER 44 OF 64 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1970:509549 CAPLUS

DOCUMENT NUMBER: 73:109549

TITLE: Synthetic schistosomicides. XVIII.  
N-(4-[[2-(Diethylamino)ethyl]amino]-1-naphthyl)amides,  
N-[5,6,7,8-tetrahydro-4-[(3-piperidinopropyl)amino]-1-naphthyl]amides, and related amide and urea derivatives

AUTHOR(S): Werbel, Leslie M.; Battaglia, Josephine; Elslager, Edward F.; Youngstrom, Carl

CORPORATE SOURCE: Div. of Med. and Sci. Affairs, Parke, Davis and Co., Ann Arbor, MI, USA

SOURCE: Journal of Medicinal Chemistry (1970), 13(4), 592-8  
CODEN: JMCMAR; ISSN: 0022-2623

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 73:109549

GI For diagram(s), see printed CA Issue.

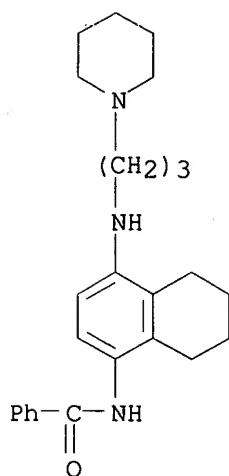
AB I (R = alkyl, aryl, aralkyl, 2-furyl, 2-thienyl), II (n = 0 or 4), and III were prepd. by treating N-(4-amino-5,6,7,8-tetrahydro-1-naphthyl)-2,2,2-trifluoro-N-[3-(piperidino)propyl]acetamide with the appropriate acid chloride or anhydride in pyridine, benzene, or HOAc. N-[4-[[2-(Diethylamino)ethyl]-amino]-1-naphthyl]ureas, thioureas, and sulfonamides were also prepd. I and III (R = Ph) had schistosomicidal activity and cured *Schistosoma mansoni* infections in mice at diet or gavage doses ranging from 45 to 326 mg/kg per day for 3 to 14 days. Four amides also had activity against *S. mansoni* in Rhesus monkeys. Structure-activity relations were discussed.

IT 26419-24-9P 28785-26-4P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. of)

RN 26419-24-9 CAPLUS

CN Benzamide, N-[5,6,7,8-tetrahydro-4-[[3-(1-piperidinyl)propyl]amino]-1-naphthalenyl]- (9CI) (CA INDEX NAME)



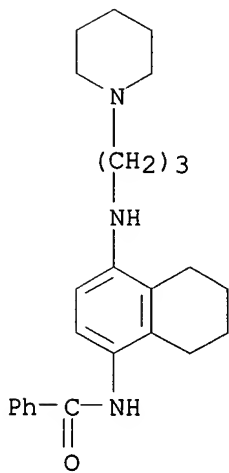
RN 28785-26-4 CAPLUS

CN Benzoic acid, compd. with N-[5,6,7,8-tetrahydro-4-[(3-piperidinopropyl)amino]-1-naphthyl]benzamide (1:1) (8CI) (CA INDEX NAME)

CM 1

CRN 26419-24-9

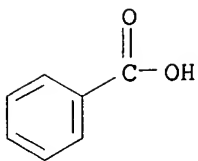
CMF C25 H33 N3 O



CM 2

CRN 65-85-0

CMF C7 H6 O2



L19 ANSWER 45 OF 64 USPATFULL on STN  
 ACCESSION NUMBER: 2003:330575 USPATFULL  
 TITLE: Dihydro-2h-napthalene-1-one inhibitors of ras farnesyl transferase  
 INVENTOR(S): Leonard, Daniele Marie, Ann Arbor, MI, UNITED STATES  
 Repine, Joseph Thomas, Ann Arbor, MI, UNITED STATES  
 Rewcastle, Gordon William, Auckland, NEW ZEALAND

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2003232790	A1	20031218
APPLICATION INFO.:	US 2002-257128	A1	20021008 (10)
	WO 2001-US12433		20010417
DOCUMENT TYPE:	Utility		
FILE SEGMENT:	APPLICATION		
LEGAL REPRESENTATIVE:	Steven R Eck, Warner Lambert Company, 2800 Plymouth Road, Ann Arbor, MI, 48105		
NUMBER OF CLAIMS:	23		
EXEMPLARY CLAIM:	1		
LINE COUNT:	1790		

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

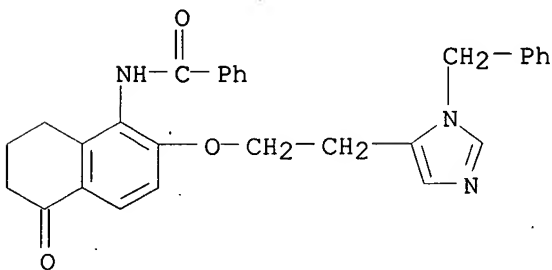
AB The present invention provides dihydro-2H-napthalene-1-ones of formula (V), and pharmaceutically acceptable salts, esters, amides, and prodrugs thereof, which are useful for treating and preventing uncontrolled or abnormal proliferation of tissues, such as cancer, atherosclerosis, restenosis, and psoriasis. Specifically, the present invention relates to compounds that inhibit the farnesyl transferase enzyme. ##STR1##

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 367267-19-4P 367267-35-4P, N-[2-[2-(5-Benzylimidazol-1-yl)ethoxy]-5-oxo-5,6,7,8-tetrahydronaphthalene-1-yl]benzamide (prepn. and formulation of imidazolyl-substituted dihydronaphthalenones as Ras farnesyl transferase inhibitors for treatment of proliferative diseases)

RN 367267-19-4 USPATFULL

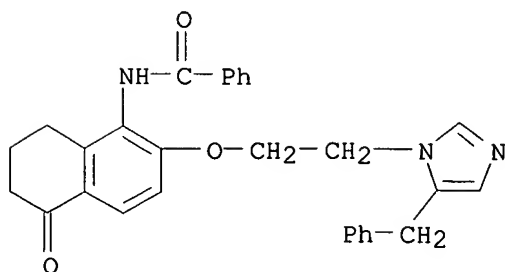
CN Benzamide, N-[5,6,7,8-tetrahydro-5-oxo-2-[2-[1-(phenylmethyl)-1H-imidazol-5-yl]ethoxy]-1-naphthalenyl]- (9CI) (CA INDEX NAME)



RN 367267-35-4 USPATFULL

CN Benzamide, N-[5,6,7,8-tetrahydro-5-oxo-2-[2-[5-(phenylmethyl)-1H-imidazol-

1-yl]ethoxy]-1-naphthalenyl]- (9CI) (CA INDEX NAME)



L19 ANSWER 46 OF 64 USPATFULL on STN

ACCESSION NUMBER: 2003:277329 USPATFULL

TITLE: 4-Aryl-1-(indanmethyl dihydrobenzofuranmethyl or  
dihydrobenzothiophenemethyl) piperidines  
tetrahydropyridines or piperazines

INVENTOR(S): Perregaard, Jens Kristian, Jaegerspris, DENMARK  
Stenberg, John Willie, Copenhagen, DENMARK  
Hansen, Bitten, Koge, DENMARK

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2003195356	A1	20031016
APPLICATION INFO.:	US 2000-549861	A1	20000414 (9)
RELATED APPLN. INFO.:	Continuation of Ser. No. US 1996-999868, filed on 9 Dec 1996, GRANTED, Pat. No. US 6218394 Continuation of Ser. No. WO 1995-DK230, filed on 8 Jun 1995, UNKNOWN		

	NUMBER	DATE
PRIORITY INFORMATION:	DK 1994-649	19940608
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	APPLICATION	
LEGAL REPRESENTATIVE:	Darby & Darby P C, 805 Third Avenue, New York, NY, 10022	
NUMBER OF CLAIMS:	11	
EXEMPLARY CLAIM:	1	
LINE COUNT:	1544	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB 4-Aryl-1-(indanmethyl, dihydrobenzofuramethyl or  
dihydrobenzothiophenemethyl) piperidine, -tetrahydropyridine or  
-piperazine compounds of general formula (I) ##STR1##

wherein one of X and Y is CH<sub>2</sub>, and the other one is CH<sub>2</sub>, O or S; Z is N, C, CH or COH; Ar is an optionally substituted aryl group; R<sub>1</sub> is hydrogen, alkyl, cycloalkyl, cycloalkylalkyl, aryl, arylalkyl, acyl, thioacyl, alkylsulfonyl, trifluoromethylsulfonyl, arylsulfonyl, a group R<sub>9</sub>CO-- where V is O or S and R<sub>9</sub> is alkyl or aryl, or a group R<sub>10</sub>R<sub>11</sub>NCO or R<sub>10</sub>R<sub>11</sub>NCS-- wherein R<sub>10</sub> and R<sub>11</sub> are hydrogen, alkyl or aryl, or R<sub>10</sub> and R<sub>11</sub> are linked to form a ring R<sub>2</sub> is hydrogen, alkyl, cycloalkyl or cycloalkylalkyl; or R<sub>1</sub> and R<sub>2</sub> are linked to form a ring; R<sub>3</sub>--R<sub>5</sub> are hydrogen, halogen, alkyl, alkylcarbonyl, phenylcarbonyl, alkoxy, alkylthio, hydroxy, alkylsulfonyl, cyano, trifluoromethyl, cycloalkyl, cycloalkylalkyl or nitro; R<sub>6</sub> and R<sub>7</sub> are hydrogen or alkyl or they are linked to constitute a 3-7-membered ring; R<sub>8</sub> is hydrogen or alkyl; have effects at central serotonergic receptors and are therefore useful in the treatment of



certain psychic and neurologic disorders.

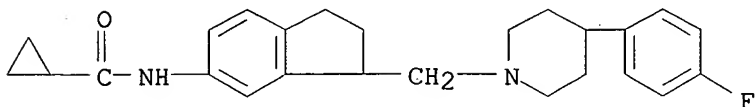
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 174776-05-7P 174776-06-8P

(prepn. of N-(indanylmethyl)piperidines and -piperazines and analogs as 5-HT1A and/or 5-HT2A ligands)

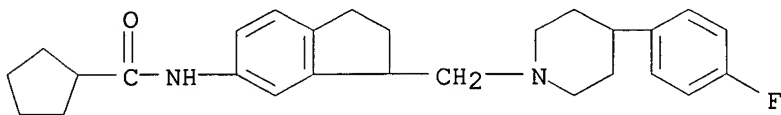
RN 174776-05-7 USPATFULL

CN Cyclopropanecarboxamide, N-[3-[[4-(4-fluorophenyl)-1-piperidinyl]methyl]-2,3-dihydro-1H-inden-5-yl]- (9CI) (CA INDEX NAME)



RN 174776-06-8 USPATFULL

CN Cyclopentanecarboxamide, N-[3-[[4-(4-fluorophenyl)-1-piperidinyl]methyl]-2,3-dihydro-1H-inden-5-yl]- (9CI) (CA INDEX NAME)



L19 ANSWER 47 OF 64 USPATFULL on STN

ACCESSION NUMBER: 2003:232579 USPATFULL

TITLE: 4-PIPERIDINYL ALKYL AMINE DERIVATIVES AS MUSCARINIC RECEPTOR ANTAGONISTS

INVENTOR(S): Brotherton-Pleiss, Christine E., Sunnyvale, CA, UNITED STATES  
Madera, Ann Marie, Dublin, CA, UNITED STATES  
Weikert, Robert James, Boulder Creek, CA, UNITED STATES

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2003162780	A1	20030828
	US 6627644	B2	20030930
APPLICATION INFO.:	US 2002-308081	A1	20021202 (10)

	NUMBER	DATE
PRIORITY INFORMATION:	US 2001-336795P	20011203 (60)
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	APPLICATION	
LEGAL REPRESENTATIVE:	ROCHE PALO ALTO LLC, 3431 HILLVIEW AVENUE, PATENT DEPT., M/S A2-250, PALO ALTO, CA, 94304	
NUMBER OF CLAIMS:	41	
EXEMPLARY CLAIM:	1	
LINE COUNT:	2506	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB This invention relates to compounds which are generally muscarinic receptor antagonists and which are represented by Formula I: ##STR1##

wherein p, R<sup>sup.1</sup>, R<sup>sup.2</sup>, R<sup>sup.3</sup> and A are as defined in the specification, or individual isomers, racemic or non-racemic mixtures of isomers, or acceptable salts or solvates thereof. The invention further relates to pharmaceutical compositions containing such compounds and methods for their use and preparation as therapeutic drugs.

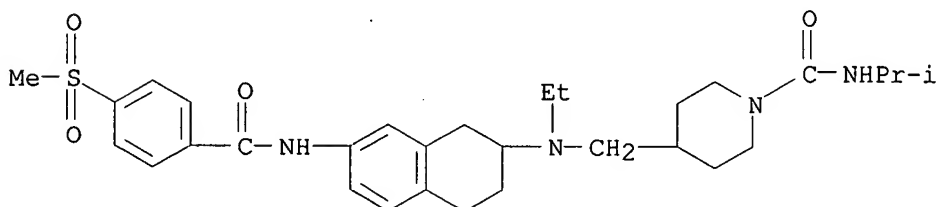
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 540493-38-7P, 4-[[Ethyl[7-[4-[methanesulfonyl]benzoylamino]-1,2,3,4-tetrahydronaphthalen-2-yl]amino]methyl]piperidine-1-carboxylic acid isopropylamide 540493-44-5P, N-[7-[N-Ethyl-N-[[1-[morpholine-4-carbonyl]piperidin-4-yl]methyl]amino]-5,6,7,8-tetrahydronaphthalen-2-yl]-4-[methanesulfonyl]benzamide 540493-45-6P, 4-[[Ethyl[7-[4-[methanesulfonyl]benzoylamino]-1,2,3,4-tetrahydronaphthalen-2-yl]amino]methyl]piperidine-1-carboxylic acid diethylamide 540493-46-7P, 4-[[Ethyl[7-[4-[methanesulfonyl]benzoylamino]-1,2,3,4-tetrahydronaphthalen-2-yl]amino]methyl]piperidine-1-carboxylic acid methylamide 540493-47-8P, 4-[[Ethyl[7-[4-[methanesulfonyl]benzoylamino]-1,2,3,4-tetrahydronaphthalen-2-yl]amino]methyl]piperidine-1-carboxylic acid phenylamide 540493-48-9P, 4-[[Ethyl[7-[4-[methanesulfonyl]benzoylamino]-1,2,3,4-tetrahydronaphthalen-2-yl]amino]methyl]piperidine-1-carboxylic acid amide 540493-49-0P, 4-[[Ethyl[7-[4-fluorobenzoylamino]-1,2,3,4-tetrahydronaphthalen-2-yl]amino]methyl]piperidine-1-carboxylic acid isopropylamide 540493-55-8P, 4-[[Ethyl[7-[4-trifluoromethylbenzoylamino]-1,2,3,4-tetrahydronaphthalen-2-yl]amino]methyl]piperidine-1-carboxylic acid isopropylamide 540493-56-9P, 4-[[Ethyl[7-[[naphthalene-2-carbonyl]amino]-1,2,3,4-tetrahydronaphthalen-2-yl]amino]methyl]piperidine-1-carboxylic acid isopropylamide 540493-58-1P, 4-[[Ethyl[7-[4-methoxybenzoylamino]-1,2,3,4-tetrahydronaphthalen-2-yl]amino]methyl]piperidine-1-carboxylic acid isopropylamide 540493-59-2P, 4-[[[7-[[Biphenyl-4-carbonyl]amino]-1,2,3,4-tetrahydronaphthalen-2-yl]ethylamino]methyl]piperidine-1-carboxylic acid isopropylamide 540493-63-8P, 4-[[[7-[4-Dimethylaminobenzoylamino]-1,2,3,4-tetrahydronaphthalen-2-yl]ethylamino]methyl]piperidine-1-carboxylic acid isopropylamide 540493-64-9P, 4-[[[7-[2,4-Difluorobenzoylamino]-1,2,3,4-tetrahydronaphthalen-2-yl]ethylamino]methyl]piperidine-1-carboxylic acid isopropylamide

(prepn. of 4-piperidinyl alkylamine derivs. as muscarinic receptor antagonists)

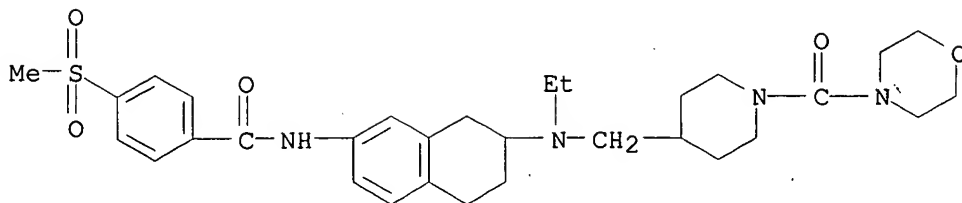
RN 540493-38-7 USPATFULL

CN 1-Piperidinecarboxamide, 4-[[ethyl[1,2,3,4-tetrahydro-7-[[4-(methylsulfonyl)benzoyl]amino]-2-naphthalenyl]amino]methyl]-N-(1-methylethyl)- (9CI) (CA INDEX NAME)



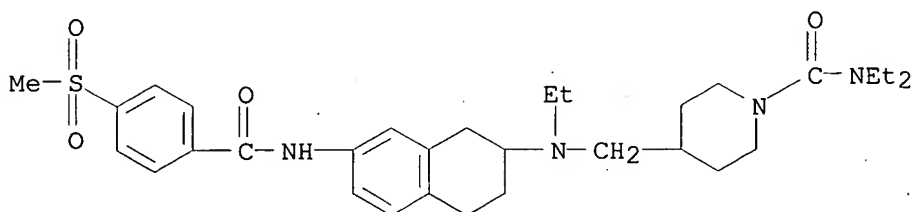
RN 540493-44-5 USPATFULL

CN Benzamide, N-[7-[ethyl[[1-(4-morpholinylcarbonyl)-4-piperidinyl]methyl]amino]-5,6,7,8-tetrahydro-2-naphthalenyl]-4-(methylsulfonyl)- (9CI) (CA INDEX NAME)



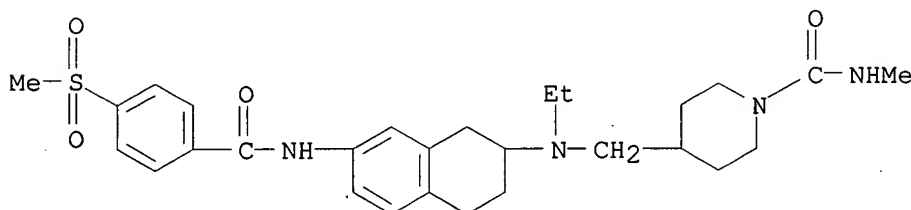
RN 540493-45-6 USPATFULL

CN 1-Piperidinecarboxamide, N,N-diethyl-4-[[ethyl[1,2,3,4-tetrahydro-7-[[4-(methylsulfonyl)benzoyl]amino]-2-naphthalenyl]amino]methyl]- (9CI) (CA INDEX NAME)



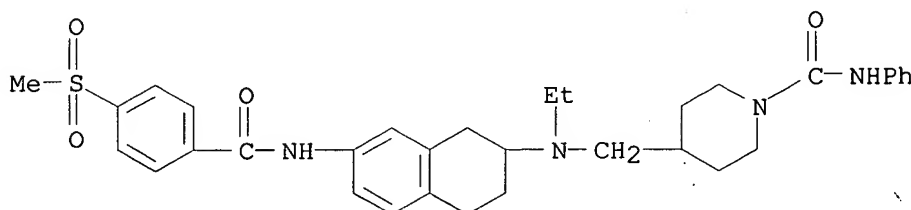
RN 540493-46-7 USPATFULL

CN 1-Piperidinecarboxamide, 4-[[ethyl[1,2,3,4-tetrahydro-7-[[4-(methylsulfonyl)benzoyl]amino]-2-naphthalenyl]amino]methyl]-N-methyl- (9CI) (CA INDEX NAME)



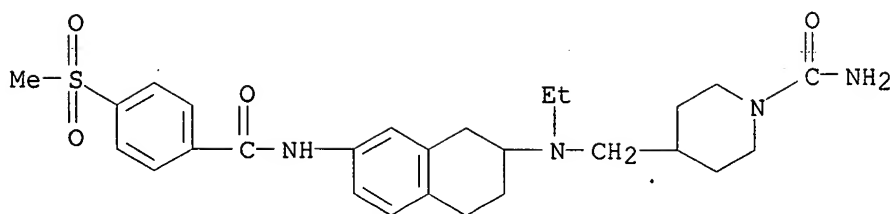
RN 540493-47-8 USPATFULL

CN 1-Piperidinecarboxamide, 4-[[ethyl[1,2,3,4-tetrahydro-7-[[4-(methylsulfonyl)benzoyl]amino]-2-naphthalenyl]amino]methyl]-N-phenyl- (9CI) (CA INDEX NAME)



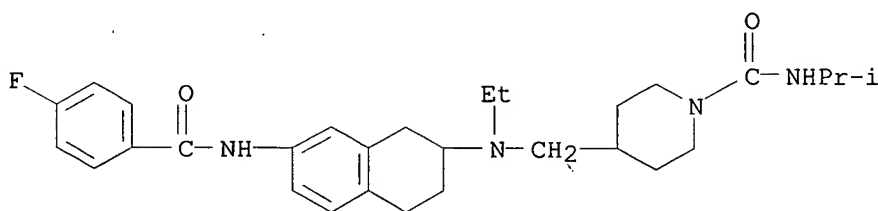
RN 540493-48-9 USPATFULL

CN 1-Piperidinecarboxamide, 4-[[ethyl[1,2,3,4-tetrahydro-7-[[4-(methylsulfonyl)benzoyl]amino]-2-naphthalenyl]amino]methyl]- (9CI) (CA INDEX NAME)



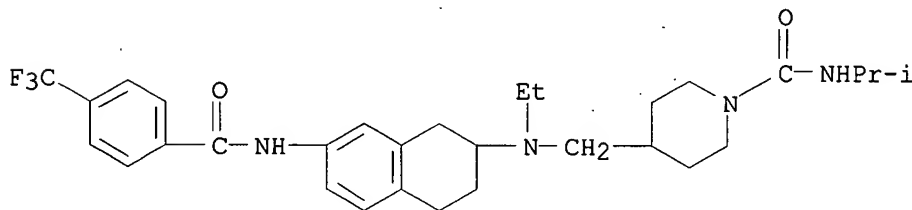
RN 540493-49-0 USPATFULL

CN 1-Piperidinecarboxamide, 4-[[ethyl[7-[(4-fluorobenzoyl)amino]-1,2,3,4-tetrahydro-2-naphthalenyl]amino]methyl]-N-(1-methylethyl)- (9CI) (CA INDEX NAME)



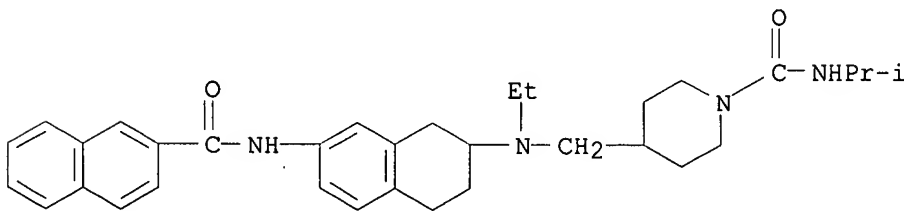
RN 540493-55-8 USPATFULL

CN 1-Piperidinecarboxamide, 4-[[ethyl[1,2,3,4-tetrahydro-7-[[4-(trifluoromethyl)benzoyl]amino]-2-naphthalenyl]amino]methyl]-N-(1-methylethyl)- (9CI) (CA INDEX NAME)



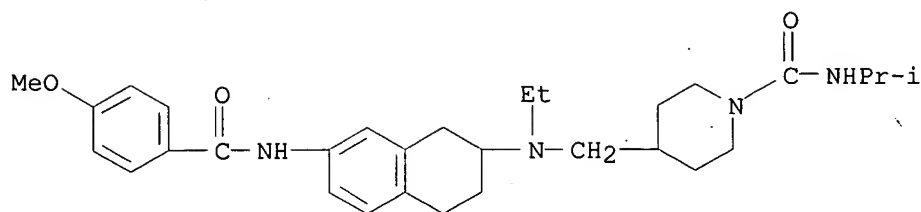
RN 540493-56-9 USPATFULL

CN 1-Piperidinecarboxamide, 4-[[ethyl[1,2,3,4-tetrahydro-7-[(2-naphthalenylcarbonyl)amino]-2-naphthalenyl]amino]methyl]-N-(1-methylethyl)- (9CI) (CA INDEX NAME)



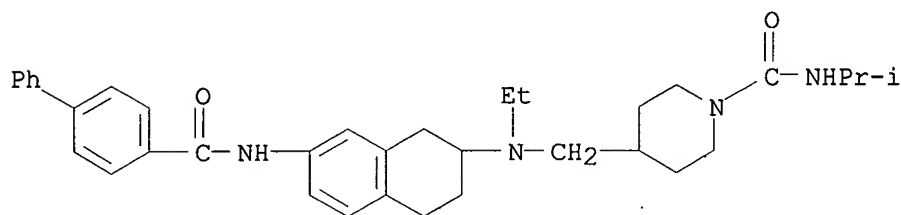
RN 540493-58-1 USPATFULL

CN 1-Piperidinecarboxamide, 4-[[ethyl[1,2,3,4-tetrahydro-7-[(4-methoxybenzoyl)amino]-2-naphthalenyl]amino]methyl]-N-(1-methylethyl)- (9CI) (CA INDEX NAME)



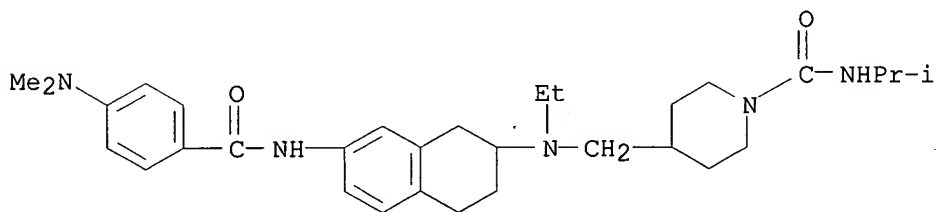
RN 540493-59-2 USPATFULL

CN 1-Piperidinecarboxamide, 4-[[[7-[[[1,1'-biphenyl]-4-ylcarbonyl]amino]-1,2,3,4-tetrahydro-2-naphthalenyl]ethylamino]methyl]-N-(1-methylethyl)- (9CI) (CA INDEX NAME)



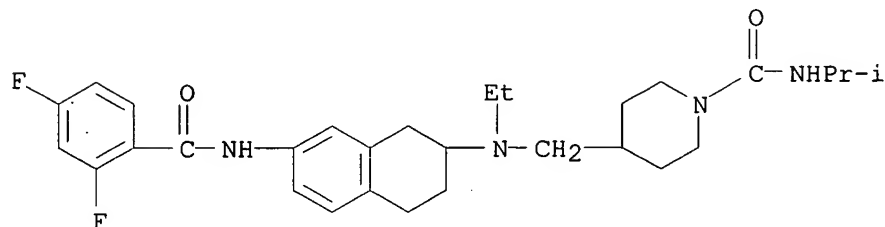
RN 540493-63-8 USPATFULL

CN 1-Piperidinecarboxamide, 4-[[[7-[[4-(dimethylamino)benzoyl]amino]-1,2,3,4-tetrahydro-2-naphthalenyl]ethylamino]methyl]-N-(1-methylethyl)- (9CI) (CA INDEX NAME)



RN 540493-64-9 USPATFULL

CN 1-Piperidinecarboxamide, 4-[[[7-[[2,4-difluorobenzoyl]amino]-1,2,3,4-tetrahydro-2-naphthalenyl]ethylamino]methyl]-N-(1-methylethyl)- (9CI) (CA INDEX NAME)

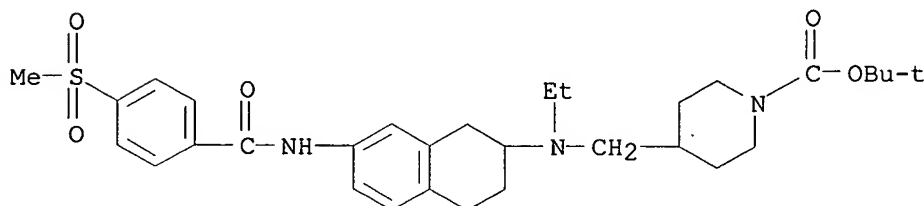


IT 540493-42-3P, 4-[[[Ethyl[7-[4-[methanesulfonyl]benzoylamino]-1,2,3,4-tetrahydronaphthalen-2-yl]amino]methyl]piperidine-1-carboxylic acid tert-butyl ester 540493-43-4P, N-[7-[N-(Ethyl)-N-

((piperidin-4-yl)methyl)amino]-5,6,7,8-tetrahydronaphthalen-2-yl]-4-[methanesulfonyl]benzamide  
(prepn. of 4-piperidinyll alkylamine derivs. as muscarinic receptor antagonists)

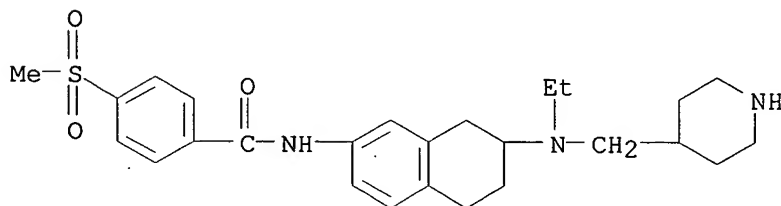
RN 540493-42-3 USPATFULL

CN 1-Piperidinecarboxylic acid, 4-[[ethyl[1,2,3,4-tetrahydro-7-[[4-(methylsulfonyl)benzoyl]amino]-2-naphthalenyl]amino]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 540493-43-4 USPATFULL

CN Benzamide, N-[7-[ethyl(4-piperidinylmethyl)amino]-5,6,7,8-tetrahydro-2-naphthalenyl]-4-(methylsulfonyl)- (9CI) (CA INDEX NAME)



L19 ANSWER 48 OF 64 USPATFULL on STN

ACCESSION NUMBER: 2003:160085 USPATFULL

TITLE: Carboxamides useful as inhibitors of microsomal triglyceride transfer protein and of apolipoprotein b secretion

INVENTOR(S): Ksander, Gary Michael, Milford, NJ, UNITED STATES

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2003109700	A1	20030612
APPLICATION INFO.:	US 2002-181006	A1	20020711 (10)
	WO 2001-EP439		20010116
DOCUMENT TYPE:	Utility		
FILE SEGMENT:	APPLICATION		
LEGAL REPRESENTATIVE:	THOMAS HOXIE, NOVARTIS CORPORATION, PATENT AND TRADEMARK DEPT, 564 MORRIS AVENUE, SUMMIT, NJ, 079011027		
NUMBER OF CLAIMS:	14		
EXEMPLARY CLAIM:	1		
LINE COUNT:	1460		

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB ##STR1## Compounds of formula (1) wherein R.sub.2--C, R.sub.3--C, R.sub.4--C or R.sub.5--C may be replaced by N; and wherein n is 1, 2 or 3; R.sub.1 is aryl, heteroaryl or (aryl or heteroaryl)-lower alkoxy; R.sub.2, R.sub.3, R.sub.4 and R.sub.5 are independently hydrogen, lower alkyl, lower alkoxy, halo, trifluoromethyl or cyano; R.sub.6 is (i) or (ii) m is 1, 2 or 3; R.sub.7 is hydrogen, lower alkyl (aryl or heteroaryl)-lower alkyl, lower alkoxy, (aryl or heteroaryl)-lower

alkoxy, hydroxy, oxo, lower alkylendioxy or lower alkanoyloxy; W is O, S or NR.sub.8; R.sub.8 is --COR.sub.a, (iii), --COOR.sub.d, --SO.sub.2R.sub.e, hydrogen, optionally substituted lower alkyl, aryl, heteroaryl or (aryl or heteroaryl)-lower alkyl; R.sub.a, R.sub.d and R.sub.e, are independently optionally substituted lower alkyl, cycloalkyl, adamantyl, aryl, heteroaryl or (aryl or heteroaryl)-lower alkyl; R.sub.b and R.sub.c are independently hydrogen, cycloalkyl, optionally substituted lower alkyl, aryl, heteroaryl or (aryl or heteroaryl) lower alkyl; or R.sub.b and R.sub.c together represent lower alkylene; and pharmaceutically acceptable salts thereof; and enantiomers thereof; which are useful as inhibitors of microsomal triglyceride transfer protein (MTP) and of apolipoprotein B (apoB) secretion.

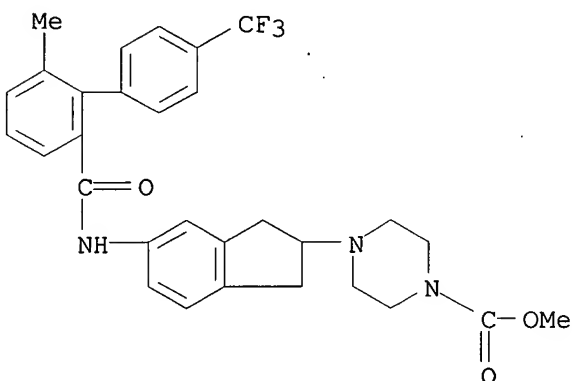
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 351414-67-0P 351414-83-0P

(prepn. of carboxamides as inhibitors of microsomal triglyceride transfer protein and of apolipoprotein B secretion)

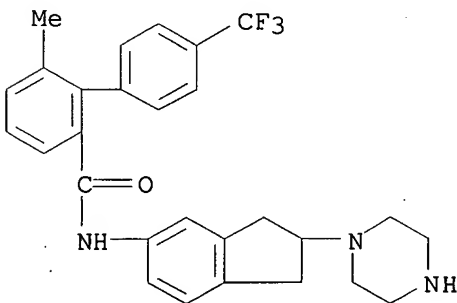
RN 351414-67-0 USPATFULL

CN 1-Piperazinecarboxylic acid, 4-[2,3-dihydro-5-[[[6-methyl-4'-(trifluoromethyl)[1,1'-biphenyl]-2-yl]carbonyl]amino]-1H-inden-2-yl]-, methyl ester (9CI) (CA INDEX NAME)



RN 351414-83-0 USPATFULL

CN [1,1'-Biphenyl]-2-carboxamide, N-[2,3-dihydro-2-(1'-piperazinyl)-1H-inden-5-yl]-6-methyl-4'-(trifluoromethyl)- (9CI) (CA INDEX NAME)



IT 351414-68-1P 351414-69-2P 351414-70-5P

351414-71-6P 351414-72-7P 351414-73-8P

351414-74-9P 351414-75-0P 351414-76-1P

351414-77-2P 351414-78-3P 351414-79-4P

351414-80-7P 351414-81-8P 351414-82-9P

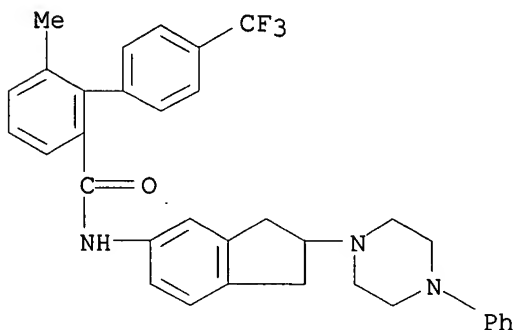
351414-84-1P 351414-85-2P 351414-86-3P

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 351414-93-2P 351414-94-3P 351414-95-4P  
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 351414-99-8P 351415-00-4P 351415-01-5P  
 351415-02-6P 351415-03-7P 351415-04-8P

(prepn. of carboxamides as inhibitors of microsomal triglyceride transfer protein and of apolipoprotein B secretion)

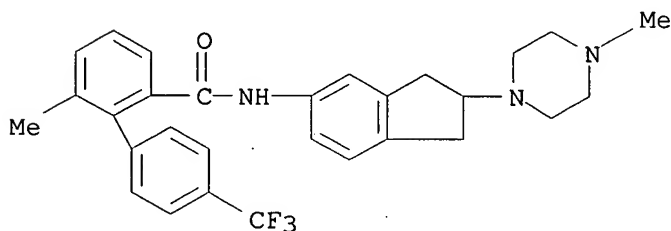
RN 351414-68-1 USPATFULL

CN [1,1'-Biphenyl]-2-carboxamide, N-[2,3-dihydro-2-(4-phenyl-1-piperazinyl)-1H-inden-5-yl]-6-methyl-4'-(trifluoromethyl)- (9CI) (CA INDEX NAME)



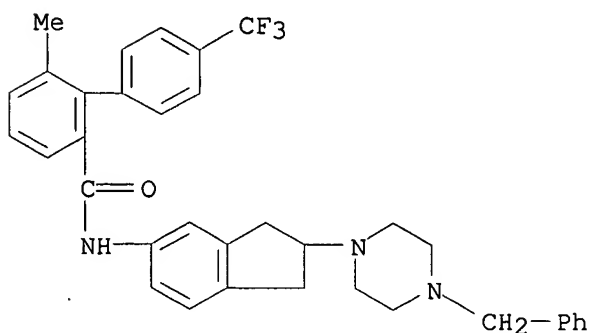
RN 351414-69-2 USPATFULL

CN [1,1'-Biphenyl]-2-carboxamide, N-[2,3-dihydro-2-(4-methyl-1-piperazinyl)-1H-inden-5-yl]-6-methyl-4'-(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 351414-70-5 USPATFULL

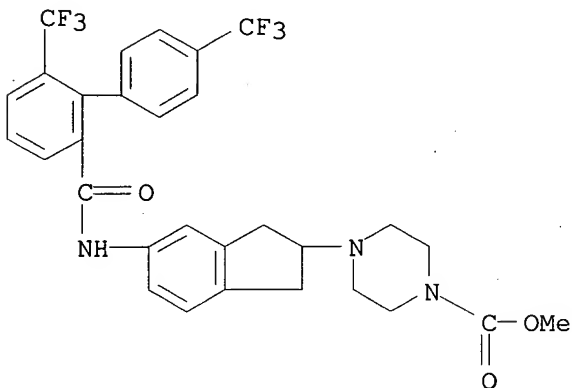
CN [1,1'-Biphenyl]-2-carboxamide, N-[2,3-dihydro-2-[4-(phenylmethyl)-1-piperazinyl]-1H-inden-5-yl]-6-methyl-4'-(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 351414-71-6 USPATFULL

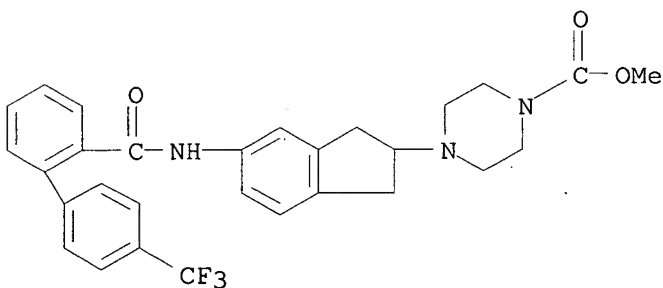


CN 1-Piperazinecarboxylic acid, 4-[5-[[[4',6-bis(trifluoromethyl)[1,1'-biphenyl]-2-yl]carbonyl]amino]-2,3-dihydro-1H-inden-2-yl]-, methyl ester (9CI) (CA INDEX NAME)



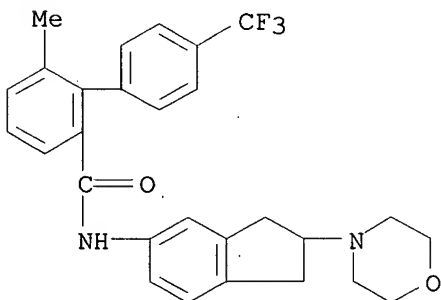
RN 351414-72-7 USPATFULL

CN 1-Piperazinecarboxylic acid, 4-[2,3-dihydro-5-[[[4'-(trifluoromethyl)[1,1'-biphenyl]-2-yl]carbonyl]amino]-1H-inden-2-yl]-, methyl ester (9CI) (CA INDEX NAME)



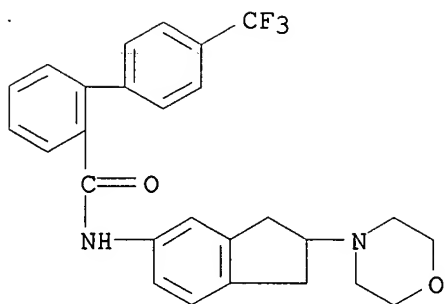
RN 351414-73-8 USPATFULL

CN [1,1'-Biphenyl]-2-carboxamide, N-[2,3-dihydro-2-(4-morpholinyl)-1H-inden-5-yl]-6-methyl-4'-(trifluoromethyl)- (9CI) (CA INDEX NAME)



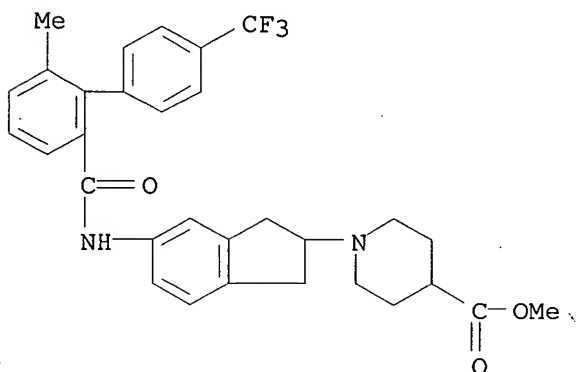
RN 351414-74-9 USPATFULL

CN [1,1'-Biphenyl]-2-carboxamide, N-[2,3-dihydro-2-(4-morpholinyl)-1H-inden-5-yl]-4'-(trifluoromethyl)- (9CI) (CA INDEX NAME)



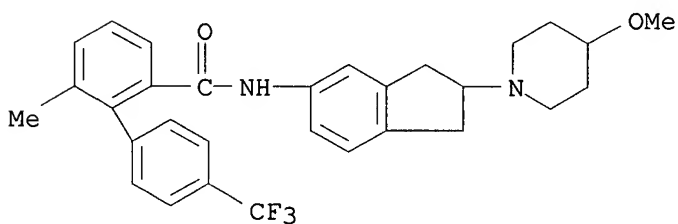
RN 351414-75-0 USPATFULL

CN 4-Piperidinecarboxylic acid, 1-[2,3-dihydro-5-[[[6-methyl-4'-(trifluoromethyl)1,1'-biphenyl]-2-yl]carbonyl]amino]-1H-inden-2-yl]-, methyl ester (9CI) (CA INDEX NAME)



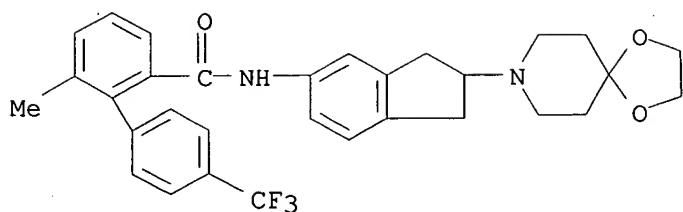
RN 351414-76-1 USPATFULL

CN [1,1'-Biphenyl]-2-carboxamide, N-[2,3-dihydro-2-(4-methoxy-1-piperidinyl)-1H-inden-5-yl]-6-methyl-4'-(trifluoromethyl)- (9CI) (CA INDEX NAME)



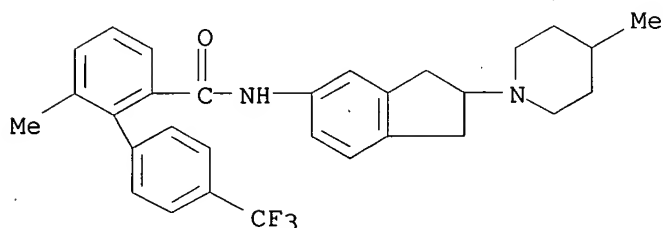
RN 351414-77-2 USPATFULL

CN [1,1'-Biphenyl]-2-carboxamide, N-[2-(1,4-dioxo-8-azaspiro[4.5]dec-8-yl)-2,3-dihydro-1H-inden-5-yl]-6-methyl-4'-(trifluoromethyl)- (9CI) (CA INDEX NAME)



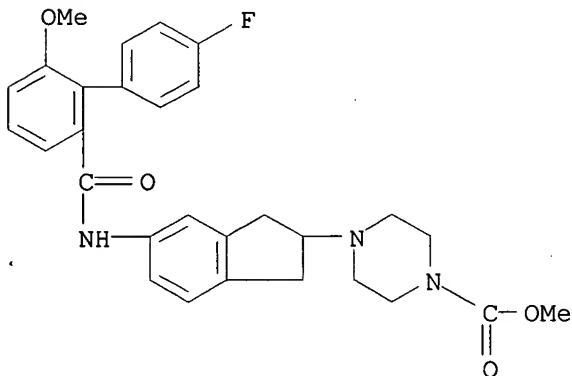
RN 351414-78-3 USPATFULL

CN [1,1'-Biphenyl]-2-carboxamide, N-[2,3-dihydro-2-(4-methyl-1-piperidinyl)-1H-inden-5-yl]-6-methyl-4'-(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 351414-79-4 USPATFULL

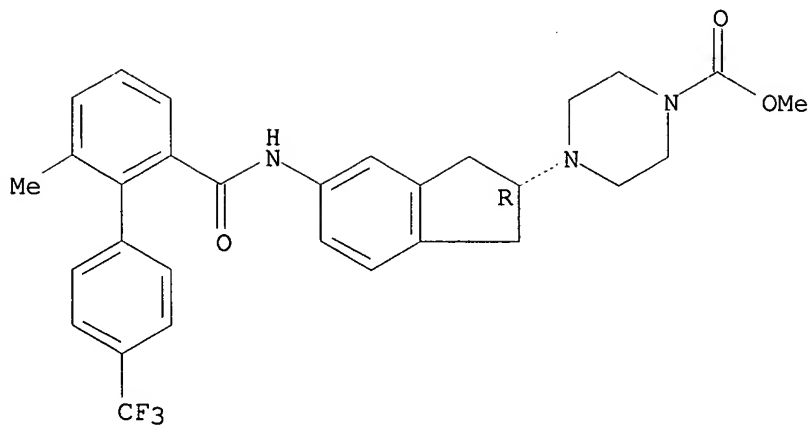
CN 1-Piperazinecarboxylic acid, 4-[5-[[[4'-fluoro-6-methoxy[1,1'-biphenyl]-2-yl]carbonyl]amino]-2,3-dihydro-1H-inden-2-yl]-, methyl ester (9CI) (CA INDEX NAME)



RN 351414-80-7 USPATFULL

CN 1-Piperazinecarboxylic acid, 4-[(2R)-2,3-dihydro-5-[[[6-methyl-4'-(trifluoromethyl)[1,1'-biphenyl]-2-yl]carbonyl]amino]-1H-inden-2-yl]-, methyl ester (9CI) (CA INDEX NAME)

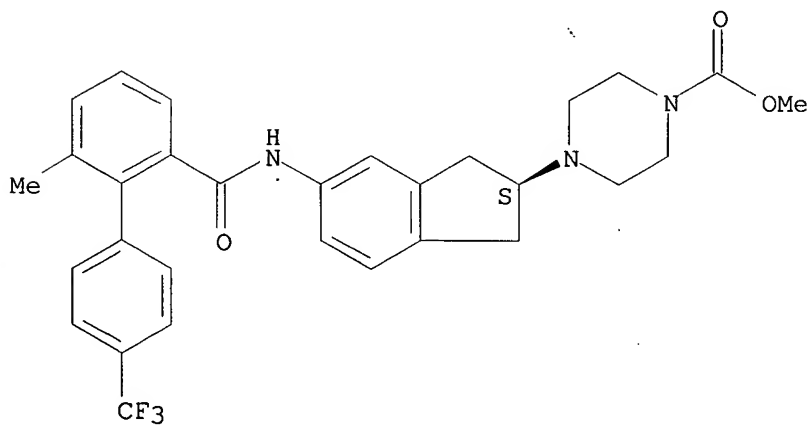
Absolute stereochemistry. Rotation (-).



RN 351414-81-8 USPATFULL

CN 1-Piperazinecarboxylic acid, 4-[(2S)-2,3-dihydro-5-[[[6-methyl-4'-(trifluoromethyl)[1,1'-biphenyl]-2-yl]carbonyl]amino]-1H-inden-2-yl]-, methyl ester (9CI) (CA INDEX NAME)

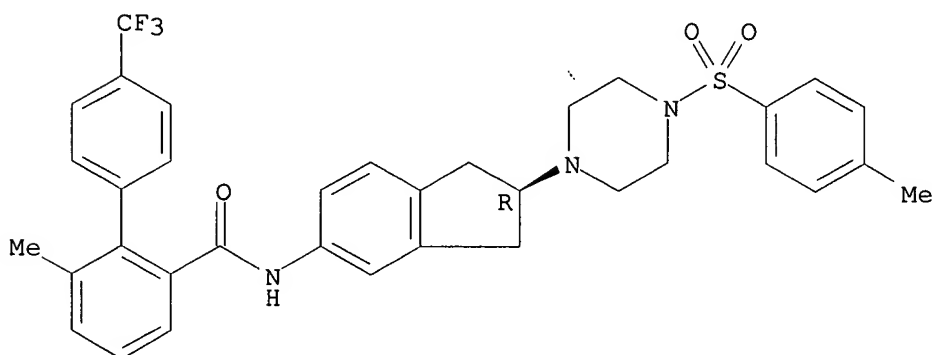
Absolute stereochemistry. Rotation (+).



RN 351414-82-9 USPATFULL

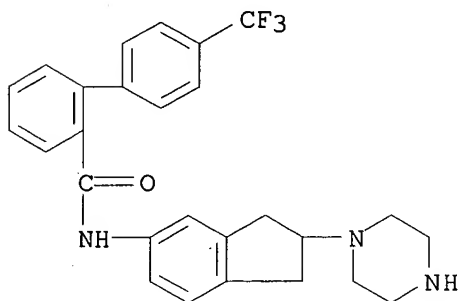
CN [1,1'-Biphenyl]-2-carboxamide, N-[(2R)-2,3-dihydro-2-[4-[(4-methylphenyl)sulfonyl]-1-piperazinyl]-1H-inden-5-yl]-6-methyl-4'-(trifluoromethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RN 351414-84-1 USPATFULL

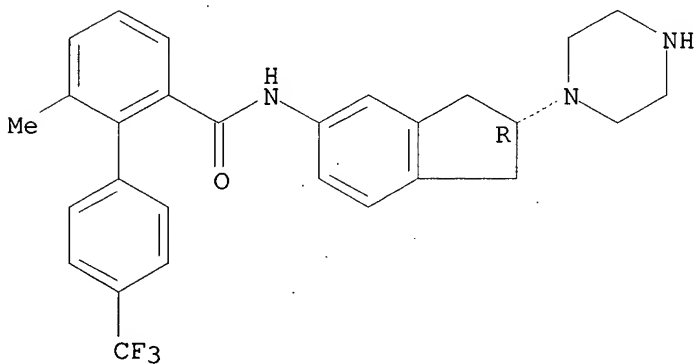
CN [1,1'-Biphenyl]-2-carboxamide, N-[2,3-dihydro-2-(1-piperazinyl)-1H-inden-5-yl]-4'-(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 351414-85-2 USPATFULL

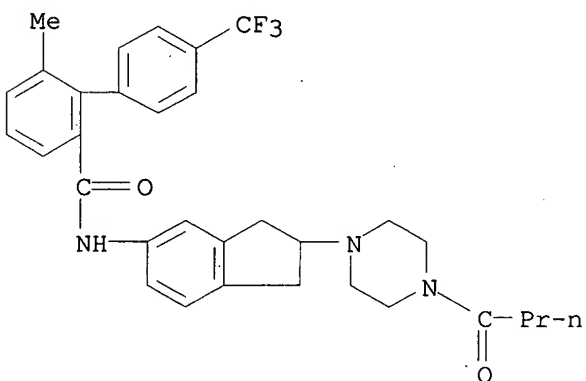
CN [1,1'-Biphenyl]-2-carboxamide, N-[(2R)-2,3-dihydro-2-(1-piperazinyl)-1H-inden-5-yl]-6-methyl-4'-(trifluoromethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



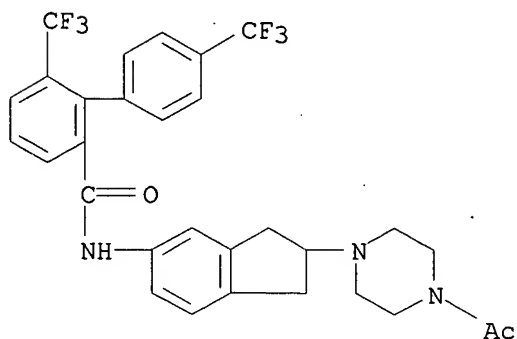
RN 351414-86-3 USPATFULL

CN [1,1'-Biphenyl]-2-carboxamide, N-[2,3-dihydro-2-[4-(1-oxobutyl)-1-piperazinyl]-1H-inden-5-yl]-6-methyl-4'-(trifluoromethyl)- (9CI) (CA INDEX NAME)



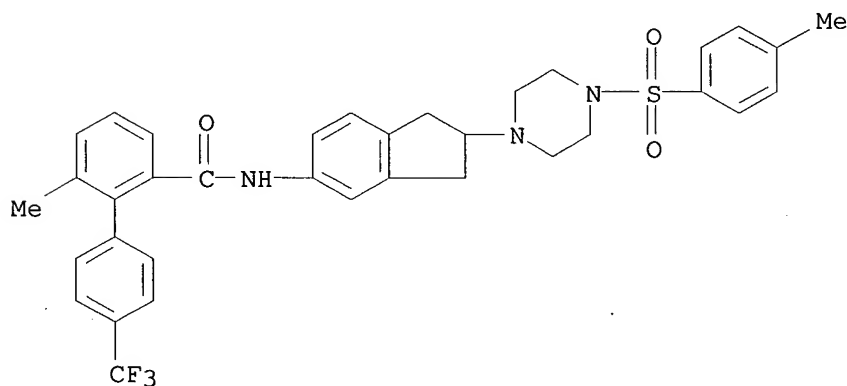
RN 351414-87-4 USPATFULL

CN [1,1'-Biphenyl]-2-carboxamide, N-[2-(4-acetyl-1-piperazinyl)-2,3-dihydro-1H-inden-5-yl]-4',6-bis(trifluoromethyl)- (9CI) (CA INDEX NAME)



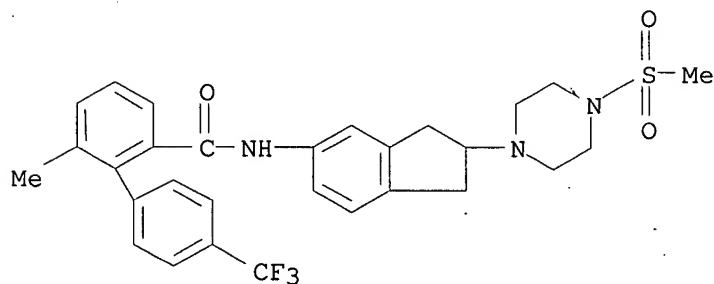
RN 351414-88-5 USPATFULL

CN [1,1'-Biphenyl]-2-carboxamide, N-[2,3-dihydro-2-[4-[(4-methylphenyl)sulfonyl]-1-piperazinyl]-1H-inden-5-yl]-6-methyl-4'-(trifluoromethyl)- (9CI) (CA INDEX NAME)



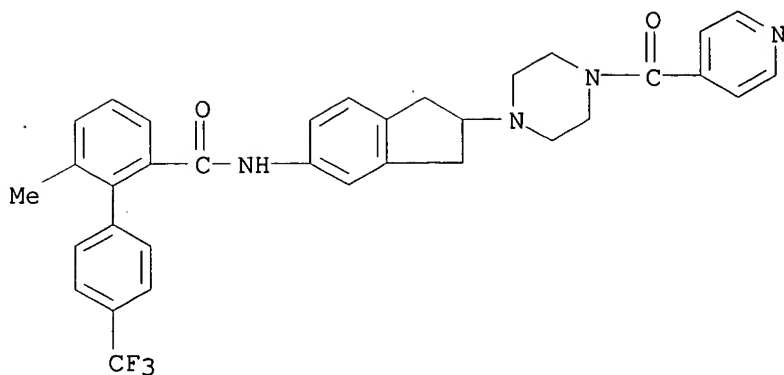
RN 351414-89-6 USPATFULL

CN [1,1'-Biphenyl]-2-carboxamide, N-[2,3-dihydro-2-[4-(methylsulfonyl)-1-piperazinyl]-1H-inden-5-yl]-6-methyl-4'-(trifluoromethyl)- (9CI) (CA INDEX NAME)

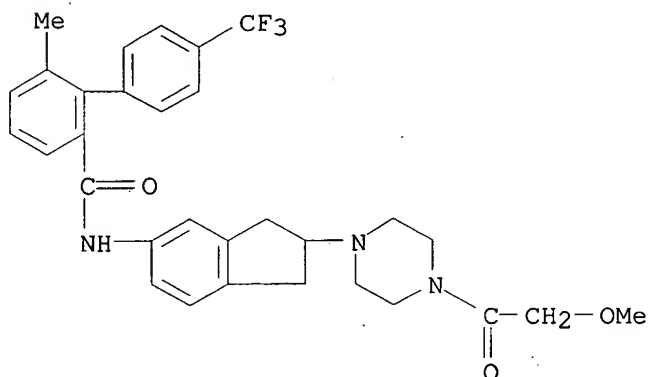


RN 351414-90-9 USPATFULL

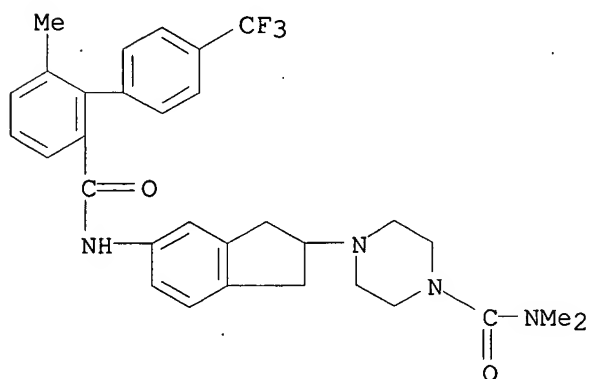
CN [1,1'-Biphenyl]-2-carboxamide, N-[2,3-dihydro-2-[4-(4-pyridinylcarbonyl)-1-piperazinyl]-1H-inden-5-yl]-6-methyl-4'-(trifluoromethyl)- (9CI) (CA INDEX NAME)



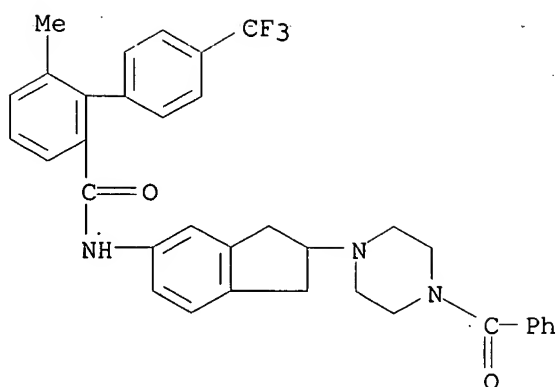
RN 351414-91-0 USPTAFULL  
 CN [1,1'-Biphenyl]-2-carboxamide, N-[2,3-dihydro-2-[4-(methoxyacetyl)-1-piperazinyl]-1H-inden-5-yl]-6-methyl-4'-(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 351414-92-1 USPTAFULL  
 CN 1-Piperazinecarboxamide, 4-[2,3-dihydro-5-[[[6-methyl-4'-(trifluoromethyl)[1,1'-biphenyl]-2-yl]carbonyl]amino]-1H-inden-2-yl]-N,N-dimethyl- (9CI) (CA INDEX NAME)

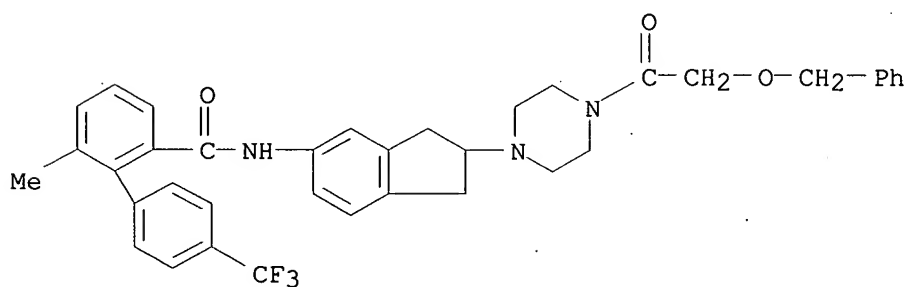


RN 351414-93-2 USPTAFULL  
 CN [1,1'-Biphenyl]-2-carboxamide, N-[2-(4-benzoyl-1-piperazinyl)-2,3-dihydro-1H-inden-5-yl]-6-methyl-4'-(trifluoromethyl)- (9CI) (CA INDEX NAME)



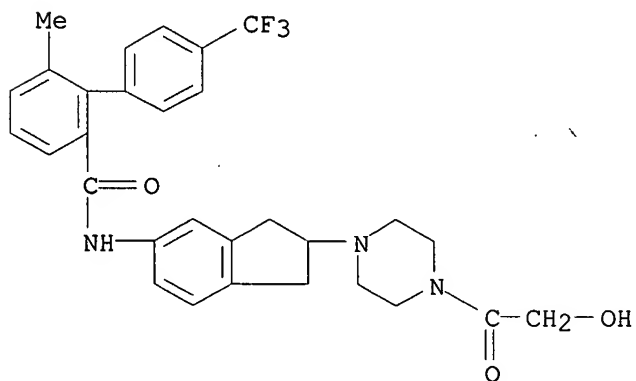
RN 351414-94-3 USPTFULL

CN [1,1'-Biphenyl]-2-carboxamide, N-[2,3-dihydro-2-[4-[(phenylmethoxy)acetyl]-1-piperazinyl]-1H-inden-5-yl]-6-methyl-4'-(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 351414-95-4 USPTFULL

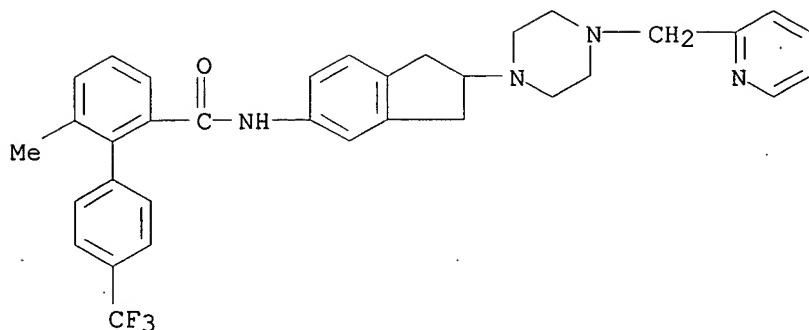
CN [1,1'-Biphenyl]-2-carboxamide, N-[2,3-dihydro-2-[4-(hydroxyacetyl)-1-piperazinyl]-1H-inden-5-yl]-6-methyl-4'-(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 351414-96-5 USPTFULL

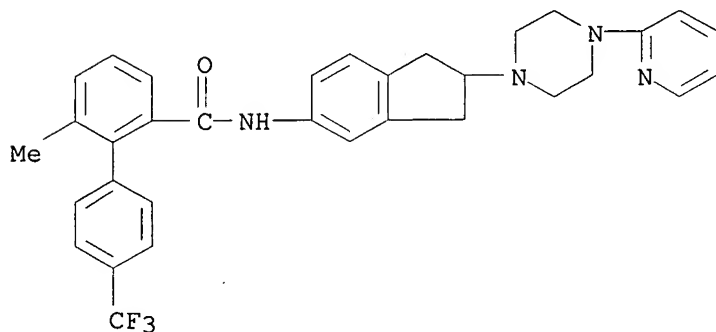
CN [1,1'-Biphenyl]-2-carboxamide, N-[2,3-dihydro-2-[4-(2-pyridinylmethyl)-1-piperazinyl]-1H-inden-5-yl]-6-methyl-4'-(trifluoromethyl)- (9CI) (CA INDEX NAME)





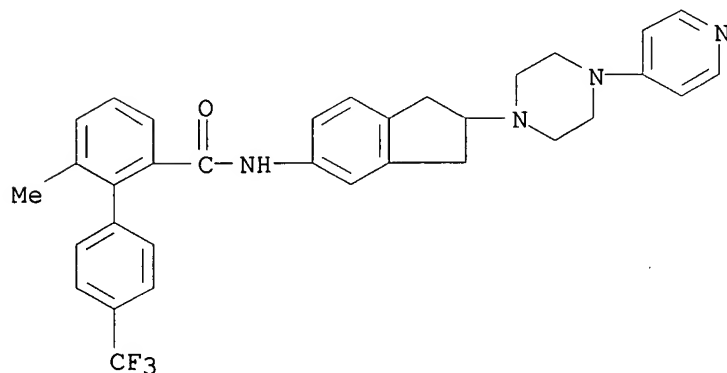
RN 351414-97-6 USPATFULL

CN [1,1'-Biphenyl]-2-carboxamide, N-[2,3-dihydro-2-[4-(2-pyridinyl)-1-piperazinyl]-1H-inden-5-yl]-6-methyl-4'-(trifluoromethyl)- (9CI) (CA INDEX NAME)



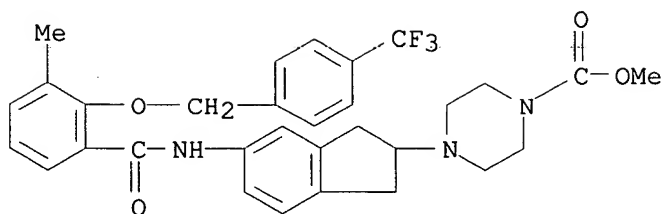
RN 351414-98-7 USPATFULL

CN [1,1'-Biphenyl]-2-carboxamide, N-[2,3-dihydro-2-[4-(4-pyridinyl)-1-piperazinyl]-1H-inden-5-yl]-6-methyl-4'-(trifluoromethyl)- (9CI) (CA INDEX NAME)



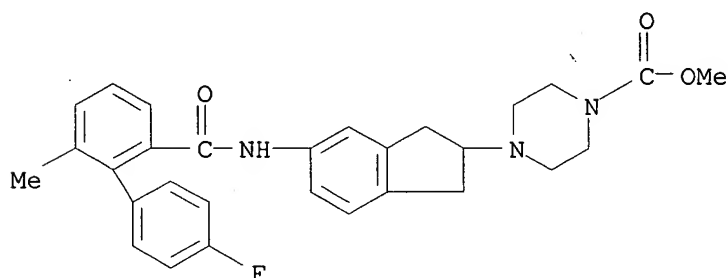
RN 351414-99-8 USPATFULL

CN 1-Piperazinecarboxylic acid, 4-[2,3-dihydro-5-[[3-methyl-2-[[4-(trifluoromethyl)phenyl]methoxy]benzoyl]amino]-1H-inden-2-yl]-, methyl ester (9CI) (CA INDEX NAME)



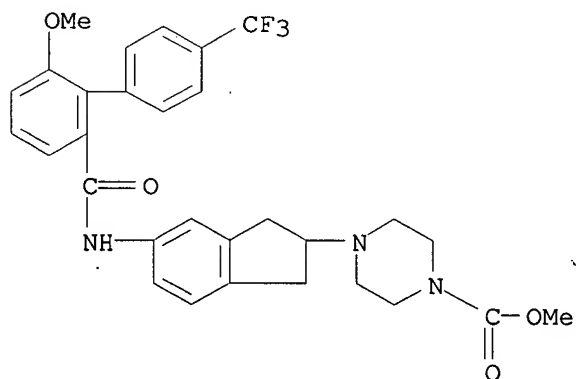
RN 351415-00-4 USPATFULL

CN 1-Piperazinecarboxylic acid, 4-[5-[[[4'-fluoro-6-methyl[1,1'-biphenyl]-2-yl]carbonyl]amino]-2,3-dihydro-1H-inden-2-yl]-, methyl ester (9CI) (CA INDEX NAME)



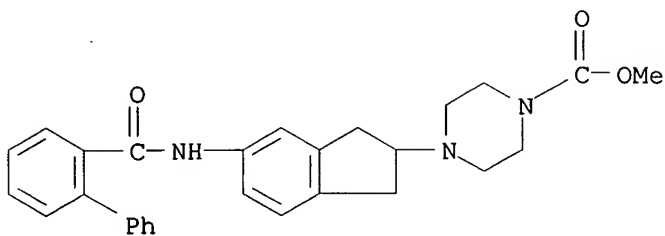
RN 351415-01-5 USPATFULL

CN 1-Piperazinecarboxylic acid, 4-[2,3-dihydro-5-[[[6-methoxy-4'-(trifluoromethyl)[1,1'-biphenyl]-2-yl]carbonyl]amino]-1H-inden-2-yl]-, methyl ester (9CI) (CA INDEX NAME)



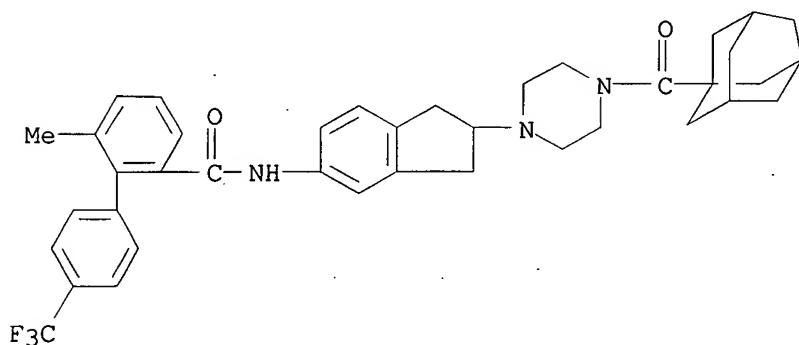
RN 351415-02-6 USPATFULL

CN 1-Piperazinecarboxylic acid, 4-[5-[[[1,1'-biphenyl]-2-ylcarbonyl]amino]-2,3-dihydro-1H-inden-2-yl]-, methyl ester (9CI) (CA INDEX NAME)



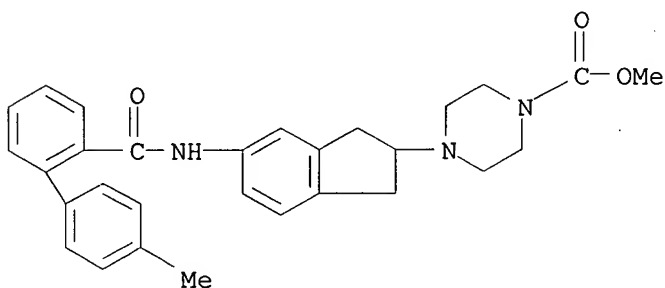
RN 351415-03-7 USPATFULL

CN [1,1'-Biphenyl]-2-carboxamide, N-[2,3-dihydro-2-[4-(tricyclo[3.3.1.1<sup>3,7</sup>]dec-1-ylcarbonyl)-1-piperazinyl]-1H-inden-5-yl]-6-methyl-4'-(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 351415-04-8 USPATFULL

CN 1-Piperazinecarboxylic acid, 4-[2,3-dihydro-5-[[4'-methyl[1,1'-biphenyl]-2-yl]carbonyl]amino]-1H-inden-2-yl]-, methyl ester (9CI) (CA INDEX NAME)

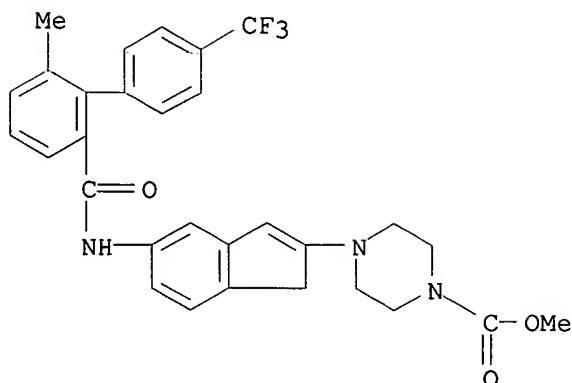


IT 351415-07-1

(prepn. of carboxamides as inhibitors of microsomal triglyceride transfer protein and of apolipoprotein B secretion)

RN 351415-07-1 USPATFULL

CN 1-Piperazinecarboxylic acid, 4-[5-[[[6-methyl-4'-(trifluoromethyl)[1,1'-biphenyl]-2-yl]carbonyl]amino]-1H-inden-2-yl]-, methyl ester (9CI) (CA INDEX NAME)



L19 ANSWER 49 OF 64 USPATFULL on STN  
 ACCESSION NUMBER: 2003:197151 USPATFULL  
 TITLE: Phenyl urea and phenyl thiourea derivatives  
 INVENTOR(S): Coulton, Steven, Horsham, UNITED KINGDOM  
 Johns, Amanda, St Albans, UNITED KINGDOM  
 Porter, Roderick Alan, Ashwell, UNITED KINGDOM  
 PATENT ASSIGNEE(S): SmithKline Beecham p.l.c., Brentford, UNITED KINGDOM  
 (non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6596730	B1	20030722
	WO 2000047580		20000817
APPLICATION INFO.:	US 2001-913228		20011205 (9)
	WO 2000-EP1142		20000210

	NUMBER	DATE
PRIORITY INFORMATION:	GB 1999-3241	19990212
	GB 1999-26441	19991108
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	GRANTED	
PRIMARY EXAMINER:	Huang, Evelyn Mei	
LEGAL REPRESENTATIVE:	Sieburth, Kathryn L., McCarthy, Mary, Kinzig, Charles M.	
NUMBER OF CLAIMS:	3	
EXEMPLARY CLAIM:	1	
NUMBER OF DRAWINGS:	0 Drawing Figure(s); 0 Drawing Page(s)	
LINE COUNT:	1085	
CAS INDEXING IS AVAILABLE FOR THIS PATENT.		
AB	Compounds of formula (I): ##STR1##	

in which:

one of X and Y is N and the other is CH;

Z represents oxygen or sulfur;

and R<sup>sup.1</sup> to R<sup>sup.7</sup> represent various substituent groups;

and pharmaceutically acceptable salts thereof.

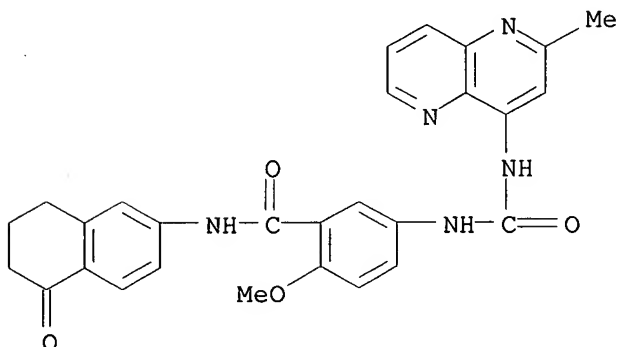
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 288326-41-0P

(prepn. of Ph ureas and thioureas as human orexin receptor antagonists)

RN 288326-41-0 USPATFULL

CN Benzamide, 2-methoxy-5-[[[(2-methyl-1,5-naphthyridin-4-yl)amino]carbonyl]amino]-N-(5,6,7,8-tetrahydro-5-oxo-2-naphthalenyl)-(9CI) (CA INDEX NAME)

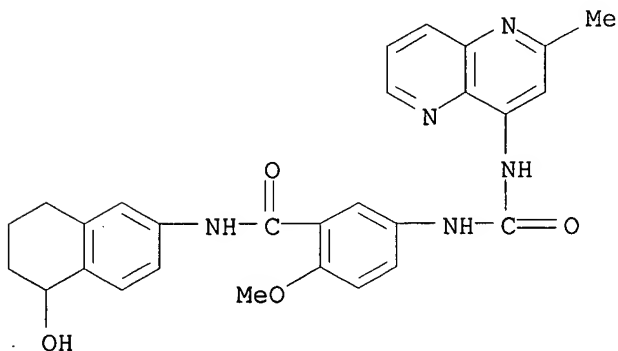


IT 288326-42-1P

(prepn. of Ph ureas and thioureas as human orexin receptor antagonists)

RN 288326-42-1 USPATFULL

CN Benzamide, 2-methoxy-5-[[[(2-methyl-1,5-naphthyridin-4-yl)amino]carbonyl]amino]-N-(5,6,7,8-tetrahydro-5-hydroxy-2-naphthalenyl)-(9CI) (CA INDEX NAME)



L19 ANSWER 50 OF 64 USPATFULL on STN

ACCESSION NUMBER: 2003:74418 USPATFULL

TITLE: Indazole compounds and pharmaceutical compositions for inhibiting protein kinases, and methods for their use

INVENTOR(S): Kania, Robert Steven, San Diego, CA, United States  
 Bender, Steven Lee, Oceanside, CA, United States  
 Borchardt, Allen J., San Diego, CA, United States  
 Cripps, Stephan James, San Diego, CA, United States  
 Hua, Ye, La Jolla, CA, United States  
 Johnson, Michael David, San Diego, CA, United States  
 Johnson, Jr., Theodore Otto, San Diego, CA, United States  
 Luu, Hiep The, San Diego, CA, United States  
 Palmer, Cynthia Louise, San Diego, CA, United States  
 Reich, Siegfried Heinz, Solana Beach, CA, United States  
 Tempczyk-Russell, Anna Marie, Ramona, CA, United States  
 Teng, Min, San Diego, CA, United States  
 Thomas, Christine, Westborough, MA, United States  
 Varney, Michael David, Solana Beach, CA, United States  
 Wallace, Michael Brennan, San Diego, CA, United States

PATENT ASSIGNEE(S): Collins, Michael Raymond, San Diego, CA, United States  
Agouron Pharmaceuticals, Inc., La Jolla, CA, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6534524	B1	20030318
APPLICATION INFO.:	US 2001-983783		20011025 (9)
RELATED APPLN. INFO.:	Division of Ser. No. US 2000-609335, filed on 30 Jun 2000, now abandoned		

	NUMBER	DATE
PRIORITY INFORMATION:	US 1999-142130P	19990702 (60)
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	GRANTED	
PRIMARY EXAMINER:	Davis, Zinna Worthington	
NUMBER OF CLAIMS:	14	
EXEMPLARY CLAIM:	1	
NUMBER OF DRAWINGS:	0 Drawing Figure(s); 0 Drawing Page(s)	
LINE COUNT:	8902	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Indazole compounds that modulate and/or inhibit the activity of certain protein kinases are described. These compounds and pharmaceutical compositions containing them are capable of mediating tyrosine kinase signal transduction and thereby modulate and/or inhibit unwanted cell proliferation. The invention is also directed to the therapeutic or prophylactic use of pharmaceutical compositions containing such compounds, and to methods of treating cancer and other disease states associated with unwanted angiogenesis and/or cellular proliferation, such as diabetic retinopathy, neovascular glaucoma, rheumatoid arthritis, and psoriasis, by administering effective amounts of such compounds.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

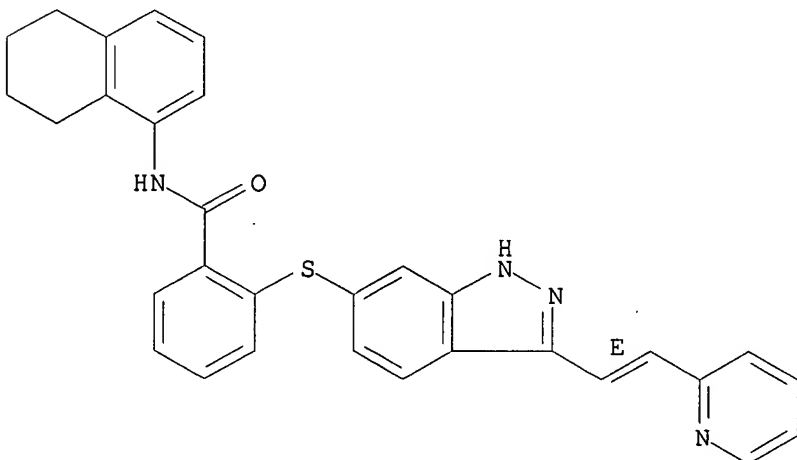
IT 319468-45-6P 319468-46-7P

(prepn. of combinatorial libraries of aryl-substituted indazole derivs. as modulators and inhibitors of protein kinases in the treatment of tumor growth, cellular proliferation, and angiogenesis)

RN 319468-45-6 USPTAFULL

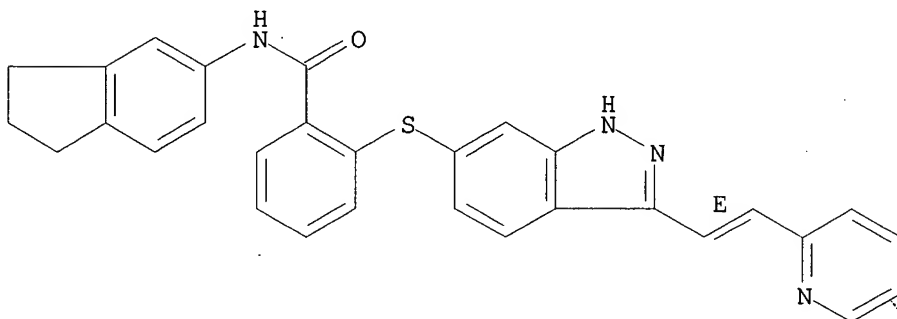
CN Benzamide, 2-[[3-[(1E)-2-(2-pyridinyl)ethenyl]-1H-indazol-6-yl]thio]-N-(5,6,7,8-tetrahydro-1-naphthalenyl)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RN 319468-46-7 USPATFULL  
CN Benzamide, N-(2,3-dihydro-1H-inden-5-yl)-2-[[3-[(1E)-2-(2-pyridinyl)ethenyl]-1H-indazol-6-yl]thio]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



L19 ANSWER 51 OF 64 USPATFULL on STN  
ACCESSION NUMBER: 2003:67777 USPATFULL  
TITLE: Indazole compounds and pharmaceutical compositions for inhibiting protein kinases, and methods for their use  
INVENTOR(S): Kania, Robert Steven, San Diego, CA, United States  
Bender, Steven Lee, Oceanside, CA, United States  
Borchardt, Allen J., San Diego, CA, United States  
Cripps, Stephan James, San Diego, CA, United States  
Palmer, Cynthia Louise, San Diego, CA, United States  
Tempczyk-Russell, Anna Maria, Ramona, CA, United States  
Varney, Michael David, Solana Beach, CA, United States  
Collins, Michael Raymond, San Diego, CA, United States  
PATENT ASSIGNEE(S): Agouron Pharmaceuticals, Inc., San Diego, CA, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6531491	B1	20030311
APPLICATION INFO.:	US 2001-983786		20011025 (9)
RELATED APPLN. INFO.:	Division of Ser. No. US 2000-609335, filed on 30 Jun 2000, now abandoned		

	NUMBER	DATE
PRIORITY INFORMATION:	US 1999-142130P	19990702 (60)
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	GRANTED	
PRIMARY EXAMINER:	Davis, Zinna Northington	
LEGAL REPRESENTATIVE:	Richardson, Peter, Zielinski, Bryan C., Reidy, Joseph F.	
NUMBER OF CLAIMS:	10	
EXEMPLARY CLAIM:	1	
NUMBER OF DRAWINGS:	0 Drawing Figure(s); 0 Drawing Page(s)	
LINE COUNT:	8878	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Indazole compounds that modulate and/or inhibit the activity of certain protein kinases are described. These compounds and pharmaceutical compositions containing them are capable of mediating tyrosine kinase signal transduction and thereby modulate and/or inhibit unwanted cell proliferation. The invention is also directed to the therapeutic or prophylactic use of pharmaceutical compositions containing such compounds, and to methods of treating cancer and other disease states

associated with unwanted angiogenesis and/or cellular proliferation, such as diabetic retinopathy, neovascular glaucoma, rheumatoid arthritis, and psoriasis, by administering effective amounts of such compounds.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

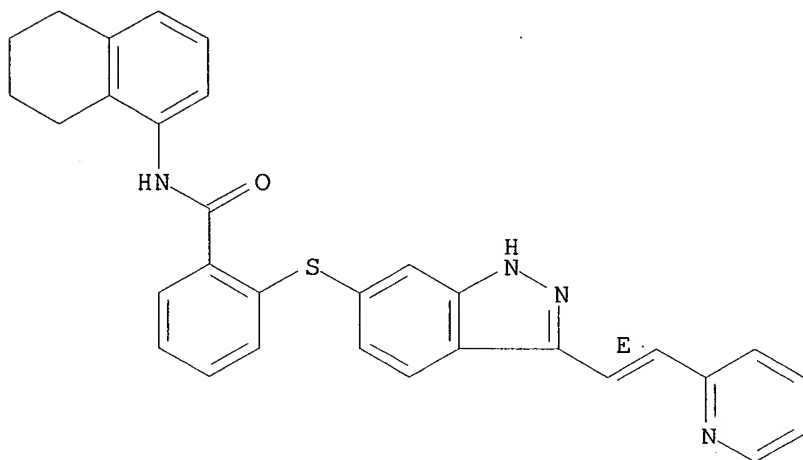
IT 319468-45-6P 319468-46-7P

(prepn. of combinatorial libraries of aryl-substituted indazole derivs. as modulators and inhibitors of protein kinases in the treatment of tumor growth, cellular proliferation, and angiogenesis)

RN 319468-45-6 USPATFULL

CN Benzamide, 2-[[3-[(1E)-2-(2-pyridinyl)ethenyl]-1H-indazol-6-yl]thio]-N-(5,6,7,8-tetrahydro-1-naphthalenyl)- (9CI) (CA INDEX NAME)

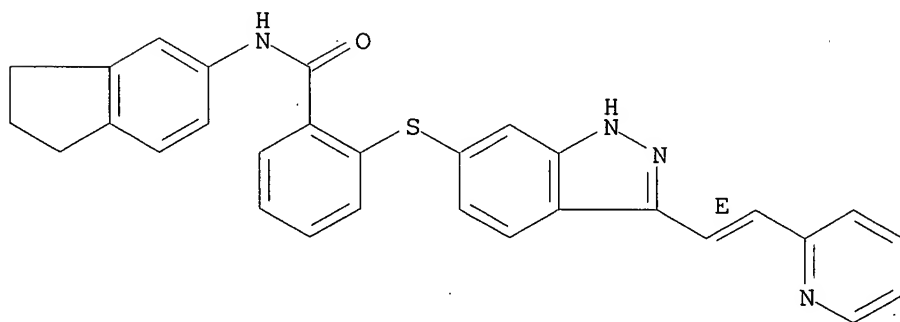
Double bond geometry as shown.



RN 319468-46-7 USPATFULL

CN Benzamide, N-(2,3-dihydro-1H-inden-5-yl)-2-[[3-[(1E)-2-(2-pyridinyl)ethenyl]-1H-indazol-6-yl]thio]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



L19 ANSWER 52 OF 64 USPATFULL on STN

ACCESSION NUMBER: 2002:192157 USPATFULL

TITLE: Indazole derivatives as JNK inhibitors and compositions and methods related thereto

INVENTOR(S): Bhagwat, Shripad S., San Diego, CA, UNITED STATES  
Sato, Yoshitaka, San Diego, CA, UNITED STATES  
Sakata, Steven T., San Diego, CA, UNITED STATES  
Buhr, Chris A., Redwood City, CA, UNITED STATES



Albers, Ronald, La Jolla, CA, UNITED STATES  
 Sapienza, John, Chula Vista, CA, UNITED STATES  
 Plantevin, Veronique, San Diego, CA, UNITED STATES  
 Chao, Qi, San Diego, CA, UNITED STATES  
 Sahasrabudhe, Kiran, San Diego, CA, UNITED STATES  
 Ferri, Rachel, San Diego, CA, UNITED STATES

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2002103229	A1	20020801
APPLICATION INFO.:	US 2001-910950	A1	20010723 (9)

	NUMBER	DATE
PRIORITY INFORMATION:	US 2000-221799P	20000731 (60)
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	APPLICATION	
LEGAL REPRESENTATIVE:	PENNIE AND EDMONDS, 1155 AVENUE OF THE AMERICAS, NEW YORK, NY, 100362711	
NUMBER OF CLAIMS:	87	
EXEMPLARY CLAIM:	1	
LINE COUNT:	12639	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Compounds having activity as selective inhibitors of JNK are disclosed. The compounds of this invention are indazole derivatives having the following structure: ##STR1##

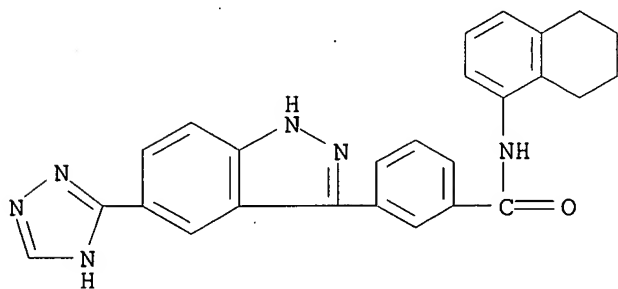
wherein R.sub.1, R.sub.2 and A are as defined herein. Such compounds have utility in the treatment of a wide range of conditions that are responsive to JNK inhibition. Thus, methods of treating such conditions are also disclosed, as are pharmaceutical compositions containing one or more compounds of the above compounds.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 395107-61-6P, N-(5,6,7,8-Tetrahydronaphthyl)-3-[5-(1H-1,2,4-triazol-3-yl)-1H-indazol-3-yl]benzamide  
 (prepn. of indazole derivs. as JNK enzyme inhibitors)

RN 395107-61-6 USPATFULL

CN Benzamide, N-(5,6,7,8-tetrahydro-1-naphthalenyl)-3-[5-(1H-1,2,4-triazol-3-yl)-1H-indazol-3-yl]- (9CI) (CA INDEX NAME)



L19 ANSWER 53 OF 64 USPATFULL on STN

ACCESSION NUMBER: 2002:192132 USPATFULL

TITLE: Amide compounds and pharmaceutical compositions for inhibiting protein kinases, and methods for their use

INVENTOR(S): Bender, Steven Lee, Oceanside, CA, UNITED STATES  
 Bhumralkar, Dilip, San Diego, CA, UNITED STATES  
 Collins, Michael Raymond, San Diego, CA, UNITED STATES  
 Cripps, Stephen James, San Diego, CA, UNITED STATES

Deal, Judith Gail, Wildomar, CA, UNITED STATES  
Jia, Lei, San Diego, CA, UNITED STATES  
Nambu, Mitchell David, San Diego, CA, UNITED STATES  
Palmer, Cynthia Louise, La Mesa, CA, UNITED STATES  
Peng, Zhengwei, San Diego, CA, UNITED STATES  
Varney, Michael David, Solana Beach, CA, UNITED STATES

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2002103203	A1	20020801
	US 6635641	B2	20031021
APPLICATION INFO.:	US 2001-764306	A1	20010119 (9)

	NUMBER	DATE
PRIORITY INFORMATION:	US 2000-177059P	20000121 (60)
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	APPLICATION	
LEGAL REPRESENTATIVE:	Shanks & Herbert, TransPotomac Plaza, Suite 306, 1033 N. Fairfax Street, Alexandria, VA, 22314	
NUMBER OF CLAIMS:	20	
EXEMPLARY CLAIM:	1	
LINE COUNT:	6933	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Amide compounds that modulate and/or inhibit the activity of certain protein kinases are described. These compounds and pharmaceutical compositions containing them are capable of mediating tyrosine kinase signal transduction in order to modulate and/or inhibit unwanted cell proliferation. The invention is also directed to the therapeutic or prophylactic use of pharmaceutical compositions containing such compounds, and to methods of treating cancer as well as other disease states associated with unwanted angiogenesis and/or cellular proliferation, such as diabetic retinopathy, neovascular glaucoma, rheumatoid arthritis, and psoriasis, by administering effective amounts of such compounds.

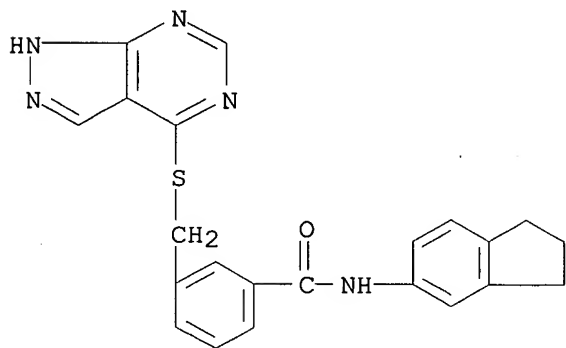
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 351317-89-0P 351318-14-4P 351318-72-4P  
351318-82-6P 351319-54-5P 351319-92-1P  
351320-69-9P

(synthesis of heteroarylbenzamides used for inhibiting protein kinases)

RN 351317-89-0 USPATFULL

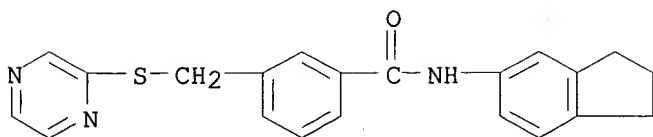
CN Benzamide, N-(2,3-dihydro-1H-inden-5-yl)-3-[(1H-pyrazolo[3,4-d]pyrimidin-4-ylthio)methyl]- (9CI) (CA INDEX NAME)



RN 351318-14-4 USPATFULL

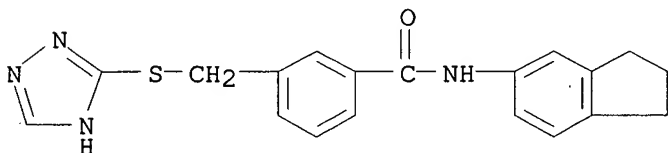
CN Benzamide, N-(2,3-dihydro-1H-inden-5-yl)-3-[(pyrazinylthio)methyl]- (9CI)

(CA INDEX NAME)



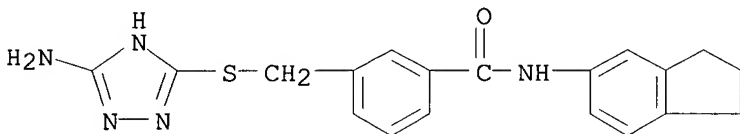
RN 351318-72-4 USPATFULL

CN Benzamide, N-(2,3-dihydro-1H-inden-5-yl)-3-[(1H-1,2,4-triazol-3-ylthio)methyl]- (9CI) (CA INDEX NAME)



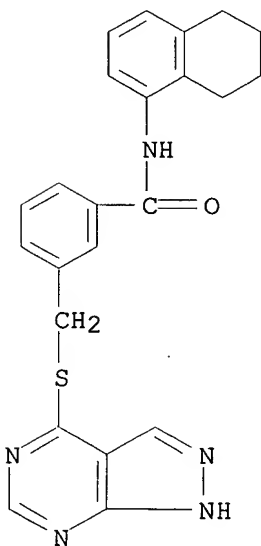
RN 351318-82-6 USPATFULL

CN Benzamide, 3-[[ (5-amino-1H-1,2,4-triazol-3-yl)thio]methyl]-N-(2,3-dihydro-1H-inden-5-yl)- (9CI) (CA INDEX NAME)



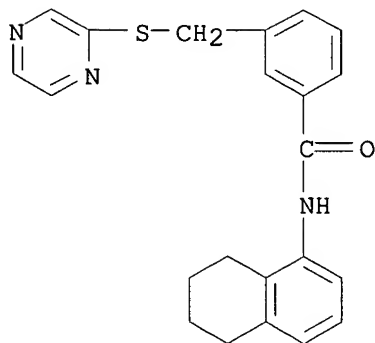
RN 351319-54-5 USPATFULL

CN Benzamide, 3-[(1H-pyrazolo[3,4-d]pyrimidin-4-ylthio)methyl]-N-(5,6,7,8-tetrahydro-1-naphthalenyl)- (9CI) (CA INDEX NAME)



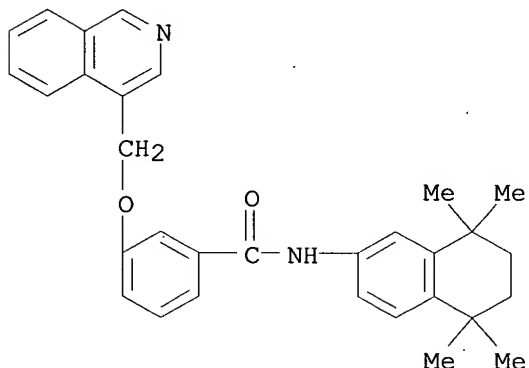
RN 351319-92-1 USPATFULL

CN Benzamide, 3-[(pyrazinylthio)methyl]-N-(5,6,7,8-tetrahydro-1-naphthalenyl)-  
(9CI) (CA INDEX NAME)



RN 351320-69-9 USPATFULL

CN Benzamide, 3-(4-isoquinolinylmethoxy)-N-(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

L19 ANSWER 54 OF 64 USPATFULL on STN

ACCESSION NUMBER: 2002:113065 USPATFULL

TITLE: Naphthalene derivatives

INVENTOR(S): Chenard, Bertrand L., Waterford, CT, UNITED STATES

Macor, John E., Penfield, NY, UNITED STATES

Segelstein, Barbara E., Gales Ferry, CT, UNITED STATES

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2002058811	A1	20020516
APPLICATION INFO.:	US 2001-4990	A1	20011203 (10)
RELATED APPLN. INFO.:	Continuation of Ser. No. US 2001-758074, filed on 10 Jan 2001, PENDING Continuation of Ser. No. US 1995-522349, filed on 15 Sep 1995, ABANDONED A 371 of International Ser. No. WO 1994-US1206, filed on 15 Feb 1994, UNKNOWN Continuation-in-part of Ser. No. US 1993-32042, filed on 16 Mar 1993, ABANDONED		
DOCUMENT TYPE:	Utility		
FILE SEGMENT:	APPLICATION		
LEGAL REPRESENTATIVE:	Paul H. Ginsburg, Pfizer Inc, 5th Floor, 150 East 42nd		

Street, New York, NY, 10017-5755

NUMBER OF CLAIMS: 11  
 EXEMPLARY CLAIM: 1  
 LINE COUNT: 3210

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Compounds of formula (1) where R.sub.1 is of formulae (II), (III), or (IV), or (V); R.sub.2 is --R.sub.4, --O--R.sub.4, --O--S(O).sub.2--R.sub.4, --NR.sub.4R.sub.5, R.sub.4--(CH.sub.2).sub.b--NH(C.dbd.X)--(CH.sub.2).sub.c--, R.sub.4--(CH.sub.2).sub.b--O(C--O)NH--(CH.sub.2).sub.c--(C.dbd.O)NH--, R.sub.4--(C.dbd.O)NH--(C.dbd.O)NH--, --(CH.sub.2).sub.b--NH(C.dbd.X)--(CH.sub.2).sub.c--R.sub.4, R.sub.4--(CH.sub.2).sub.b--O(C.dbd.O)CH.sub.2).sub.c--, --(CH.sub.2).sub.b--O(C.dbd.O)--(CH.sub.2).sub.c--R.sub.4, --NH(C.dbd.X)NH--R.sub.4, R.sub.4--O(C.dbd.O)O--, --O(C.dbd.O)NH--R.sub.4, R.sub.4--O(C.dbd.O)NH--, --(CH.sub.2).sub.b--(C.dbd.O)--(CH.sub.2).sub.c--R.sub.4, --NH--S(O).sub.2--R.sub.4, --C(OH)R.sub.4R.sub.5, --CH(OH)--R.sub.4, --(C.dbd.O)--NR.sub.4, --CN, --NO.sub.2, substituted C.sub.1 to C.sub.6 alkyl, substituted or unsubstituted C.sub.1 to C.sub.6 alkenyl, or substituted or unsubstituted C.sub.1 to C.sub.6 alkynyl, said substituted moieties substituted with a moiety of the formulae --R.sub.4, --R.sub.4R.sub.5, --O--R.sub.4, or --S(O).sub.d--R.sub.4; R.sub.3 is hydrogen, C.sub.1 to C.sub.6 alkyl, C.sub.1 to C.sub.6 alkylaryl, or aryl; R.sub.4 and R.sub.5 are each independently (XV), (XVI), (XVII), (XVIII) hydrogen, --CF.sub.3, C.sub.1 to C.sub.6 alkyl, C.sub.1 to C.sub.6 alkylaryl, with the proviso that when R.sub.2 is --R.sub.4 or --OR.sub.4, R.sub.4 is not hydrogen or C.sub.1 to C.sub.6 alkyl. These compounds are useful psychotherapeutics and are potent serotonin (5-HT.sub.1) agonists and antagonists and may be used in the treatment of depression, anxiety, eating disorders, obesity, drug abuse, cluster headache, migraine, pain and chronic paroxysmal hemicrania and headache associated with vascular disorders, and other disorders arising from deficient serotonergic neurotransmission. The compounds can also be used as centrally acting antihypertensives and vasodilators.

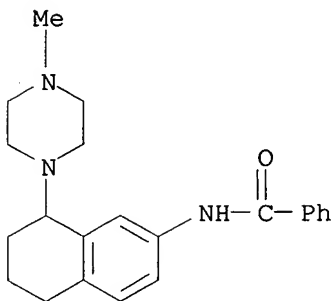
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 163498-81-5P

(prepn. of heterocyclylnaphthalene derivs. as serotonin 5-HT<sub>1</sub> agonists and antagonists)

RN 163498-81-5 USPTFULL

CN Benzamide, N-[5,6,7,8-tetrahydro-8-(4-methyl-1-piperazinyl)-2-naphthalenyl]- (9CI) (CA INDEX NAME)

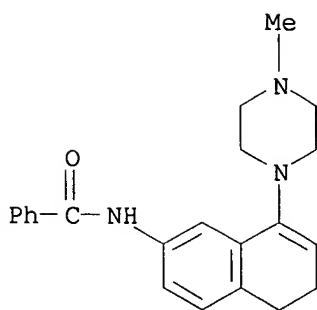


IT 163465-77-8P

(prepn. of heterocyclylnaphthalene derivs. as serotonin 5-HT<sub>1</sub> agonists and antagonists)

RN 163465-77-8 USPTFULL

CN Benzamide, N-[5,6-dihydro-8-(4-methyl-1-piperazinyl)-2-naphthalenyl]- (9CI) (CA INDEX NAME)



L19 ANSWER 55 OF 64 USPATFULL on STN  
 ACCESSION NUMBER: 2001:95497 USPATFULL  
 TITLE: Pyrazinyl-substituted naphthalene derivatives  
 INVENTOR(S): Chenard, Bertrand L., Waterford, CT, United States  
 Macor, John E., Penfield, NY, United States  
 Segelstein, Barbara E., Gales Ferry, CT, United States

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2001004669	A1	20010621
APPLICATION INFO.:	US 2001-758074	A1	20010110 (9)
RELATED APPLN. INFO.:	Continuation of Ser. No. US 1995-522349, filed on 15 Sep 1995, ABANDONED A 371 of International Ser. No. WO 1994-US1206, filed on 15 Feb 1994, UNKNOWN Continuation-in-part of Ser. No. US 1993-32042, filed on 16 Mar 1993, ABANDONED		
DOCUMENT TYPE:	Utility		
FILE SEGMENT:	APPLICATION		
LEGAL REPRESENTATIVE:	Paul H. Ginsburg, Pfizer Inc., 20th Floor, 235 East 42nd Street, New York, NY, 10017-5755		
NUMBER OF CLAIMS:	11		
EXEMPLARY CLAIM:	1		
LINE COUNT:	3213		
CAS INDEXING IS AVAILABLE FOR THIS PATENT.			
AB	Compounds of the formula ##STR1##		

where

R.sub.1 is of the formulae ##STR2##

R.sub.2 is --R.sub.4, --O--R.sub.4, --O--S(O).sub.2--R.sub.4, --NR.sub.4R.sub.5, R.sub.4--(CH.sub.2).sub.b--NH(C.dbd.X)--(CH.sub.2)--, R.sub.4--(CH.sub.2).sub.b--O(C.dbd.O)NH--(CH.sub.2).sub.c--(C.dbd.O)NH--, R.sub.4(C.dbd.O)NH--(C.dbd.O)NH--, --(CH.sub.2).sub.b--NH(C.dbd.X)--(CH.sub.2).sub.c--R.sub.4, R.sub.4--(CH.sub.2).sub.b--O(C.dbd.)--(CH.sub.2).sub.c--R.sub.4, --(CH.sub.2).sub.b--O(C.dbd.O)--(CH.sub.2).sub.c--R.sub.4, --NH(C.dbd.X)NH--R.sub.4, R.sub.4--O(C.dbd.O)O--O(C.dbd.)NH--R.sub.4, R.sub.4--O(C.dbd.O)NH--(CH.sub.2).sub.b--(C.dbd.O)--(CH.sub.2).sub.c--R.sub.4, --NH--S(O).sub.2--R.sub.4, --C(OH)R.sub.4R.sub.5, --CH(OH)--R.sub.4, --(C.dbd.O)--NR.sub.4R.sub.5, --CN, --NO.sub.2, substituted C.sub.1 to C.sub.6 alkyl, substituted or unsubstituted C.sub.1 to C.sub.6 alkenyl, or substituted or unsubstituted C.sub.1 to C.sub.6 alkynyl, said substituted moieties substituted with a moiety of the formulae --R.sub.4, --R.sub.4R.sub.5, --O--R.sub.4, or --S(O).sub.d--R.sub.4. These compounds are useful psychotherapeutics and are potent serotonin (5-HT.sub.1) agonists and antagonists and may be used in the treatment of depression, anxiety,

eating disorders, obesity, drug abuse, cluster headache, migraine, pain and chronic paroxysmal hemicrania and headache associated with vascular disorders, and other disorders arising from deficient serotonergic neurotransmission. The compounds can also be used as centrally acting antihypertensives and vasodilators.

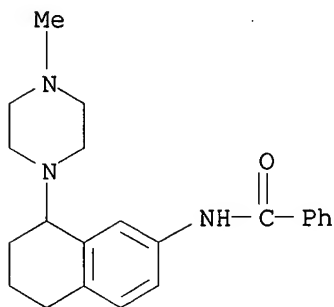
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 163498-81-5P

(prepn. of heterocyclynaphthalene derivs. as serotonin 5-HT1 agonists and antagonists)

RN 163498-81-5 USPATFULL

CN Benzamide, N-[5,6,7,8-tetrahydro-8-(4-methyl-1-piperazinyl)-2-naphthalenyl]- (9CI) (CA INDEX NAME)

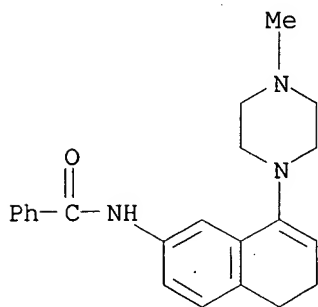


IT 163465-77-8P

(prepn. of heterocyclynaphthalene derivs. as serotonin 5-HT1 agonists and antagonists)

RN 163465-77-8 USPATFULL

CN Benzamide, N-[5,6-dihydro-8-(4-methyl-1-piperazinyl)-2-naphthalenyl]- (9CI) (CA INDEX NAME)



L19 ANSWER 56 OF 64 USPATFULL on STN  
 ACCESSION NUMBER: 2001:235260 USPATFULL  
 TITLE: Potassium channel inhibitors  
 INVENTOR(S): Gross, Michael F., Durham, NC, United States  
 Castle, Neil A., Cary, NC, United States  
 PATENT ASSIGNEE(S): ICAGEN, Inc., Durham, NC, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6333337	B1	20011225
APPLICATION INFO.:	US 1999-229315		19990113 (9)

	NUMBER	DATE
PRIORITY INFORMATION:	US 1998-72719P	19980127 (60)
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	GRANTED	
PRIMARY EXAMINER:	O'Sullivan, Peter	
LEGAL REPRESENTATIVE:	Banner & Witcoff, Ltd.	
NUMBER OF CLAIMS:	42	
EXEMPLARY CLAIM:	1	
LINE COUNT:	2200	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Compounds useful as potassium channel inhibitors and especially useful for the treatment of cardiac arrhythmias and cell proliferative disorders are described.

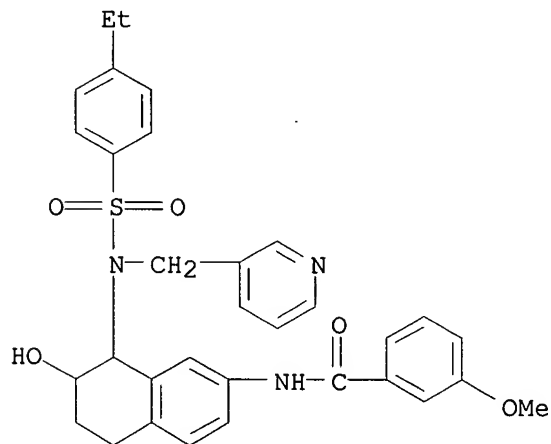
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 232265-96-2P

(prepn. of N-(aminotetrahydronaphthyl)arylsulfonamides and analogs as potassium channel blockers)

RN 232265-96-2 USPATFULL

CN Benzamide, N-[8-[[[(4-ethylphenyl)sulfonyl](3-pyridinylmethyl)amino]-5,6,7,8-tetrahydro-7-hydroxy-2-naphthalenyl]-3-methoxy- (9CI) (CA INDEX NAME)



L19 ANSWER 57 OF 64 USPATFULL on STN

ACCESSION NUMBER: 2001:55970 USPATFULL

TITLE: 4-Aryl-1-(indanmethyl, dihydrobenzofuranmethyl or dihydrobenzothiophene-methyl) piperazines

INVENTOR(S): Perregaard, Jens Kristian, Jaegerspris, Denmark  
Stenberg, John Willie, Copenhagen, Denmark  
Hansen, Bitten, Koge, Denmark

PATENT ASSIGNEE(S): H. Lundbeck A/S, Copenhagen-Valby, Denmark (non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6218394	B1	20010417
APPLICATION INFO.:	US 1996-999868		19961209 (8)
RELATED APPLN. INFO.:	Continuation of Ser. No. WO 1995-DK230, filed on 8 Jun 1995		

NUMBER	DATE
-----	



PRIORITY INFORMATION: DK 1994-649 19940608  
 DOCUMENT TYPE: Utility  
 FILE SEGMENT: Granted  
 PRIMARY EXAMINER: Ford, John M.  
 LEGAL REPRESENTATIVE: Darby & Darby  
 NUMBER OF CLAIMS: 11  
 EXEMPLARY CLAIM: 1  
 LINE COUNT: 1524

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB 4-Aryl-1-(indanmethyl, dihydrobenzofuramethyl or dihydrobenzothiophenemethyl) piperidine, -tetrahydropyridine or -piperazine compounds of general formula (I) ##STR1##

wherein one of X and Y is CH<sub>2</sub>, and the other one is CH<sub>2</sub>, O or S; Z is N, C, CH or COH; Ar is an optionally substituted aryl group; R<sup>sup.1</sup> is hydrogen, alkyl, cycloalkyl, cycloalkylalkyl, aryl, arylalkyl, acyl, thioacyl, alkylsulfonyl, trifluoromethylsulfonyl, arylsulfonyl, a group R<sup>sup.9</sup> VCO-- where V is O or S and R<sup>sup.9</sup> is alkyl or aryl, or a group R<sup>sup.10</sup> R<sup>sup.11</sup> NCO-- or R<sup>sup.10</sup> R<sup>sup.11</sup> NCS-- wherein R<sup>sup.10</sup> and R<sup>sup.11</sup> are hydrogen, alkyl or aryl, or R<sup>sup.10</sup> and R<sup>sup.11</sup> are linked to form a ring; R<sup>sup.2</sup> is hydrogen, alkyl, cycloalkyl or cycloalkylalkyl; or R<sup>sup.1</sup> and R<sup>sup.2</sup> are linked to form a ring; R<sup>sup.3</sup> -R<sup>sup.5</sup> are hydrogen, halogen, alkyl, alkylcarbonyl, phenylcarbonyl, alkoxy, alkylthio, hydroxy, alkylsulfonyl, cyano, trifluoromethyl, cycloalkyl, cycloalkylalkyl or nitro; R<sup>sup.6</sup> and R<sup>sup.7</sup> are hydrogen or alkyl or they are linked to constitute a 3-7-membered ring; R<sup>sup.8</sup> is hydrogen or alkyl; have effects at central serotonergic receptors and are therefore useful in the treatment of certain psychic and neurologic disorders.

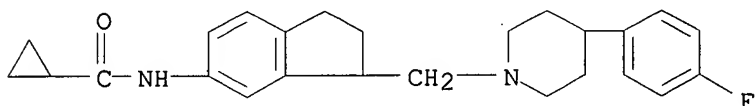
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 174776-05-7P 174776-06-8P

(prepn. of N-(indanylmethyl)piperidines and -piperazines and analogs as 5-HT1A and/or 5-HT2A ligands)

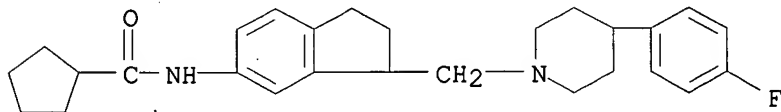
RN 174776-05-7 USPATFULL

CN Cyclopropanecarboxamide, N-[3-[[4-(4-fluorophenyl)-1-piperidinyl]methyl]-2,3-dihydro-1H-inden-5-yl]- (9CI) (CA INDEX NAME)



RN 174776-06-8 USPATFULL

CN Cyclopentanecarboxamide, N-[3-[[4-(4-fluorophenyl)-1-piperidinyl]methyl]-2,3-dihydro-1H-inden-5-yl]- (9CI) (CA INDEX NAME)



L19 ANSWER 58 OF 64 USPATFULL on STN

ACCESSION NUMBER: 1998:17308 USPATFULL

TITLE: Amidine derivatives and platelet aggregation inhibitor containing the same

INVENTOR(S): Yamashita, Hiroyuki, Chiba-ken, Japan  
 Okumura, Kunio, Chiba-ken, Japan

Shimazaki, Toshiyuki, Chiba-ken, Japan  
 Kanematsu, Akihito, Aichi-ken, Japan  
 Aoki, Yoji, Chiba-ken, Japan  
 Nakajima, Yuki, Chiba-ken, Japan  
 Yazawa, Kouhei, Chiba-ken, Japan  
 Kibayashi, Kenji, Chiba-ken, Japan

PATENT ASSIGNEE(S): Mitsui Toatsu Chemicals, Inc., Tokyo, Japan (non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5719145		19980217
APPLICATION INFO.:	US 1996-699346		19960819 (8)
DOCUMENT TYPE:	Utility		
FILE SEGMENT:	Granted		
PRIMARY EXAMINER:	Shah, Mukund J.		
ASSISTANT EXAMINER:	Kifle, Bruce		
LEGAL REPRESENTATIVE:	Burns, Doane, Swecker & Mathis		
NUMBER OF CLAIMS:	20		
EXEMPLARY CLAIM:	1		
LINE COUNT:	2642		

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The invention relates to a substituted amidine derivative which has an excellent platelet aggregation inhibiting action on the basis of fibrinogen antagonism and is particularly excellent in effectiveness on oral administration, and the platelet aggregation inhibitor containing the substituted amidine derivative of the invention as an effective ingredient is effective for prevention and treatment of thrombosis, and restenosis or reocclusion after percutaneous transluminal coronary angioplasty or percutaneous transluminal coronary recanalization.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 188349-90-8P 188349-91-9P 188350-01-8P

188350-02-9P 188350-03-0P 188350-04-1P

188350-06-3P 188350-07-4P 188350-10-9P

188350-11-0P 188350-27-8P 188350-28-9P

188350-30-3P 188350-33-6P 188350-34-7P

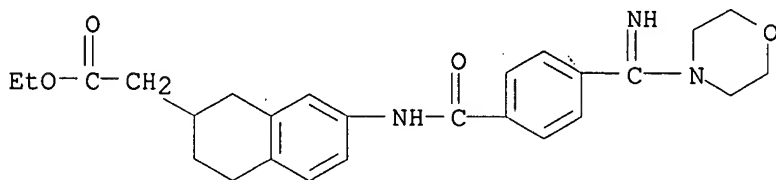
188350-36-9P 188350-38-1P 188350-44-9P

188350-46-1P

(prepn. of amidine derivs. as platelet aggregation inhibitors)

RN 188349-90-8 USPATFULL

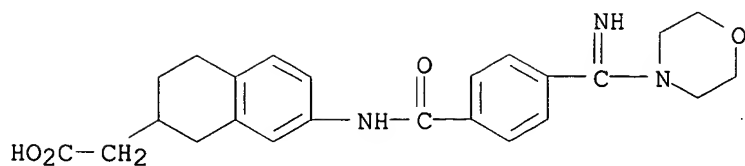
CN 2-Naphthaleneacetic acid, 1,2,3,4-tetrahydro-7-[[4-(imino-4-morpholinylmethyl)benzoyl]amino]-, ethyl ester, monohydrochloride (9CI)  
 (CA INDEX NAME)



HCl

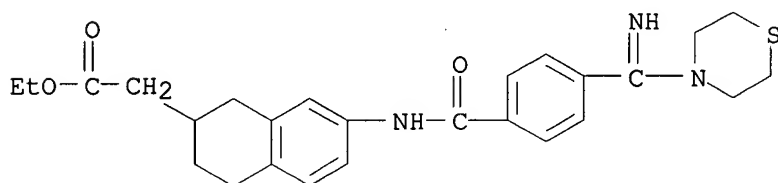
RN 188349-91-9 USPATFULL

CN 2-Naphthaleneacetic acid, 1,2,3,4-tetrahydro-7-[[4-(imino-4-morpholinylmethyl)benzoyl]amino]-, monohydrochloride (9CI) (CA INDEX NAME)



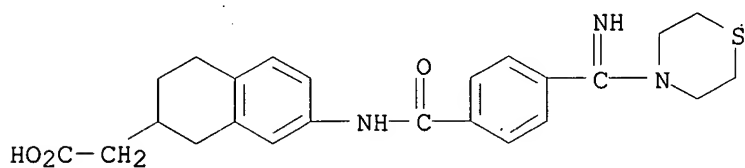
● HCl

RN 188350-01-8 USPATFULL  
 CN 2-Naphthaleneacetic acid, 1,2,3,4-tetrahydro-7-[[4-(imino-4-thiomorpholinylmethyl)benzoyl]amino]-, ethyl ester, monohydrochloride (9CI) (CA INDEX NAME)



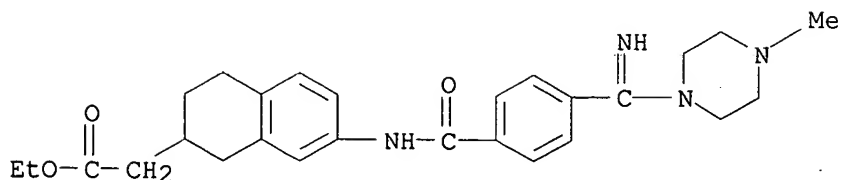
● HCl

RN 188350-02-9 USPATFULL  
 CN 2-Naphthaleneacetic acid, 1,2,3,4-tetrahydro-7-[[4-(imino-4-thiomorpholinylmethyl)benzoyl]amino]-, monohydrochloride (9CI) (CA INDEX NAME).



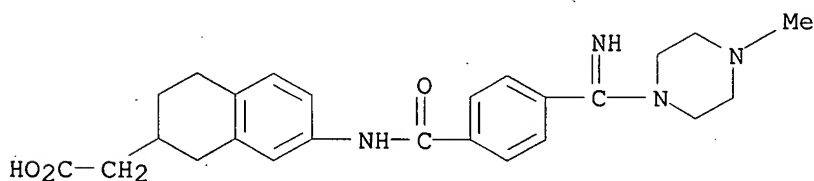
● HCl

RN 188350-03-0 USPATFULL  
 CN 2-Naphthaleneacetic acid, 1,2,3,4-tetrahydro-7-[[4-[imino(4-methyl-1-piperazinyl)methyl]benzoyl]amino]-, ethyl ester, dihydrochloride (9CI) (CA INDEX NAME)



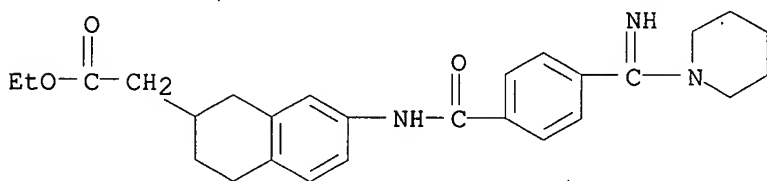
● 2 HCl

RN 188350-04-1 USPATFULL  
 CN 2-Naphthaleneacetic acid, 1,2,3,4-tetrahydro-7-[[4-[imino(4-methyl-1-piperazinyl)methyl]benzoyl]amino]-, dihydrochloride (9CI) (CA INDEX NAME)



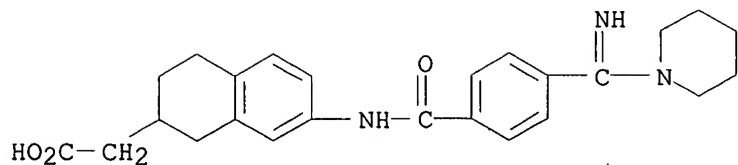
● 2 HCl

RN 188350-06-3 USPATFULL  
 CN 2-Naphthaleneacetic acid, 1,2,3,4-tetrahydro-7-[[4-(imino-1-piperidinylmethyl)benzoyl]amino]-, ethyl ester, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

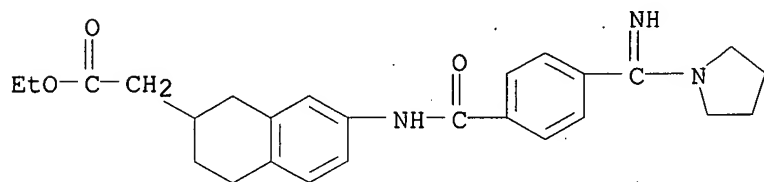
RN 188350-07-4 USPATFULL  
 CN 2-Naphthaleneacetic acid, 1,2,3,4-tetrahydro-7-[[4-(imino-1-piperidinylmethyl)benzoyl]amino]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 188350-10-9 USPATFULL

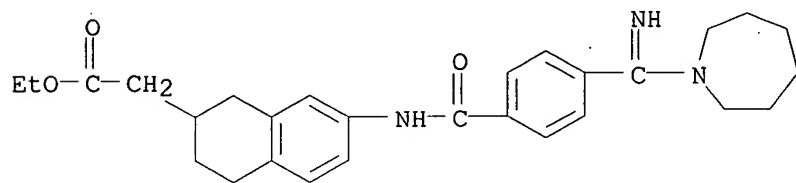
CN 2-Naphthaleneacetic acid, 1,2,3,4-tetrahydro-7-[[4-(imino-1-pyrrolidinylmethyl)benzoyl]amino]-, ethyl ester, monohydrochloride (9CI)  
(CA INDEX NAME)



● HCl

RN 188350-11-0 USPATFULL

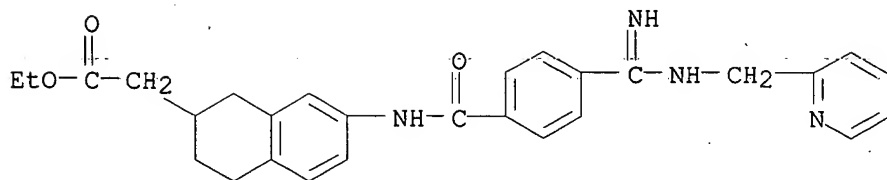
CN 2-Naphthaleneacetic acid, 7-[[4-[(hexahydro-1H-azepin-1-yl)iminomethyl]benzoyl]amino]-1,2,3,4-tetrahydro-, ethyl ester, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

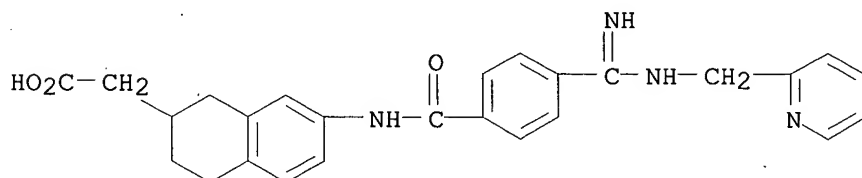
RN 188350-27-8 USPATFULL

CN 2-Naphthaleneacetic acid, 1,2,3,4-tetrahydro-7-[[4-[imino[(2-pyridinylmethyl)amino]methyl]benzoyl]amino]-, ethyl ester, dihydrochloride (9CI) (CA INDEX NAME)



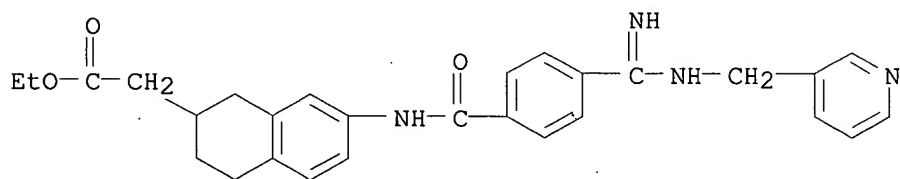
● 2 HCl

RN 188350-28-9 USPATFULL  
 CN 2-Naphthaleneacetic acid, 1,2,3,4-tetrahydro-7-[[4-[imino[(2-pyridinylmethyl)amino]methyl]benzoyl]amino]-, dihydrochloride (9CI) (CA INDEX NAME)



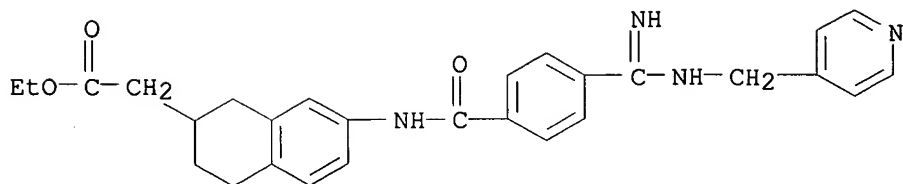
● 2 HCl

RN 188350-30-3 USPATFULL  
 CN 2-Naphthaleneacetic acid, 1,2,3,4-tetrahydro-7-[[4-[imino[(3-pyridinylmethyl)amino]methyl]benzoyl]amino]-, ethyl ester, dihydrochloride (9CI) (CA INDEX NAME)



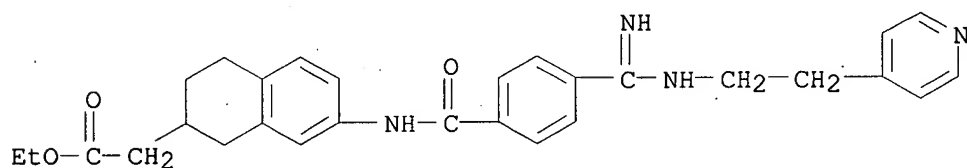
● 2 HCl

RN 188350-33-6 USPATFULL  
 CN 2-Naphthaleneacetic acid, 1,2,3,4-tetrahydro-7-[[4-[imino[(4-pyridinylmethyl)amino]methyl]benzoyl]amino]-, ethyl ester, dihydrochloride (9CI) (CA INDEX NAME)



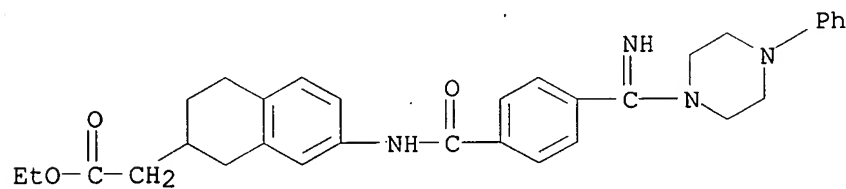
● 2 HCl

RN 188350-34-7 USPATFULL  
 CN 2-Naphthaleneacetic acid, 1,2,3,4-tetrahydro-7-[[4-[imino[[2-(4-pyridinyl)ethyl]amino]methyl]benzoyl]amino]-, ethyl ester, dihydrochloride (9CI) (CA INDEX NAME)



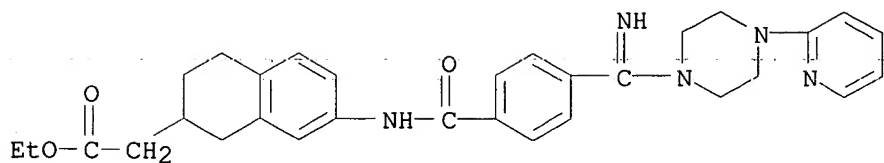
● 2 HCl

RN 188350-36-9 USPATFULL  
 CN 2-Naphthaleneacetic acid, 1,2,3,4-tetrahydro-7-[[4-[imino(4-phenyl-1-piperazinyl)methyl]benzoyl]amino]-, ethyl ester, dihydrochloride (9CI) (CA INDEX NAME)



● 2 HCl

RN 188350-38-1 USPATFULL  
 CN 2-Naphthaleneacetic acid, 1,2,3,4-tetrahydro-7-[[4-[imino[4-(2-pyridinyl)-1-piperazinyl]methyl]benzoyl]amino]-, ethyl ester, trihydrochloride (9CI) (CA INDEX NAME)

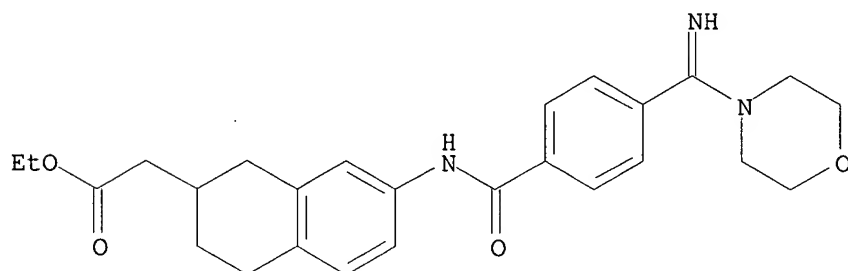


● 3 HCl

RN 188350-44-9 USPATFULL

CN 2-Naphthaleneacetic acid, 1,2,3,4-tetrahydro-7-[[4-(imino-4-morpholinylmethyl)benzoyl]amino]-, ethyl ester, monohydrochloride, (-)-(9CI) (CA INDEX NAME)

Rotation (-).

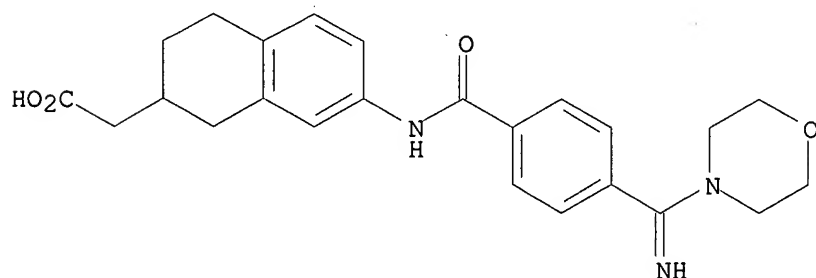


● HCl

RN 188350-46-1 USPATFULL

CN 2-Naphthaleneacetic acid, 1,2,3,4-tetrahydro-7-[[4-(imino-4-morpholinylmethyl)benzoyl]amino]-, monohydrochloride, (-)-(9CI) (CA INDEX NAME)

Rotation (-).



HCl

L19 ANSWER 59 OF 64 USPATFULL on STN

ACCESSION NUMBER: 97:7930 USPATFULL

TITLE: Compositions containing sertraline and a 5-HT.sub.1D

Searched by Barb O'Bryen, STIC 308-4291



receptor agonist or antagonist  
 INVENTOR(S): Howard, Harry R., New York, NY, United States  
 Macor, John E., New York, NY, United States  
 Chenard, Bertrand L., New York, NY, United States  
 Sprouse, Jeffrey S., New York, NY, United States  
 Schulz, David W., New York, NY, United States  
 PATENT ASSIGNEE(S): Pfizer Inc., New York, NY, United States (U.S.  
 corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5597826		19970128
APPLICATION INFO.:	US 1994-306230		19940914 (8)
DOCUMENT TYPE:	Utility		
FILE SEGMENT:	Granted		
PRIMARY EXAMINER:	Acquah, Samuel A.		
LEGAL REPRESENTATIVE:	Richardson, Peter C., Ginsburg, Paul H., Butterfield, Garth		
NUMBER OF CLAIMS:	13		
EXEMPLARY CLAIM:	1		
LINE COUNT:	3659		

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention relates to novel compositions containing the serotonin selective re-uptake inhibitor (SSRI), preferably (1S-cis)-4-(3,4-dichlorophenyl)-1,2,3,4-tetrahydro-N-methyl-1-naphthalenamine, and an agonist or antagonist of the serotonin 1 (5-HT.sub.1) receptor and to the use of such compositions for treating or preventing a condition selected from mood disorders, including depression, seasonal affective disorders and dysthymia, anxiety disorders including generalized anxiety disorder and panic disorder; agoraphobia, avoidant personality disorder; social phobia; obsessive compulsive disorder; post-traumatic stress disorder; memory disorders including dementia, amnesic disorders and age-associated memory impairment; disorders of eating behavior, including anorexia nervosa and bulimia nervosa; obesity; cluster headache; migraine; pain; Alzheimer's disease; chronic paroxysmal hemicrania; headache associated with vascular disorders; Parkinson's disease, including dementia in Parkinson's disease, neuroleptic-induced parkinsonism and tardive dyskinesias; endocrine disorders such as hyperprolactinaemia; vasospasm (particularly in the cerebral vasculature); hypertension; disorders in the gastrointestinal tract where changes in motility and secretion are involved; sexual dysfunction, including premature ejaculation; and chemical dependencies.

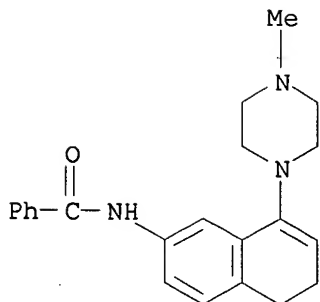
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 163465-77-8P

(prepn. of piperazinylnaphthalene derivs. as central nervous system agent for use in combination with sertraline)

RN 163465-77-8 USPATFULL

CN Benzamide, N-[5,6-dihydro-8-(4-methyl-1-piperazinyl)-2-naphthalenyl]-(9CI) (CA INDEX NAME)

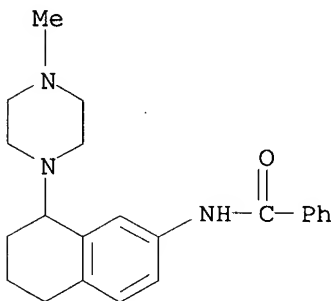


IT 163498-81-5P

(prepn. of piperazinylnaphthalene derivs. as central nervous system agent for use in combination with sertraline)

RN 163498-81-5 USPATFULL

CN Benzamide, N-[5,6,7,8-tetrahydro-8-(4-methyl-1-piperazinyl)-2-naphthalenyl]- (9CI) (CA INDEX NAME)



L19 ANSWER 60 OF 64 USPATFULL on STN

ACCESSION NUMBER: 93:44387 USPATFULL

TITLE: Aromatic carboxamides

INVENTOR(S): Klaus, Michael, Weil/Rhein, Germany, Federal Republic of  
Mohr, Peter, Basel, Switzerland

PATENT ASSIGNEE(S): Hoffmann-La Roche Inc., Nutley, NJ, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5216153		19930601
APPLICATION INFO.:	US 1992-852607		19920317 (7)
RELATED APPLN. INFO.:	Division of Ser. No. US 1990-551831, filed on 12 Jul 1990, now patented, Pat. No. US 5128470		

	NUMBER	DATE
PRIORITY INFORMATION:	CH 1989-2818	19890728
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	Granted	
PRIMARY EXAMINER:	Gerstl, Robert	
LEGAL REPRESENTATIVE:	Gould, George M., Epstein, William H., Pokras, Bruce A.	
NUMBER OF CLAIMS:	20	
EXEMPLARY CLAIM:	1	
LINE COUNT:	552	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Compounds of the general formula ##STR1## wherein R.sup.1 is hydrogen, halogen or OR.sup.5 ; R.sup.2 is hydrogen, lower-alkyl lower-alkoxy or halogen; R.sup.3 and R.sup.4 each independently are lower-alkyl or taken together are alkylene with 3-5 C atoms in a straight-chain; R.sup.5 is hydrogen, acyl, lower-alkoxycarbonyl, lower-alkyl, amino-lower-alkyl, mono-alkylamino-lower-alkyl di-alkylamino-lower-alkyl or a N-containing 5-8-membered saturated or unsaturated monocyclic heterocyclic ring which is attached via a N atom to lower alkyl; and M signifies --CONH-- or --NHCO--, which can be used as medicaments, e.g., for the treatment of neoplasms and dermatological indications of an inflammatory and allergic nature.

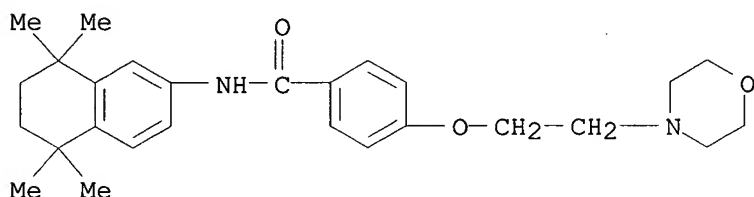
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 134599-37-4P 134599-40-9P

(prepn. of, as anticancer and dermatol. agent)

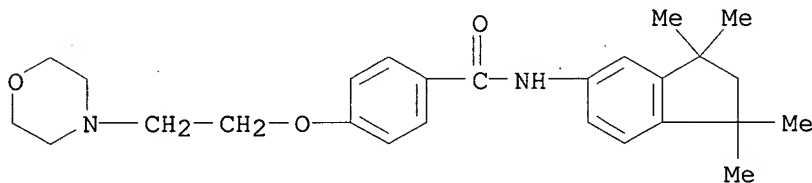
RN 134599-37-4 USPATFULL

CN Benzamide, 4-[2-(4-morpholinyl)ethoxy]-N-(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)- (9CI) (CA INDEX NAME)



RN 134599-40-9 USPATFULL

CN Benzamide, N-(2,3-dihydro-1,1,3,3-tetramethyl-1H-inden-5-yl)-4-[2-(4-morpholinyl)ethoxy]- (9CI) (CA INDEX NAME)



L19 ANSWER 61 OF 64 USPATFULL on STN

ACCESSION NUMBER: 92:55631 USPATFULL

TITLE: Aromatic carboxamides

INVENTOR(S): Klaus, Michael, Weil am Rhein, Germany, Federal Republic of  
Mohr, Peter, Basel, Switzerland

PATENT ASSIGNEE(S): Hoffmann-La Roche Inc., Nutley, NJ, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5128470		19920707
APPLICATION INFO.:	US 1990-551831		19900712 (7)

	NUMBER	DATE
PRIORITY INFORMATION:	CH 1989-2818	19890728
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	Granted	

PRIMARY EXAMINER: Gerstl, Robert  
 LEGAL REPRESENTATIVE: Gould, George M., Epstein, William H., Pokras, Bruce A.  
 NUMBER OF CLAIMS: 34  
 EXEMPLARY CLAIM: 1  
 LINE COUNT: 589

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Compounds of the general formula ##STR1## wherein R.sup.1 is hydrogen, halogen or OR.sup.5 ; R.sup.2 is hydrogen, lower-alkyl, lower-alkoxy or halogen; R.sup.3 and R.sup.4 each independently are lower-alkyl or taken together are alkylene with 3-5 C atoms in a straight-chain; R.sup.5 is hydrogen, acyl, lower-alkoxycarbonyl, lower-alkyl, amino-lower-alkyl, mono-alkylamino-lower-alkyl, di-alkylamino-lower-alkyl or a N-containing 5-8-membered saturated or unsaturated monocyclic heterocyclic ring which is attached via a N atom to lower alkyl; and M signifies --CONH-- or --NHCO--, which can be used as medicaments, e.g., for the treatment of neoplasms and dermatological indications of an inflammatory and allergic nature.

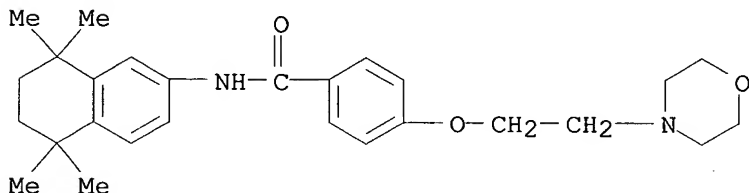
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 134599-37-4P 134599-40-9P

(prepn. of, as anticancer and dermatol. agent)

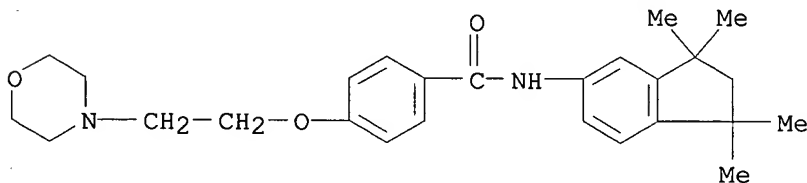
RN 134599-37-4 USPATFULL

CN Benzamide, 4-[2-(4-morpholinyl)ethoxy]-N-(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)- (9CI) (CA INDEX NAME)



RN 134599-40-9 USPATFULL

CN Benzamide, N-(2,3-dihydro-1,1,3,3-tetramethyl-1H-inden-5-yl)-4-[2-(4-morpholinyl)ethoxy]- (9CI) (CA INDEX NAME)



L19 ANSWER 62 OF 64 USPATFULL on STN

ACCESSION NUMBER: 91:106087 USPATFULL

TITLE: Radioiodinated benzovesamicol analogs for cholinergic nerve mapping

INVENTOR(S): Wieland, Donald M., Ann Arbor, MI, United States

Jung, Yong-Woon, Ann Arbor, MI, United States

Van Dort, Marcian E., Ann Arbor, MI, United States

Gildersleeve, David L., Ann Arbor, MI, United States

PATENT ASSIGNEE(S): The University of Michigan, Ann Arbor, MI, United States (U.S. corporation)

NUMBER	KIND	DATE
-----		

PATENT INFORMATION: US 5077035 19911231  
 APPLICATION INFO.: US 1990-523233 19900514 (7)  
 DOCUMENT TYPE: Utility  
 FILE SEGMENT: Granted  
 PRIMARY EXAMINER: Maples, John S.  
 LEGAL REPRESENTATIVE: Rohm & Monsanto  
 NUMBER OF CLAIMS: 15  
 EXEMPLARY CLAIM: 1  
 NUMBER OF DRAWINGS: 4 Drawing Figure(s); 4 Drawing Page(s)  
 LINE COUNT: 701

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Radioiodinated benzovesamicol analogs which selectively localize in presynaptic cholinergic neurons of the general formula: ##STR1## where X is selected from the group consisting of H, OH, NH.sub.2, NHCO-3-[I]-Ph, and a radioactive isotope of iodine, and Y is selected from the group of H and a radioactive isotope of iodine, Y being H is X contains iodine. Illustrative examples include: (.+-.)-trans-2-hydroxy-5-iodo-3-(4-phenylpiperidino)tetralin; (.+-.)-trans-3-hydroxy-5-iodo-2-(4-phenylpiperidino)tetralin; (.+-.)-trans-5-amino-2-hydroxy-8-iodo-3-(4-phenylpiperidino)tetralin; and (.+-.)-trans-2-hydroxy-5-(3-iodobenzamido)-3-(4-phenylpiperidino)tetralin. The novel radioiodinated benzovesamicol analogs may be used as radiopharmaceuticals to visualize cholinergic neurons with conventional imaging devices which are typically found in most nuclear medicine or radiology clinics.

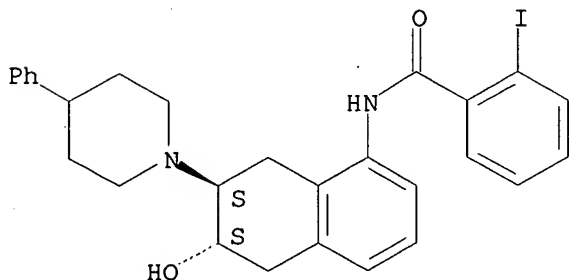
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 139399-87-4D, radioiodine-labeled 139399-88-5D,  
 radioiodine-labeled 139399-89-6D, radioiodine-labeled  
 (brain imaging with cholinergic neuron-selective)

RN 139399-87-4 USPTFULL

CN Benzamide, 2-iodo-N-[5,6,7,8-tetrahydro-6-hydroxy-7-(4-phenyl-1-piperidinyl)-1-naphthalenyl]-, trans- (9CI) (CA INDEX NAME)

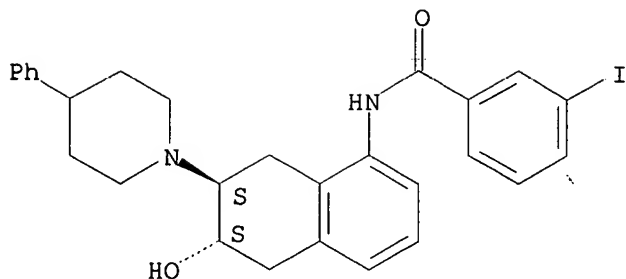
Relative stereochemistry.



RN 139399-88-5 USPTFULL

CN Benzamide, 3-iodo-N-[5,6,7,8-tetrahydro-6-hydroxy-7-(4-phenyl-1-piperidinyl)-1-naphthalenyl]-, trans- (9CI) (CA INDEX NAME)

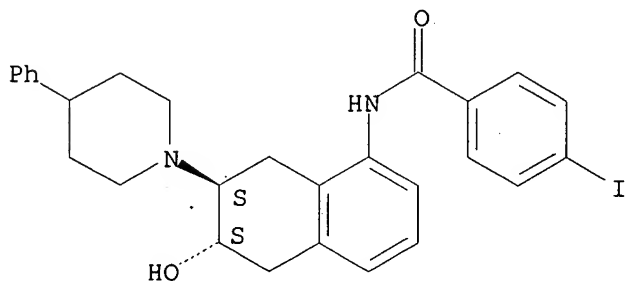
Relative stereochemistry.



RN 139399-89-6 USPATFULL

CN Benzamide, 4-iodo-N-[5,6,7,8-tetrahydro-6-hydroxy-7-(4-phenyl-1-piperidinyl)-1-naphthalenyl]-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



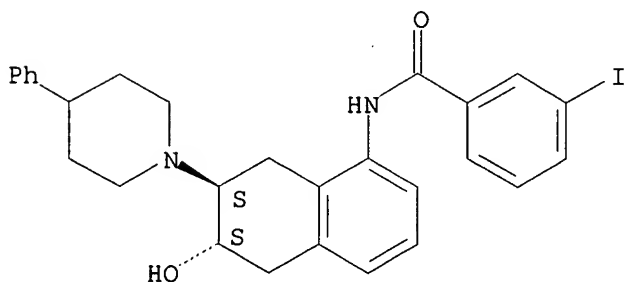
IT 139399-88-5P

(prepn. of, for brain imaging agent prepn.)

RN 139399-88-5 USPATFULL

CN Benzamide, 3-iodo-N-[5,6,7,8-tetrahydro-6-hydroxy-7-(4-phenyl-1-piperidinyl)-1-naphthalenyl]-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



L19 ANSWER 63 OF 64 USPATFULL on STN

ACCESSION NUMBER: 86:15612 USPATFULL

TITLE: Oxoindolizine and oxoindolizinium compounds useful as dyes

INVENTOR(S): Fletcher, Jr., George L., Pittsford, NY, United States  
Bender, Steven L., Pasadena, CA, United States

PATENT ASSIGNEE(S): Wadsworth, Donald H., Rochester, NY, United States  
Eastman Kodak Company, Rochester, NY, United States  
(U.S. corporation)

NUMBER KIND DATE

Searched by Barb O'Bryen, STIC 308-4291

PATENT INFORMATION: US 4577024 19860318  
APPLICATION INFO.: US 1982-412444 19820827 (6)  
RELATED APPLN. INFO.: Continuation-in-part of Ser. No. US 1981-278022, filed  
on 29 Jun 1981, now abandoned  
DOCUMENT TYPE: Utility  
FILE SEGMENT: Granted  
PRIMARY EXAMINER: Jiles, Henry R.  
ASSISTANT EXAMINER: Dentz, Bernard I.  
LEGAL REPRESENTATIVE: Knapp, Richard E.  
NUMBER OF CLAIMS: 15  
EXEMPLARY CLAIM: 1,2  
LINE COUNT: 1398

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Oxoindolizine and oxoindolizinium dyes are novel compounds useful in imaging, such as laser recording and reading. These dyes are formed by (1) the reaction of a cyclopropenone and a pyridine compound, (2) by reaction of (a) color-forming couplers with (b) reaction products from the reaction of cyclopropenones with pyridine compounds, or (3) by condensation reactions of indolizins, indolizinones, and indolizinium ions.

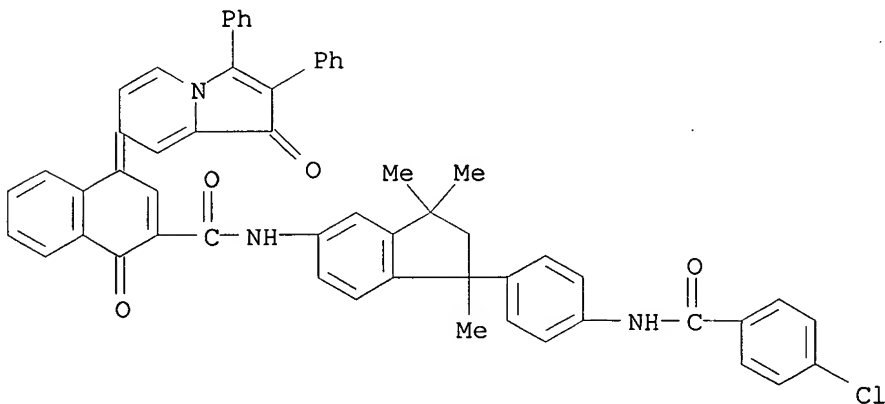
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 86222-18-6 86222-19-7 86222-20-0

(dye, optical absorption max. of)

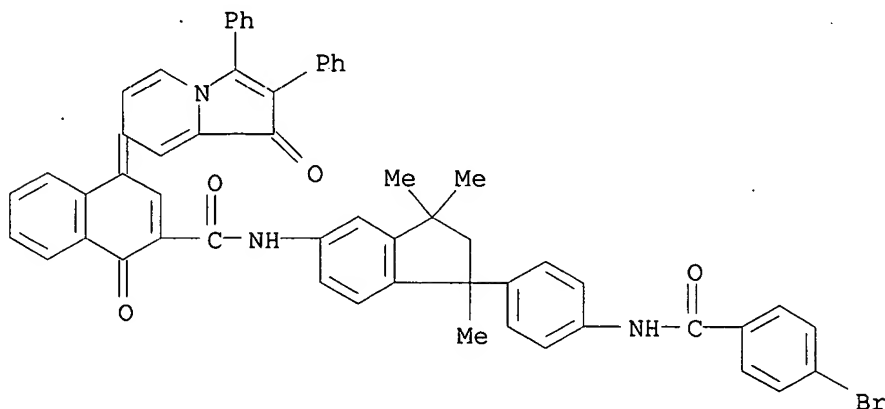
RN 86222-18-6 USPATFULL

CN 2-Naphthalenecarboxamide, N-[1-[4-[(4-chlorobenzoyl)amino]phenyl]-2,3-dihydro-1,3,3-trimethyl-1H-inden-5-yl]-1,4-dihydro-1-oxo-4-(1-oxo-2,3-diphenyl-7(1H)-indolizinylydene)- (9CI) (CA INDEX NAME)



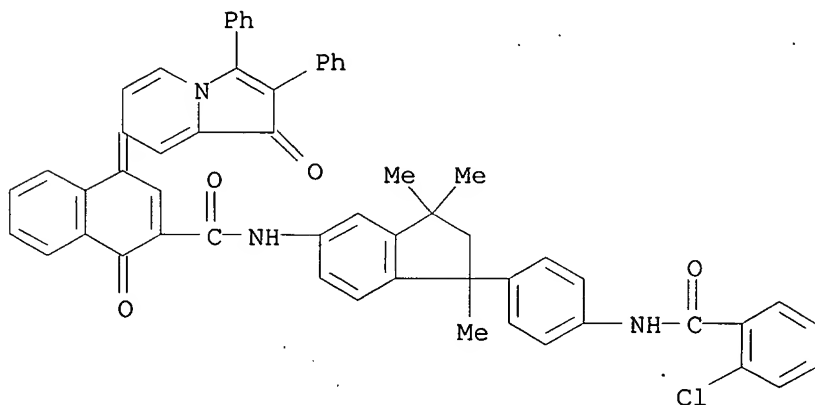
RN 86222-19-7 USPATFULL

CN 2-Naphthalenecarboxamide, N-[1-[4-[(4-bromobenzoyl)amino]phenyl]-2,3-dihydro-1,3,3-trimethyl-1H-inden-5-yl]-1,4-dihydro-1-oxo-4-(1-oxo-2,3-diphenyl-7(1H)-indolizinylydene)- (9CI) (CA INDEX NAME)



RN 86222-20-0 USPATFULL

CN 2-Naphthalenecarboxamide, N-[1-[4-[(2-chlorobenzoyl)amino]phenyl]-2,3-dihydro-1,3,3-trimethyl-1H-inden-5-yl]-1,4-dihydro-1-oxo-4-(1-oxo-2,3-diphenyl-7(1H)-indolizinylidene)- (9CI) (CA INDEX NAME)



L19 ANSWER 64 OF 64 USPATFULL on STN

ACCESSION NUMBER: 79:19049 USPATFULL

TITLE: Color diffusion transfer photographic elements

INVENTOR(S): Deguchi, Hidetaka, Tama, Japan

Takahashi, Jiro, Hachioji, Japan

Kunieda, Naoshi, Tokyo, Japan

PATENT ASSIGNEE(S): Konishiroku Photo Industry Co., Ltd., Tokyo, Japan  
(non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 4149892		19790417
APPLICATION INFO.:	US 1977-810910		19770629 (5)

	NUMBER	DATE
PRIORITY INFORMATION:	JP 1976-78057	19760701
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	Granted	
PRIMARY EXAMINER:	Schilling, Richard L.	
LEGAL REPRESENTATIVE:	Bierman & Bierman	
NUMBER OF CLAIMS:	18	
EXEMPLARY CLAIM:	1	



LINE COUNT: 1102

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB A novel color diffusion transfer photographic element is disclosed which is characterized as having a photosensitive element containing a compound represented by the formula ##STR1## wherein A represents oxygen or a group of the formula .dbd.NR (in which R represents hydroxyl or an amino group); X represents hydrogen or halogen; Z represents a group of nonmetallic atoms necessary to form a first ring and being a 5 to 7-membered nonaromatic hydrocarbon ring which may be fused with a second ring, at least one of said first ring and said second ring having one or more substituents wherein at least one of said substituents is a ballast group which renders said compound nondiffusible during processing with said solution; J represents a divalent group; D represents a dye moiety or a dye precursor moiety; and n represents zero or 1.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

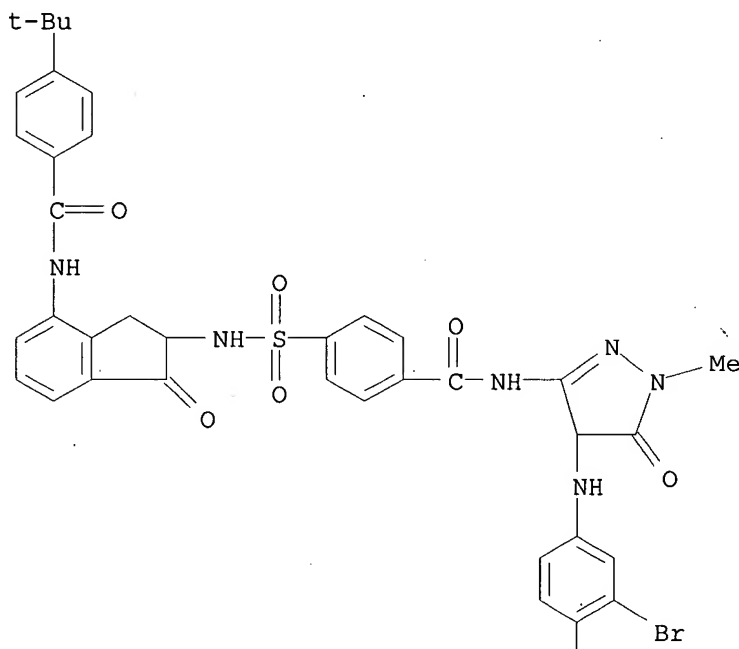
IT 66518-40-9P

(prepn. of)

RN 66518-40-9 USPATFULL

CN Benzamide, N-[2-[[[4-[[[4-[(3-bromo-4-hydroxyphenyl)amino]-4,5-dihydro-1-methyl-5-oxo-1H-pyrazol-3-yl]amino]carbonyl]phenyl]sulfonyl]amino]-2,3-dihydro-1-oxo-1H-inden-4-yl]-4-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

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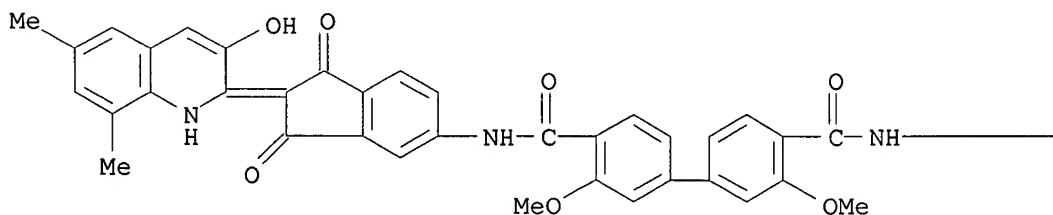
ACCESSION NUMBER: CA65:839h CAOLD  
TITLE: dyes (acid anthraquinone)  
PATENT ASSIGNEE: Geigy, J. R., A.-G.  
DOCUMENT TYPE: Patent

	PATENT NO.	KIND	DATE
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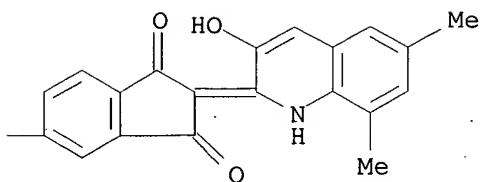
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107744-29-6

IT 107744-29-6  
RN 107744-29-6 CAOLD  
CN 4',4'''-Bi[5-indancarbox-o-anisidide], 2,2''-bis(3-hydroxy-6,8-dimethyl-2(1H)-quinolylidene)-1,1'',3,3'''-tetraoxo- (7CI) (CA INDEX NAME)

PAGE 1-A



PAGE 1-B

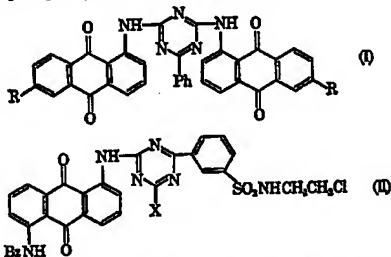


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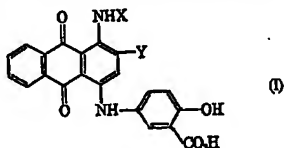
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Vat dyes. CIBA Ltd. (by Max Staebli and Kurt Weber). Swiss. 397,120 (Cl. C 09b), Feb. 15, 1966, Appl. Dec. 31, 1958; 3 pp. Vat dyes contg.  $\geq 1$   $\text{CICH}_2\text{CH}_2\text{NHSO}_2$  group and useful for dyeing cellulose fibers were prepd. Thus, a mixt. of I ( $\text{R} = \text{SO}_2\text{NHCH}_2\text{CH}_2\text{OH}$ ) 12.7,  $\text{PhNO}_2$  120,  $\text{SOCl}_2$  7.2, and  $\text{HCONMe}_2$



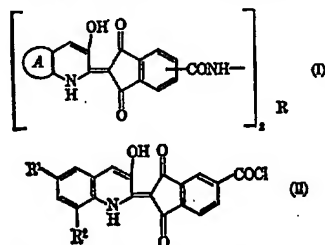
1 part was stirred at 120–5° for 16 hrs., cooled, the ppt. filtered, washed with  $\text{PhNO}_2$  and  $\text{H}_2\text{O}$ , and dried in vacuo to give I ( $\text{R} = \text{SO}_2\text{NHCH}_2\text{CH}_2\text{Cl}$ ), which dyed cotton fast golden yellow shades. Similarly II ( $\text{X} = \text{Cl}$ ) and II ( $\text{X} = 3\text{-CICH}_2\text{CH}_2\text{NHSO}_2\text{C}_6\text{H}_4\text{NH}$ ), yellow on cotton, were prepd.

Metallized anthraquinone dyes. Allied Chemical Corp. Brit. 1,024,394 (Cl. C 09b), March 30, 1966; U.S. Appl. April 26, 1963; 5 pp. Cu and Ni complexes of I give level dyeings of excellent fastness on synthetic polyamide fibers. Thus, con-

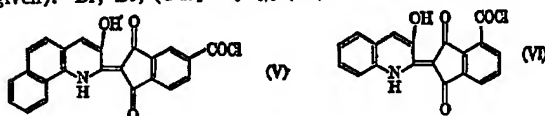


denation of 33 parts 1-methylamino-4-bromoanthraquinone and 2 parts 2,5-HO( $\text{H}_2\text{N}$ ) $\text{C}_6\text{H}_3\text{CO}_2\text{H}$  in boiling BuOH in the presence of KOAc, HOAc and Cu bronze gave I ( $\text{X} = \text{Me}$ ,  $\text{Y} = \text{H}$ ) (II). Metallization in aq. soln. at 90–5° with  $\text{NiCl}_2 \cdot 6\text{H}_2\text{O}$  gave a product contg. 6% Ni which dyed nylon bright greenish-blue shades. A similar product contg. 5.2% Ni gave similar dyeings. Also prepd. are the Cu complex of II (greenish blue) and the Ni complex of I ( $\text{X} = \text{H}$ ,  $\text{Y} = \text{Br}$ ) (steel gray).

3-Hydroxyquinophthalone pigments. CIBA Ltd. Belg. 665,978, Dec. 27, 1965; Swiss. Appl. June 26, 1964; 24 pp. Compds. of the general formula I, where A and R are arylene



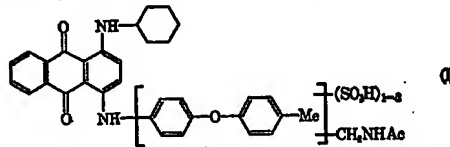
residues, are yellow pigments for coloring plastics, resins, and lacquers. Thus, 7.03 parts II ( $\text{R}^1 = \text{R}^2 = \text{H}$ ), prepd. by heating trimellitic anhydride with 2-methyl-3-hydroxy-4-quinolinecarboxylic acid and treating the product with  $\text{SOCl}_2$  (CA 57, 3420h), was heated with 250 parts  $\text{o-C}_6\text{H}_4\text{Cl}_2$  at 100–10°, then 1.08 parts  $\text{p-C}_6\text{H}_4(\text{NH}_2)_2$  (III) in 50 parts  $\text{o-C}_6\text{H}_4\text{Cl}_2$  at 100–10° and 0.1 part pyridine added, the mixt. heated for 5–6 hrs. at 140–60°, cooled to 100°, the ppt. filtered, washed with  $\text{o-C}_6\text{H}_4\text{Cl}_2$  at 100–20° to a colorless filtrate, then washed with MeOH and with  $\text{H}_2\text{O}$ , and vacuum-dried to a yellow-orange powder, which, finely divided and laminated with poly(vinyl chloride), gave a yellow film. Similarly, other I were prepd. from II ( $\text{R}^1$ ,  $\text{R}^2$ , and diamine given): Br, Br, (4- $\text{H}_2\text{N}$  $\text{C}_6\text{H}_4$ ) (IV); Cl, Cl, IV; Cl, H, III;



Br, H, III; Cl, Me, III; Me, Me, [4,3- $\text{H}_2\text{N}$ (MeO) $\text{C}_6\text{H}_3$ ]. In addn., other I were prepd. from V and III, and from VI and 2,5,1,4- $\text{Cl}_4\text{C}_6\text{H}_2(\text{NH}_2)_2$ .

Acid anthraquinone dye. J. R. Geigy A.-G. Neth. Appl. 6,510,003 (Cl. C 09b), Feb. 4, 1966; Swiss. Appl. Aug. 3, 1964; 16 pp. I was prepd. by several methods. 1-Cyclohexylamino-4-[p-(p-tolylloxy)anilino]anthraquinone (II) (50.2 g.) added at 23–5° to 500 g.  $\text{H}_2\text{SO}_4 \cdot \text{H}_2\text{O}$ , kept 18 hrs. at 23–5°, treated 24

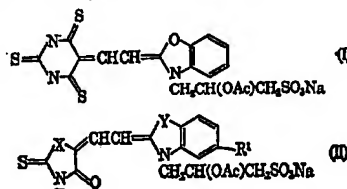
hrs. with 10.25 g.  $\text{AcNHCH}_2\text{OH}$  (III), and poured onto 1200 g. ice and 120 g. NaCl, and the ppt. dissolved in 400 cc.  $\text{H}_2\text{O}$ , ad-



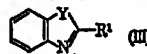
justed with dil. aq. NaOH to pH 7, and salted at 60° with 35 g. NaCl yielded I, dark powder, greenish blue in  $\text{H}_2\text{O}$ ; it dyes wool from a weakly acidic bath greenish blue shades. II (50.2 g.) in 500 g. 90%  $\text{H}_2\text{SO}_4$  treated with stirring at 0–5° with 10.52 g. III, stirred 24 hrs. at 5–10°, and poured onto 1200 g. ice, and the product treated 4 hrs. at 18–20° with 500 g. 5% oleum yielded I. b A similar dye was obtained using 21.04 g. III. MeCN (6.4 g.) in 65 g. 93%  $\text{H}_2\text{SO}_4$  stirred 3 hrs. at 33–5°, cooled to 10–12°, treated during 1 hr. with 7.5 g.  $(\text{ClCH}_2)_2\text{O}$ , stirred 3 hrs. at 10–12° and 4 hrs. at 13–15°, added to 50.2 g. I in 500 g.  $\text{H}_2\text{SO}_4 \cdot \text{H}_2\text{O}$  (stirred previously 18 hrs. at 23–5°), stirred 24 hrs. at 23–5°, and poured onto 1200 g. ice and 120 g. NaCl yielded I. An example for the dyeing of wool flannel with I is given.

F. W. Hoffmann

Sensitized photographic emulsions. Agfa A.-G. (by Johannes Goetze and Helmut Kampfer). Belg. 654,816, April 28, 1965; Ger. Appl. Oct. 26, 1963; 21 pp. I and compds. of the general formula II are prepd.; emulsions contg. 10–60 mg. merocyanine/kg. are prepd. Thus, a mixt. of 6.7 g. III ( $\text{R} = \text{CH}_2\text{CH}(\text{OAc})$ -



$\text{CH}_2\text{SO}_3^-$ ,  $\text{R}^1 = \text{CH}:\text{CHN}(\text{Ac})\text{Ph}$ , 5.2 g. 1-phenyl-3-methyl-



thiohydantoin, 125 ml. EtOH, and 10 ml.  $\text{Et}_3\text{N}$  is heated 50 min. to give II ( $\text{R} = \text{Me}$ ,  $\text{X} = \text{NPh}$ ,  $\text{Y} = \text{O}$ ,  $\text{R}^1 = \text{H}$ ), m. 375° (MeOH-iso-PrOH), sensitization max. 530 m $\mu$ . Similarly prepd. are I, m. 385°, sensitization max. 495 m $\mu$ , and the following II ( $\text{R}$ ,  $\text{X}$ ,  $\text{Y}$ ,  $\text{R}^1$ , m.p.,  $\lambda_{\text{max}}$  in m $\mu$ , and sensitization max. in m $\mu$  given): Et, NPh, O, H, >360°, 480 (MeOH), 535; Et, S, O, H, 375°, —, 560; Me, NPh, O, Me, —, —, 535; Ph, NMe, O, H, 381°, —, 530; Et, O, O, H, 285° (MeOH-iso-PrOH), —, 520. A mixt. of 2.9 g. III ( $\text{R} = \text{CH}_2\text{CH}(\text{OH})\text{CH}_2\text{SO}_3^-$ ,  $\text{R}^1 = \text{Me}$ ,  $\text{Y} = \text{S}$ ), 2.6 g. 3-ethylthiazolidine-2-thione-4-one, 30 ml.  $\text{Ac}_2\text{O}$ , 5 ml.  $\text{Et}_3\text{N}$  is refluxed 1 hr. to give II ( $\text{R} = \text{Et}$ ,  $\text{X} = \text{Y} = \text{S}$ ,  $\text{R}^1 = \text{H}$ ), m. 342° (MeOH-iso-PrOH),  $\lambda_{\text{max}}$  525 m $\mu$ , sensitization max. 590 m $\mu$ . II ( $\text{R} = \text{Et}$ ,  $\text{X} = \text{NPh}$ ,  $\text{Y} = \text{O}$ ,  $\text{R}^1 = \text{H}$ ) (30 mg.) is added to a Ag(Cl, Br) emulsion, sensitized with  $\text{AuCl}_3$  and stabilized with phenylmercaptotetrazole, the emulsion is coated on a polyester support, and the element is exposed behind an AGFA no. 104 red filter and 5-stage x-ray sensitometer and developed with a compn. (11.) prepd. from 1.0 g.  $\text{p-MeNHCH}_2\text{CH}_2\text{OH}$ , 3.0 g. hydroquinone, 13.0 g.  $\text{Na}_2\text{SO}_4$ , 26.0 g.  $\text{Na}_2\text{CO}_3$ , 1.0 g. KBr, and  $\text{H}_2\text{O}$  to give sensitivity 19, black chamber reliability 2 as compared 18 and 4, resp., for the control.

BDPP

Pyrimidine dyes. Jakob Benz and Hans Ischer (to Sandoz Ltd.). U.S. 3,247,194 (Cl. 260-242), April 19, 1966; Swiss Appl. Nov. 6, 1958; 6 pp. Compds. of the general formula  $\text{CuPc}(\text{n-SO}_2\text{H})_m$  ( $\text{n-SO}_2\text{NHC}_6\text{H}_4\text{OQ-3}$ ),  $\text{R}$  (I), where Pc is phthalocyanine, Q is dichloropyrimidinyl, n is 3 or 4, m is 1.5–3, p is 1–1.5, and R is  $\text{SO}_2\text{NHC}_6\text{H}_4\text{OH}$  or H, are  $\text{H}_2\text{O}$ -sol., turquoise dyes for natural and synthetic fibers. Thus, 57.6 parts CuPc was stirred with 300 parts  $\text{ClSO}_3\text{H}$  at 140° for 1 hr., the mixt. cooled to 70–80°, 45 parts  $\text{SOCl}_2$  slowly added, stirring continued at 75–80° for 2 hrs., the mass poured on ice, the pptd.  $\text{CuPc}(\text{SO}_2\text{Cl})_4$  filtered, and washed with weakly acid ice- $\text{H}_2\text{O}$ . The residue was stirred into ice- $\text{H}_2\text{O}$  500, 3- $\text{H}_2\text{N}$  $\text{C}_6\text{H}_4\text{OH}$  11 parts added, the mixt. neutralized with dil. NaOH, 60 parts  $\text{NaHCO}_3$  added, the mixt. stirred at room temp. for 12 hrs., heated to 70°, after 5 hrs. NaOH added until soln. was complete, the intermediate pptd. with HCl, filtered, and washed with dil. HCl. The residue was stirred into 800 parts  $\text{H}_2\text{O}$ , neutralized with aq. NaOH, 18.5 parts 2,4,6-trichloropyrimidine (II) added at 85–90°, the soln. stirred for 12 hrs. at 85–90°, keeping the pH at 6–7 with dil. NaOH, 18.5 parts II added, and the mixt. stirred at 85–90° for 12 hrs. to give I ( $n = 3$ ,  $m = 3$ ,  $p = 1$ ,  $\text{R} = \text{H}$ ), which dyed viscose rayon turquoise. Similarly, other I were prepd. ( $n$ ,  $m$ ,  $p$ , and R given): 3, 1.5, 1.5,  $\text{SO}_2\text{NHC}_6\text{H}_4\text{OH}$ ; 4, 3, 1, H; 3, 2, 1, H; 3, 3, 1, H (Pc metal-free).

Irving T. Beach

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